Access DB# 22977

# SEARCH REQUEST FORM

187

**Scientific and Technical Information Center**

Requester's Full Name: SUDHAKER PATEL Examiner #: 77018 Date: 8/16/00
Art Unit: 1624 Phone Number 308-4709 Serial Number: 09/529,096
Mail Box and Bldg/Room Location: CM1 4E18 Results Format Preferred (circle): PAPER DISK E-MAIL

**If more than one search is submitted, please prioritize searches in order of need.**

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched: Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: AMIDE DERIVATIVES OR SALTS THEREOF

Inventors (please provide full names): TATSUYA MARUYAMA et al.

Earliest Priority Filing Date: ASAP → Mr. J. Benzmann or Ms. B. O'Bryen or Mrs. S. Heinzman please search THX

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

COMPOUNDS: claims: 1-6
COMPOSITION: Claim: 7
METHOD/USE: PHARMACEUTICAL: Claim 8
COMPOUNDS OF INTEREST PLEASE SEE p. 4

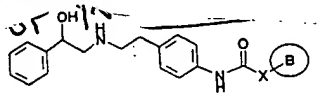

Table 4

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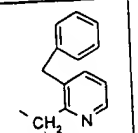
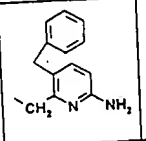
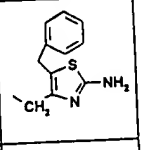
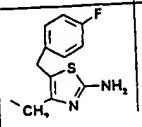
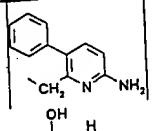
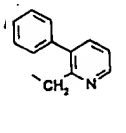
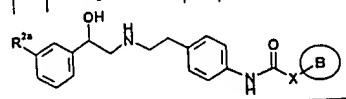
| 1 |  | 2 |  | 3 |  |
| 4 |  | 5 |  | 6 |  |



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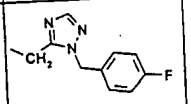
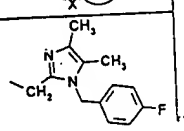
| 13 | H |  | 14 | H |  |

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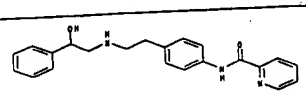
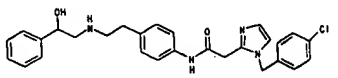
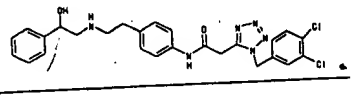
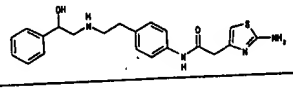
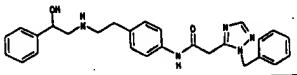
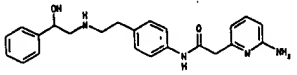
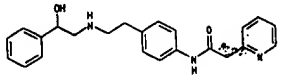
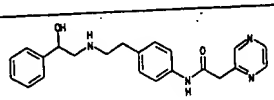
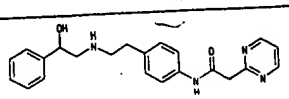
| 1 |  |
| 23 |  |
| 33 |  |
| 41 |  |
| 47 |  |
| 58 |  |
| 86 |  |
| 93 |  |
| 104 |  |

spy of claims 1-8 + lots of structures emailed 8/16

**STAFF USE ONLY**

Searcher: _____

Date Searcher Picked Up: _____

Date Completed: 8-21-00

Searcher Prep & Review Time: 20

Clerical Prep Time: _____

Online Time: 13

**Type of Search**

NA Sequence (#)_____ STN ____ 104

AA Sequence (#)_____ Dialog _____

Bibliographic _____ Dr.Link _____

Litigation _____ Lexis/Nexis _____

Fulltext _____ Sequence Systems _____

Patent Family _____ WWW/Internet _____

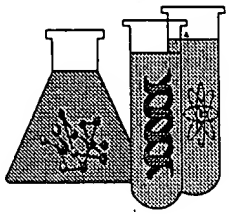
Other _____ Other (specify) _____

PTO-1590 (1-2000)

Point of Contact:
Barb O'Bryen
Technical Info. Specialist
CM1 12C14 Tel: 308-4291

# Biotechnology/Chemical Division

*Scientific and Technical Information Center*



## Search Results Feedback Form

The results for your recent search request are attached. If you have any questions or comments about the scope or the results of the search, please contact the searcher whose name is stamped below.

Point of Contact:
Barb O'Bryen
Technical Info. Specialist
CM1 12C14 Tel: 308-4291

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| John Dantzman | 308-4488 | Jan Delaval | 308-4498 | Mary Hale | 308-4258 | Susan Hanley | 305-4053 |
| Edward Hart | 305-9203 | Barb O'Bryen | 308-4291 | Toby Port | 308-3534 | David Schreiber | 308-4292 |
| Beverly Shears | 308-4994 | Paula Sheppard | 308-4499 | Mona Smith | 308-3278 | Alex Waclawiw | 308-4491 |

**Compliment or Complaint, contact:**

Stephanie Publicker
Information Branch Chief – STIC
Phone: 308-4740

Arti Shah
Division Chief – Biotech/Chem Division – STIC
Phone: 308-4259

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=> fil reg; d stat que l8; fil capl; d que nos l9

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STRUCTURE FILE UPDATES:   20 AUG 2000  HIGHEST RN 286833-04-3
DICTIONARY FILE UPDATES:  20 AUG 2000  HIGHEST RN 286833-04-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 11, 2000

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Structure search limits have been increased.  See HELP SLIMIT
for details.

L6              STR
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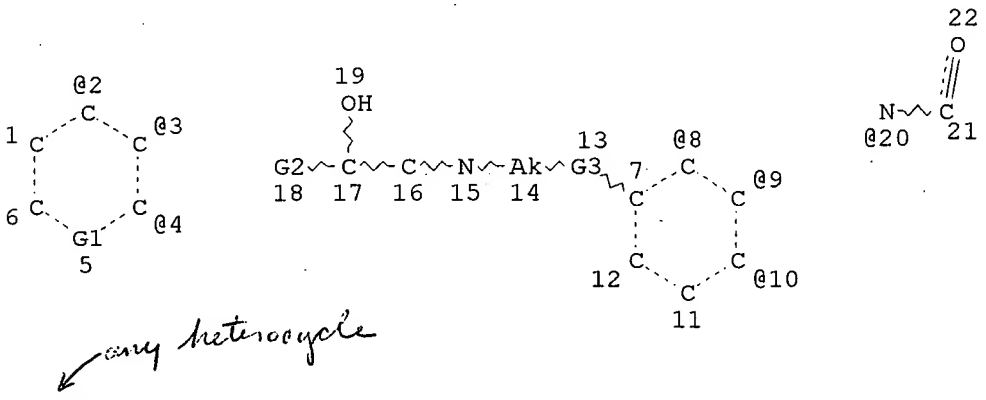


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DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE
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100.0% PROCESSED 102627 ITERATIONS                    172 ANSWERS
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FILE COVERS 1967 - 21 Aug 2000 VOL 133 ISS 9
FILE LAST UPDATED: 20 Aug 2000 (20000820/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Now you can extend your author, patent assignee, patent information, and title searches back to 1907. The records from 1907-1966 now have this searchable data in CAOLD. You now have electronic access to all of CA: 1907 to 1966 in CAOLD and 1967 to the present in CAPLUS on STN.

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L9 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1999:282201 CAPLUS
DOCUMENT NUMBER: 130:311793
TITLE: Preparation of amides as antidiabetics
INVENTOR(S): Maruyama, Tatsuya; Suzuki, Takayuki; Onda, Kenichi; Hayakawa, Masahiko; Moritomo, Hiroyuki; Kimizuka, Tetsuya; Matsui, Tetsuo
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 9920607 | A1 | 19990429 | WO 1998-JP4671 | 19981015 |
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| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
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| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |

Searched by Barb O'Bryen, STIC 308-4291

| | | | | |
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| NO 2000001983 | A | 20000414 | NO 2000-1983 | 20000414 |
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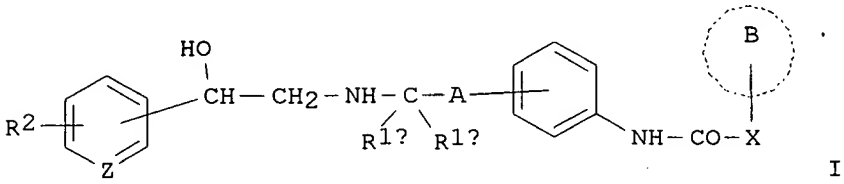
| | | | WO 1998-JP4671 | 19981015 |

OTHER SOURCE(S): MARPAT 130:311793


GI

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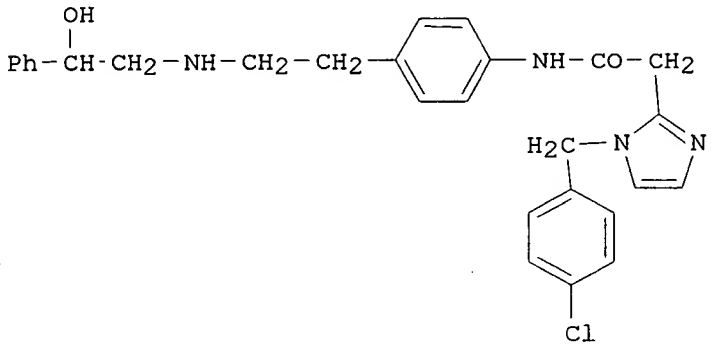


II


AB The title compds. I [ring B = an optionally substituted heteroaryl optionally fused with a benzene ring; X = a bond, lower alkylene or lower alkenylene (optionally substituted by hydroxy or lower alkyl), carbonyl, or NH (further details related to X are given); A = a lower alkylene or a group represented by (lower alkylene)-O; R1a and R1b = hydrogen or lower alkyl; R2 = hydrogen or halogeno; and Z = nitrogen or CH] are prepd. I are useful as diabetes remedies which not only function to accelerate the secretion of insulin and enhance insulin sensitivity but also have an anti-obesity action and an antihyperlipemic action based on their selective stimulative action on .beta.3 receptor. For example, imidazole deriv. II was prepd. Compds. of this invention significantly decreased blood sugar in mice.


IT **223672-09-1P 223672-10-4P 223672-11-5P**
**223672-12-6P 223672-13-7P 223672-14-8P**
**223672-15-9P 223672-16-0P 223672-17-1P**
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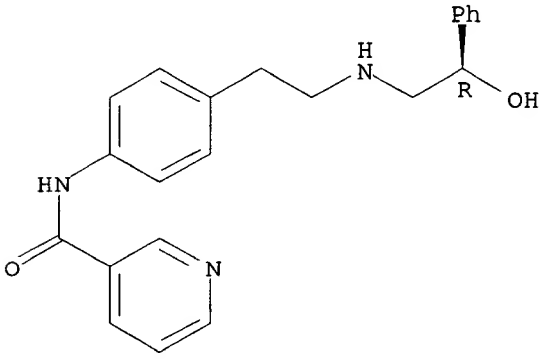
**223673-66-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amides as antidiabetics)

RN 223672-09-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

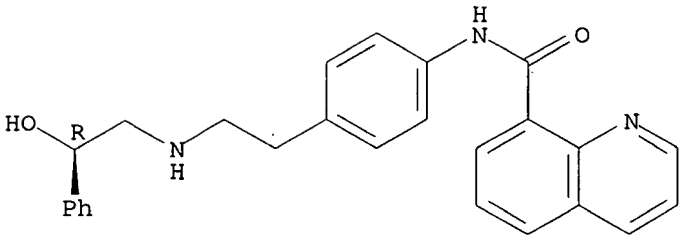
Absolute stereochemistry.




●2 HCl

RN 223672-10-4 CAPLUS
CN 8-Quinolinecarboxamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

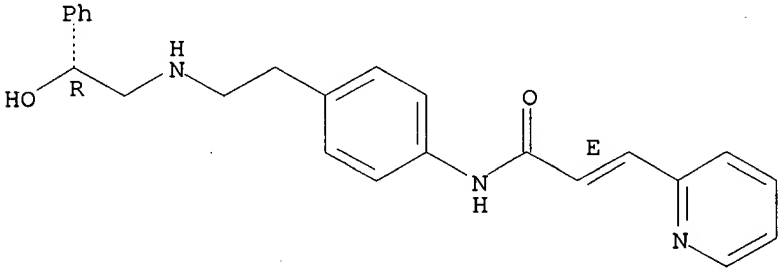
Absolute stereochemistry.



●2 HCl

RN 223672-11-5 CAPLUS
CN 2-Propenamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-3-(2-pyridinyl)-, dihydrochloride, (2E)- (9CI) (CA INDEX NAME)

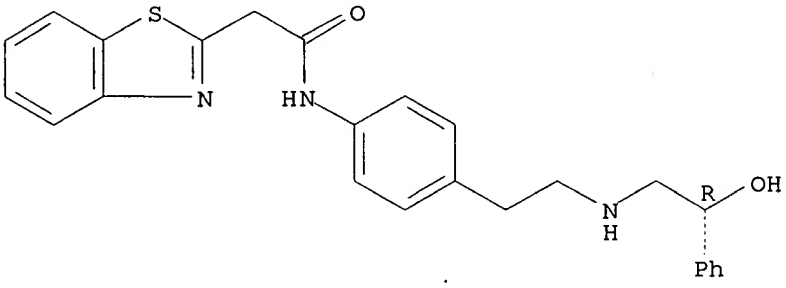
Absolute stereochemistry.
Double bond geometry as shown.



●2 HCl

RN 223672-12-6 CAPLUS
CN 2-Benzothiazoleacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

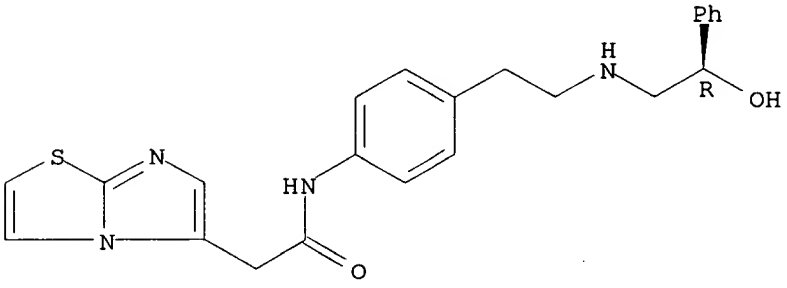


●2 HCl

RN 223672-13-7 CAPLUS

CN Imidazo[2,1-b]thiazole-5-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

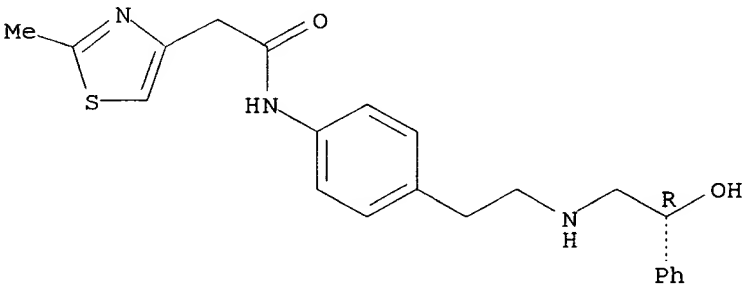
Absolute stereochemistry.




●2 HCl

RN 223672-14-8 CAPLUS
CN 4-Thiazoleacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

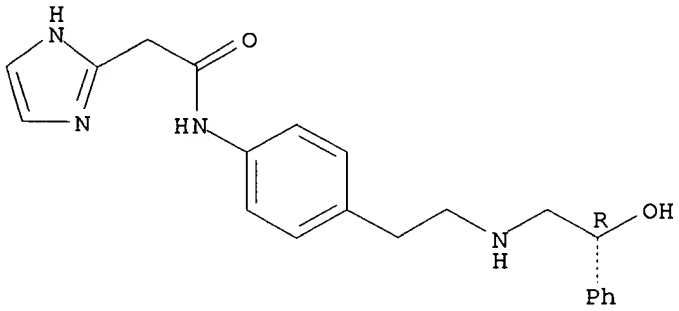
Absolute stereochemistry.




●2 HCl

RN 223672-15-9 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

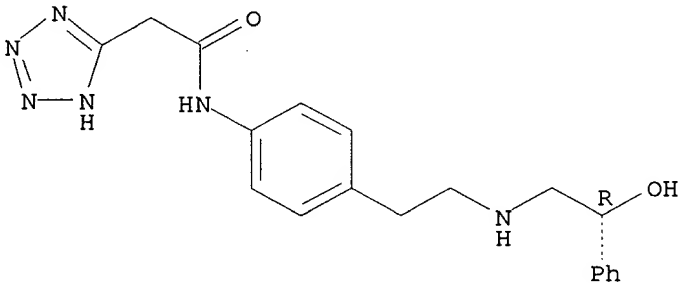
Absolute stereochemistry.



●2 HCl

RN 223672-16-0 CAPLUS
CN 1H-Tetrazole-5-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

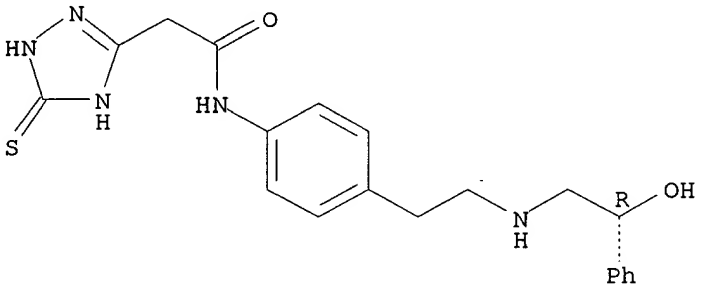
Absolute stereochemistry.



● HCl

RN 223672-17-1 CAPLUS
CN 1H-1,2,4-Triazole-3-acetamide, 2,5-dihydro-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-5-thioxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

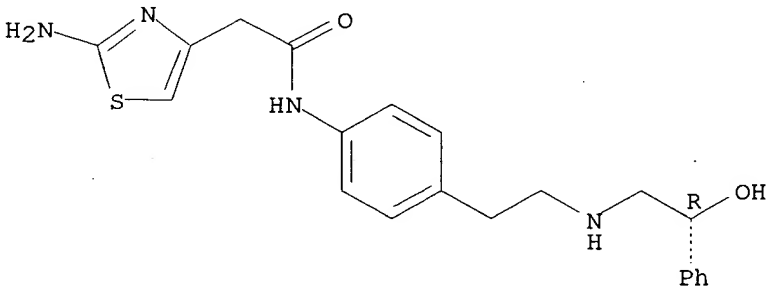


● HCl

Searched by Barb O'Bryen, STIC 308-4291

RN 223672-18-2 CAPLUS
CN 4-Thiazoleacetamide, 2-amino-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

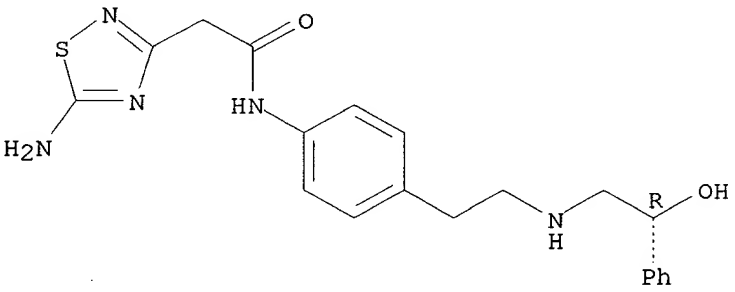
Absolute stereochemistry.



●2 HCl

RN 223672-19-3 CAPLUS
CN 1,2,4-Thiadiazole-3-acetamide, 5-amino-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

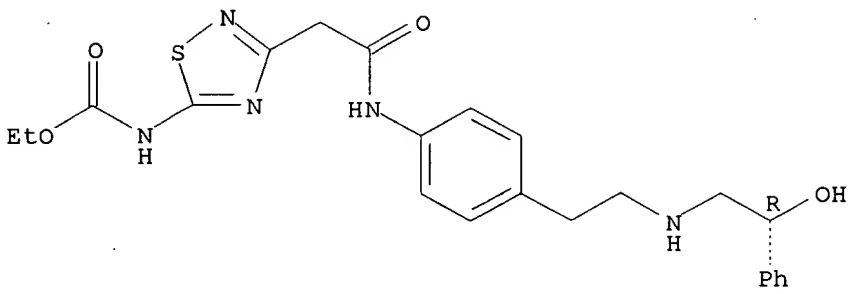
Absolute stereochemistry.



●2 HCl

RN 223672-20-6 CAPLUS
CN Carbamic acid, [3-[2-[[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]amino]-2-oxoethyl]-1,2,4-thiadiazol-5-yl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

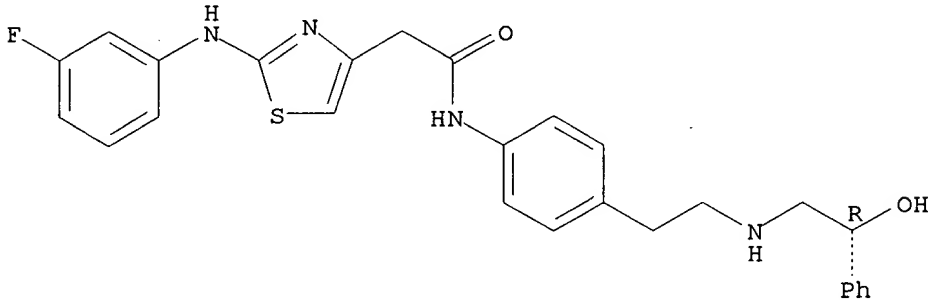
Absolute stereochemistry.



● HCl

RN 223672-21-7 CAPLUS
CN 4-Thiazoleacetamide, 2-[(3-fluorophenyl)amino]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

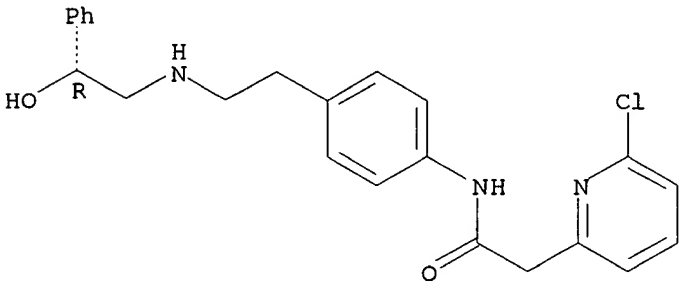
Absolute stereochemistry.



●2 HCl

RN 223672-22-8 CAPLUS
CN 2-Pyridineacetamide, 6-chloro-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

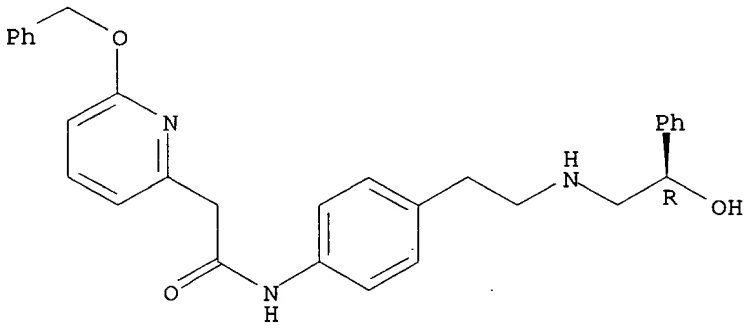


● HCl

Searched by Barb O'Bryen, STIC 308-4291

RN 223672-23-9 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-6-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

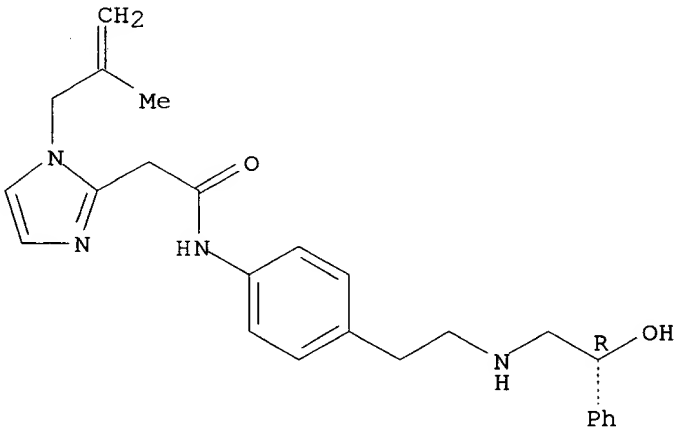
Absolute stereochemistry.



● HCl

RN 223672-24-0 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-(2-methyl-2-propenyl)-, dihydrochloride (9CI) (CA INDEX NAME)

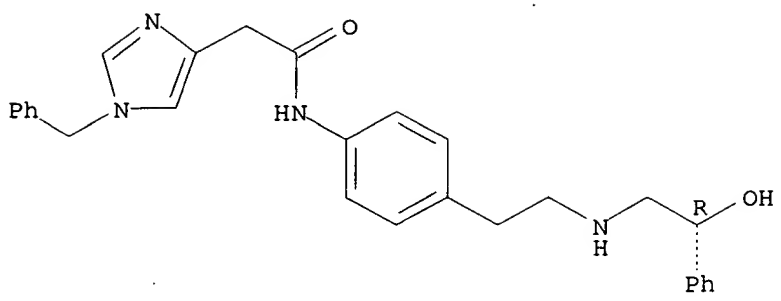
Absolute stereochemistry.



●2 HCl

RN 223672-25-1 CAPLUS
CN 1H-Imidazole-4-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

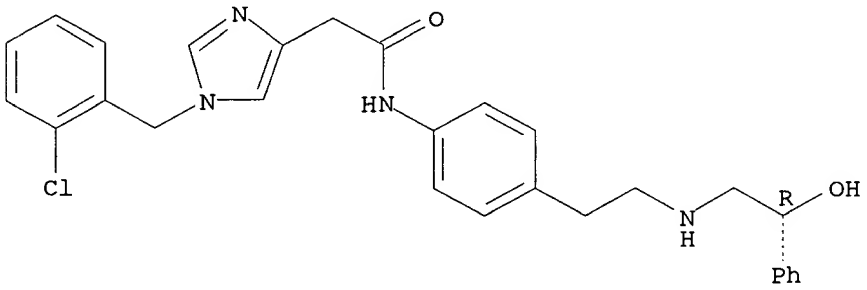
Absolute stereochemistry.



●2 HCl

RN 223672-26-2 CAPLUS
CN 1H-Imidazole-4-acetamide, 1-[(2-chlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

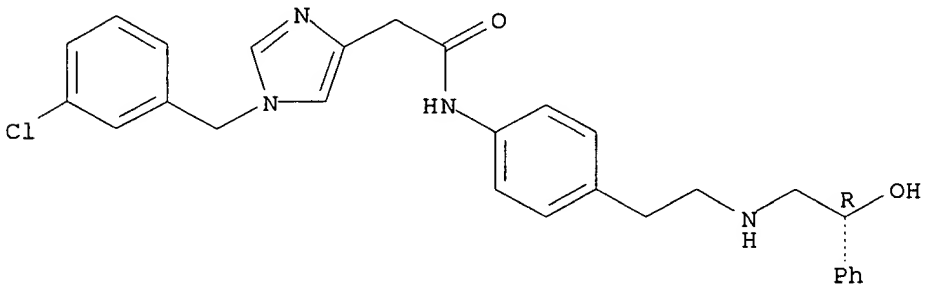
Absolute stereochemistry.



●2 HCl

RN 223672-27-3 CAPLUS
CN 1H-Imidazole-4-acetamide, 1-[(3-chlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

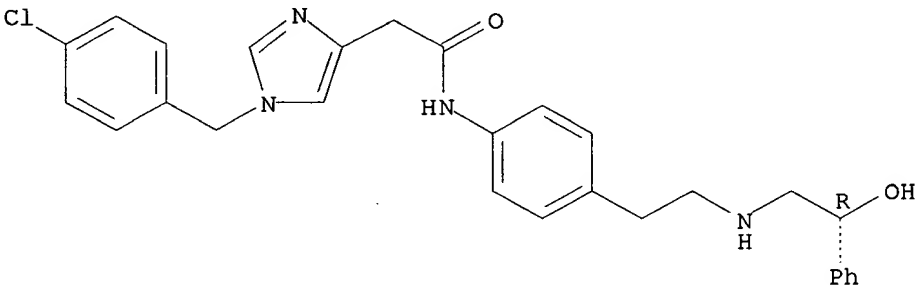


●2 HCl

Searched by Barb O'Bryen, STIC 308-4291

RN 223672-29-5 CAPLUS
CN 1H-Imidazole-4-acetamide, 1-[(4-chlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

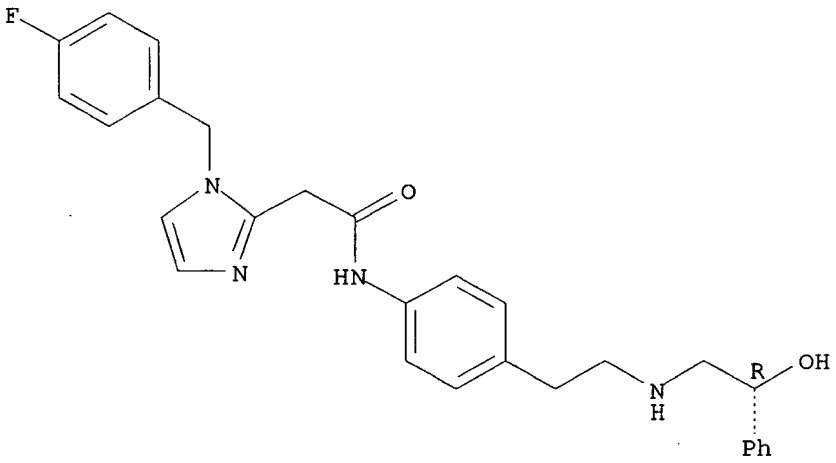
Absolute stereochemistry.



●2 HCl

RN 223672-30-8 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(4-fluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

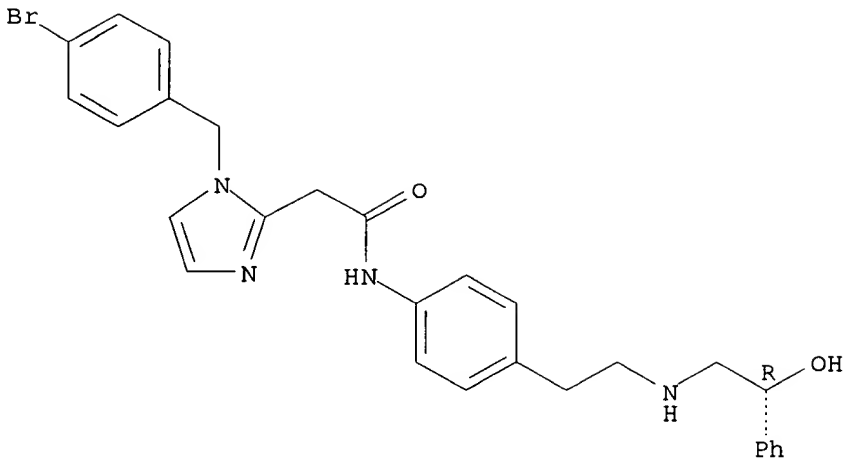
Absolute stereochemistry.



●2 HCl

RN 223672-31-9 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(4-bromophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

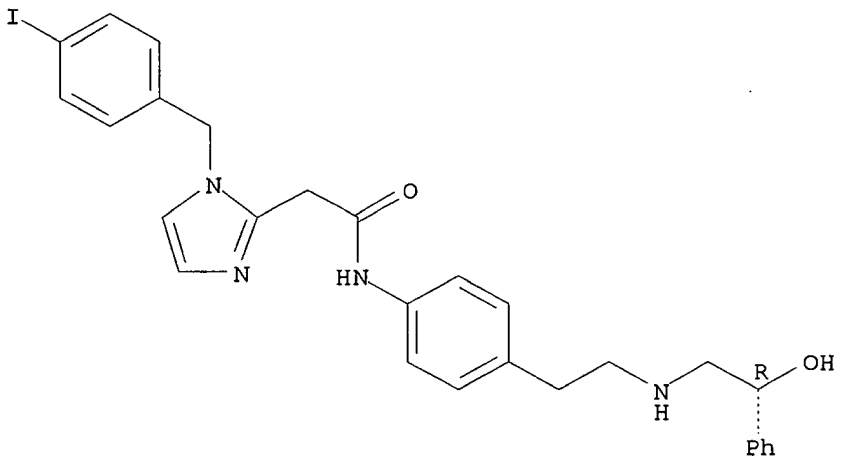
Absolute stereochemistry.




●2 HCl

RN 223672-32-0 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-[(4-iodophenyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

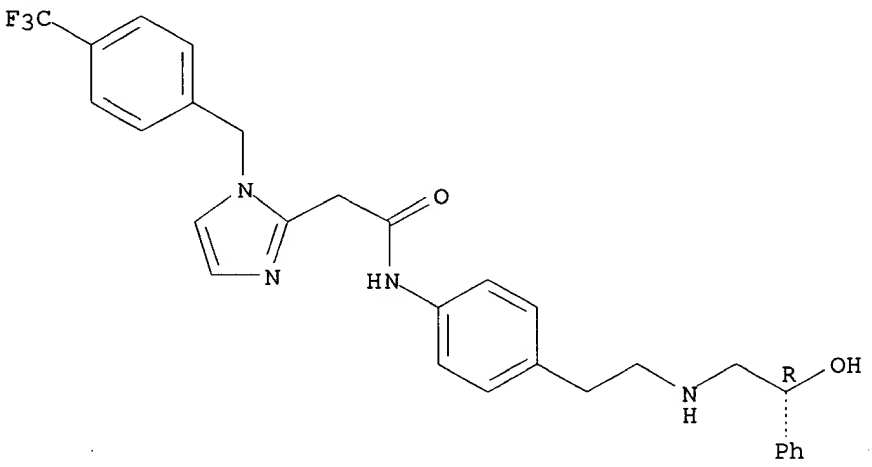
Absolute stereochemistry.




●2 HCl

RN 223672-34-2 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-[[4-(trifluoromethyl)phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

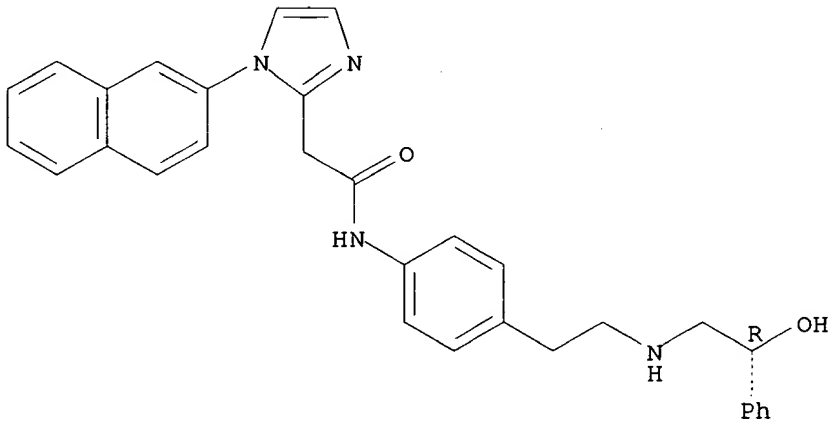
Absolute stereochemistry.



●2 HCl

RN 223672-36-4 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-(2-naphthalenyl)-, dihydrochloride (9CI) (CA INDEX NAME)

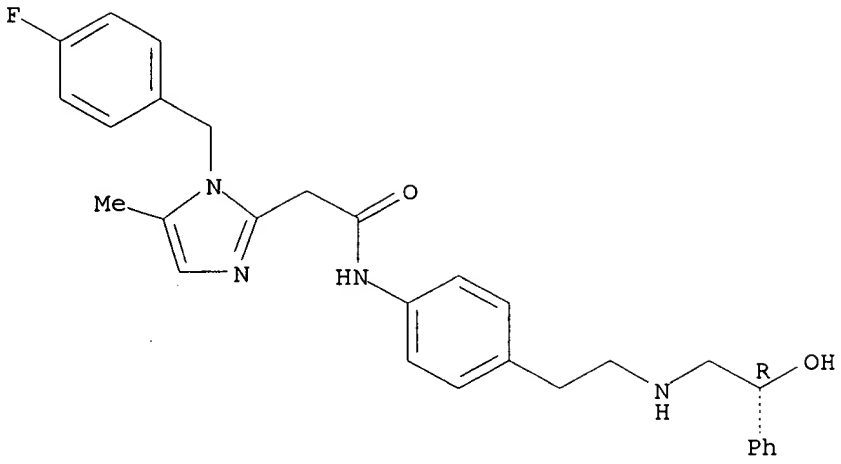
Absolute stereochemistry.



●2 HCl

RN 223672-38-6 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(4-fluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-5-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

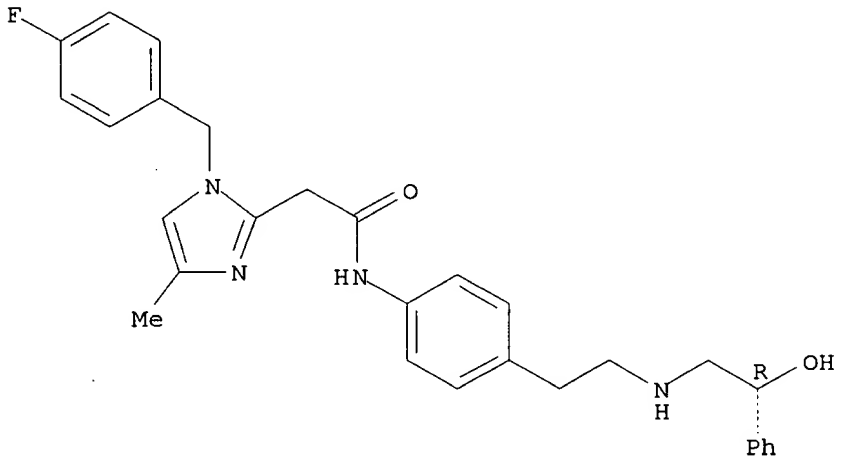
Absolute stereochemistry.



●2 HCl

RN 223672-40-0 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(4-fluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

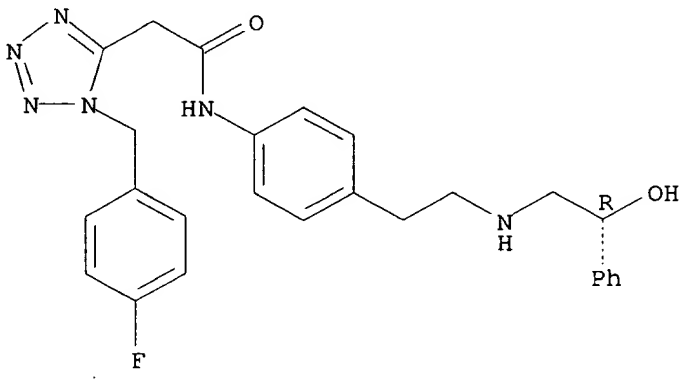
Absolute stereochemistry.



●2 HCl

RN 223672-42-2 CAPLUS
CN 1H-Tetrazole-5-acetamide, 1-[(4-fluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

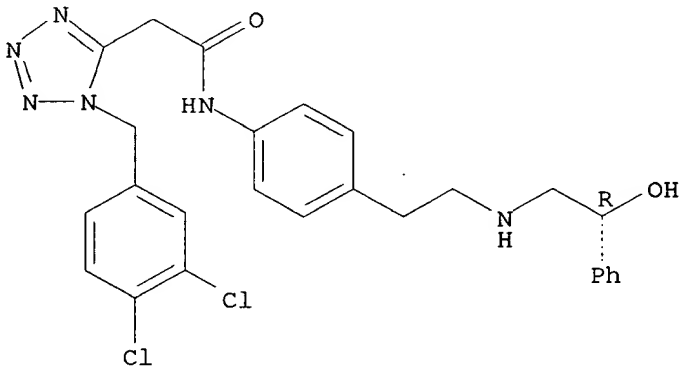
Absolute stereochemistry.



● HCl

RN 223672-44-4 CAPLUS
CN 1H-Tetrazole-5-acetamide, 1-[(3,4-dichlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

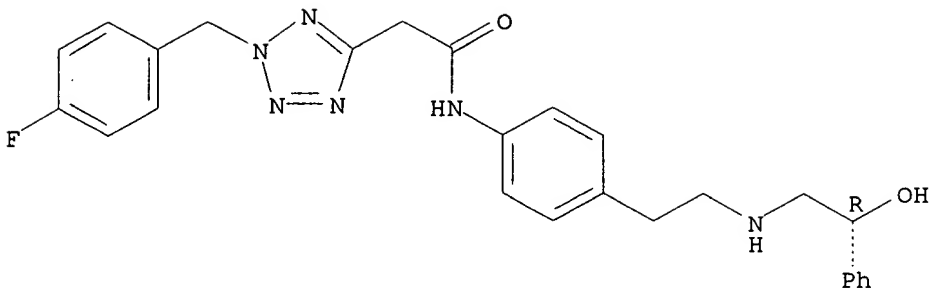
Absolute stereochemistry.



● HCl

RN 223672-46-6 CAPLUS
CN 2H-Tetrazole-5-acetamide, 2-[(4-fluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

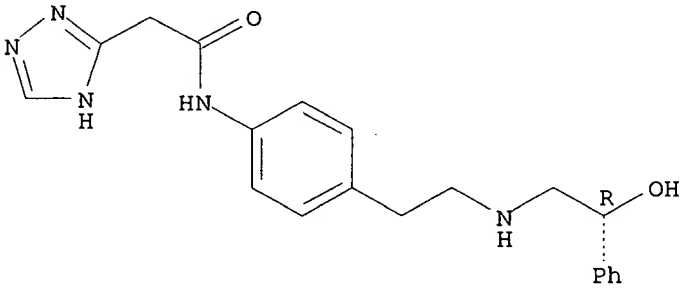
Absolute stereochemistry.



● HCl

RN 223672-47-7 CAPLUS
CN 1H-1,2,4-Triazole-3-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

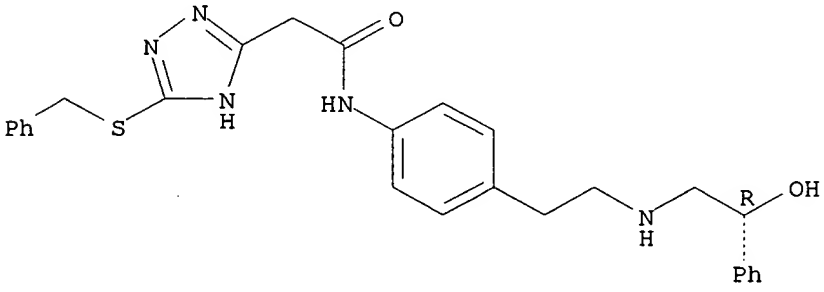
Absolute stereochemistry.



●2 HCl

RN 223672-48-8 CAPLUS
CN 1H-1,2,4-Triazole-3-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-5-[(phenylmethyl)thio]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

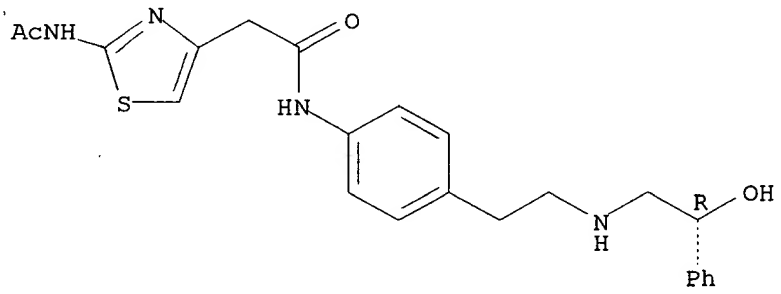


●2 HCl

Searched by Barb O'Bryen, STIC 308-4291

RN 223672-49-9 CAPLUS
CN 4-Thiazoleacetamide, 2-(acetylamino)-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

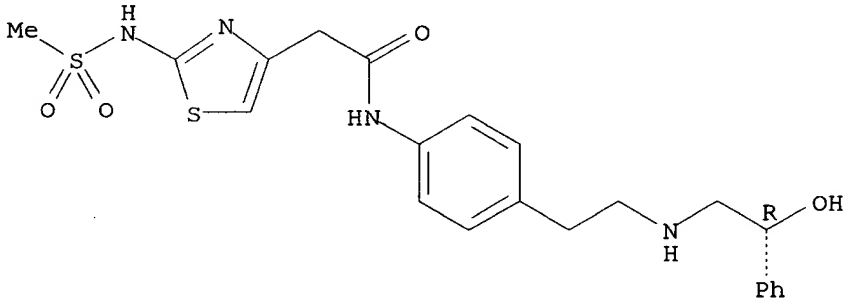
Absolute stereochemistry.




● HCl

RN 223672-50-2 CAPLUS
CN 4-Thiazoleacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-2-[(methylsulfonyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

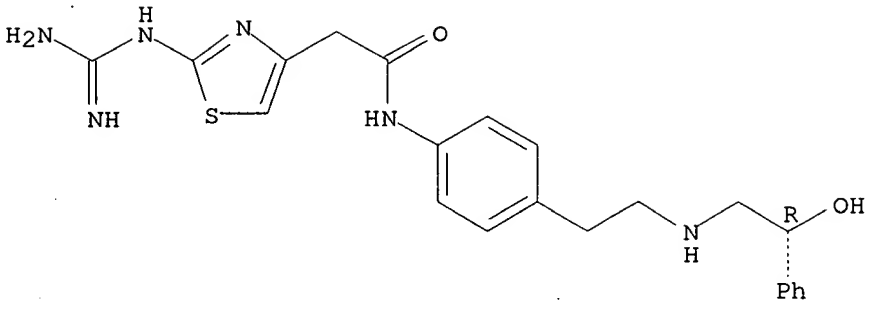
Absolute stereochemistry.




● HCl

RN 223672-51-3 CAPLUS
CN 4-Thiazoleacetamide, 2-[(aminoiminomethyl)amino]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

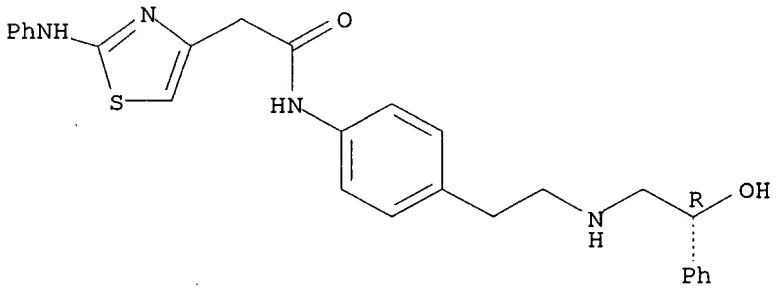
Absolute stereochemistry.



● 2 HCl

RN 223672-52-4 CAPLUS
CN 4-Thiazoleacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-2-(phenylamino)-, monohydrochloride (9CI) (CA INDEX NAME)

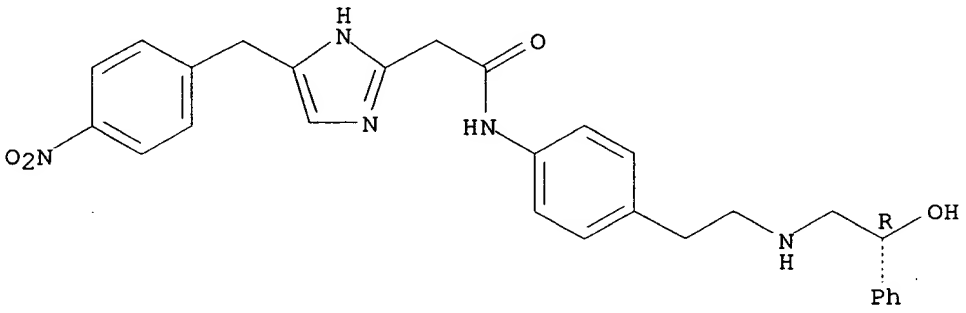
Absolute stereochemistry.



● HCl

RN 223672-53-5 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-4-[(4-nitrophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

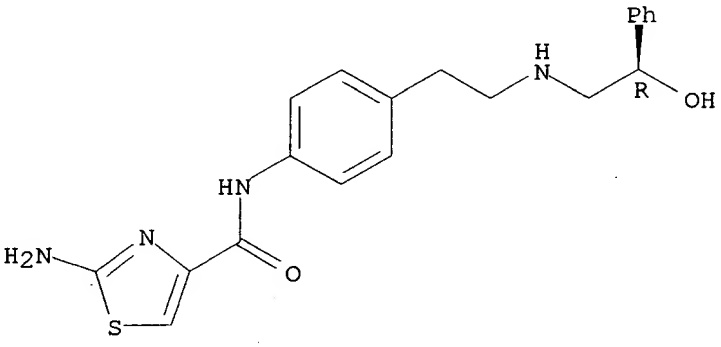
Absolute stereochemistry.



● HCl

RN 223672-55-7 CAPLUS
CN 4-Thiazolecarboxamide, 2-amino-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

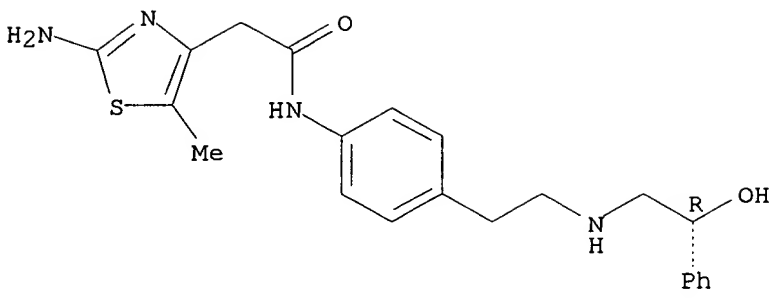
Absolute stereochemistry.



● HCl

RN 223672-58-0 CAPLUS
CN 4-Thiazoleacetamide, 2-amino-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-5-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

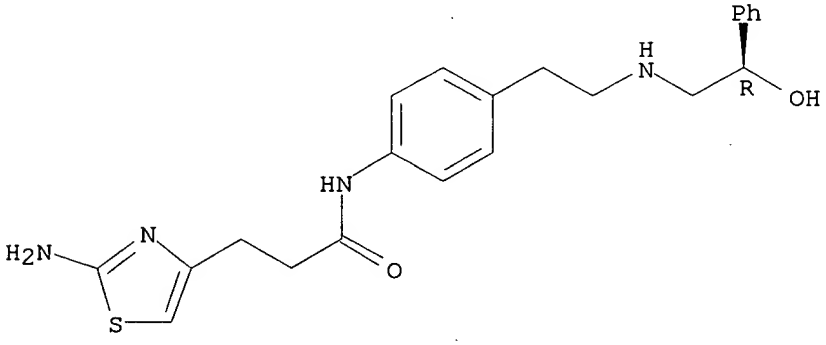
Absolute stereochemistry.



●2 HCl

RN 223672-60-4 CAPLUS
CN 4-Thiazolepropanamide, 2-amino-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

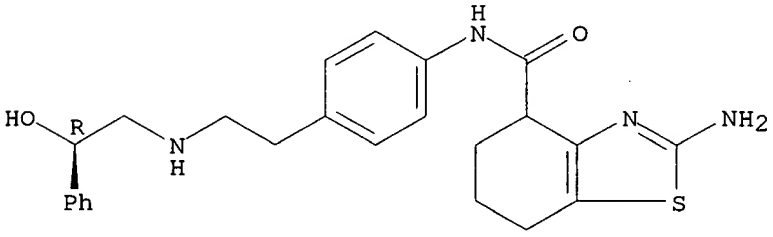
Absolute stereochemistry.



● HCl

RN 223672-63-7 CAPLUS
CN 4-Benzothiazolecarboxamide, 2-amino-4,5,6,7-tetrahydro-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

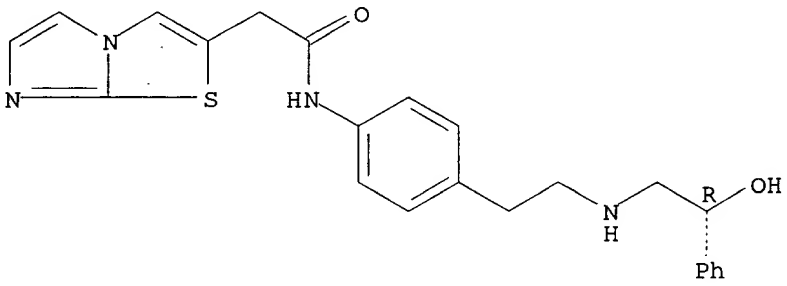


●2 HCl

Searched by Barb O'Bryen, STIC 308-4291

RN 223672-65-9 CAPLUS
CN Imidazo[2,1-b]thiazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

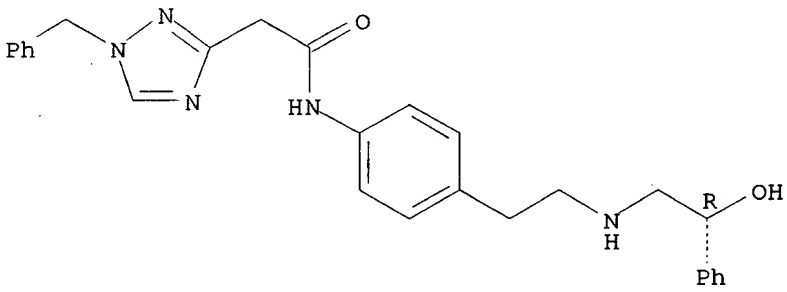
Absolute stereochemistry.




● HCl

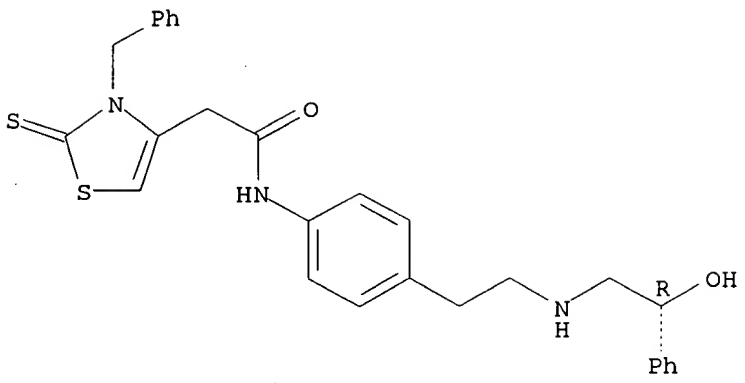
RN 223672-66-0 CAPLUS
CN 1H-1,2,4-Triazole-3-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 223672-67-1 CAPLUS
CN 4-Thiazoleacetamide, 2,3-dihydro-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-3-(phenylmethyl)-2-thioxo-, monohydrochloride (9CI) (CA INDEX NAME)

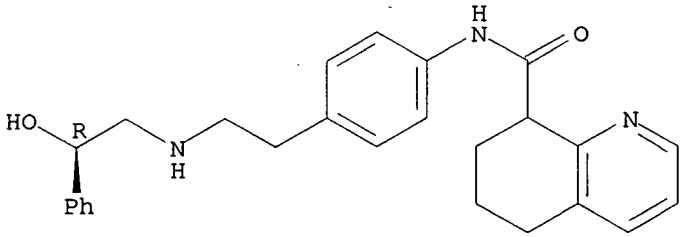
Absolute stereochemistry.



● HCl

RN 223672-68-2 CAPLUS
CN 8-Quinolinecarboxamide, 5,6,7,8-tetrahydro-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

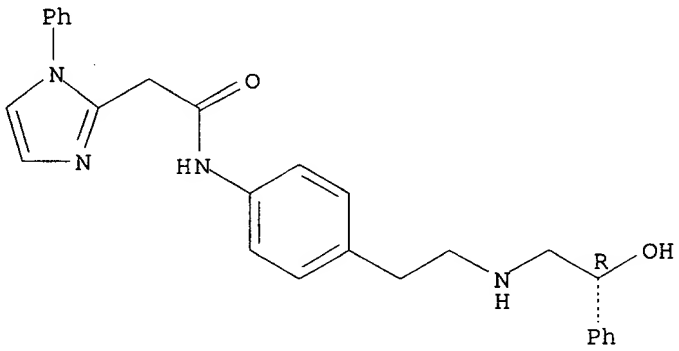
Absolute stereochemistry.



● 2 HCl

RN 223672-69-3 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

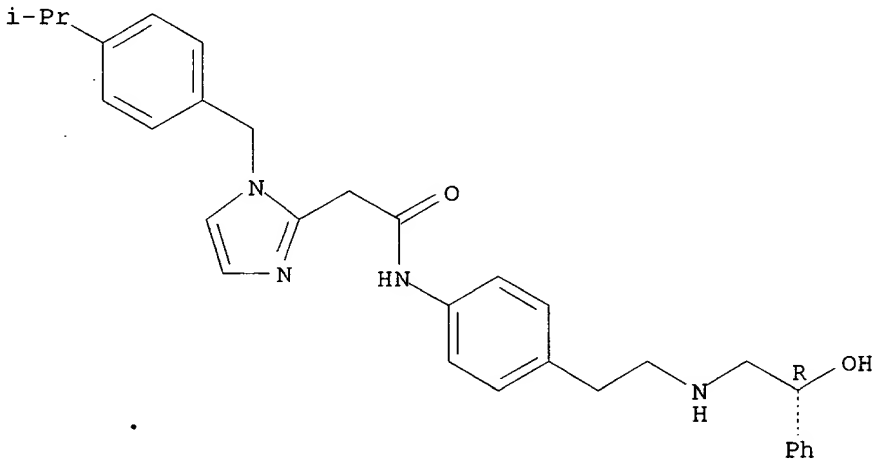
Absolute stereochemistry.




●2 HCl

RN 223672-70-6 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-[[4-(1-methylethyl)phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

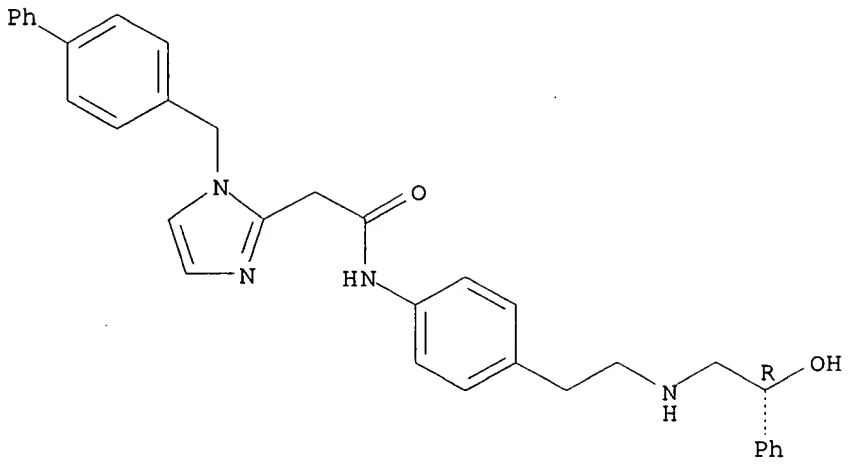
Absolute stereochemistry.




●2 HCl

RN 223672-71-7 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-([1,1'-biphenyl]-4-ylmethyl)-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

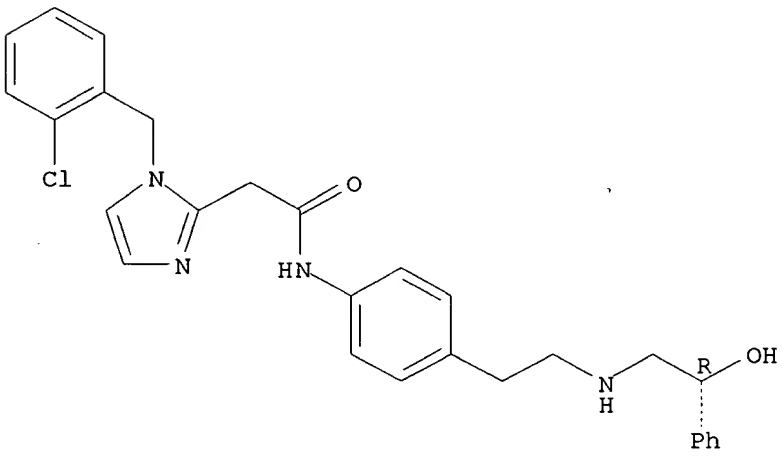
Absolute stereochemistry.




●2 HCl

RN 223672-72-8 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(2-chlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

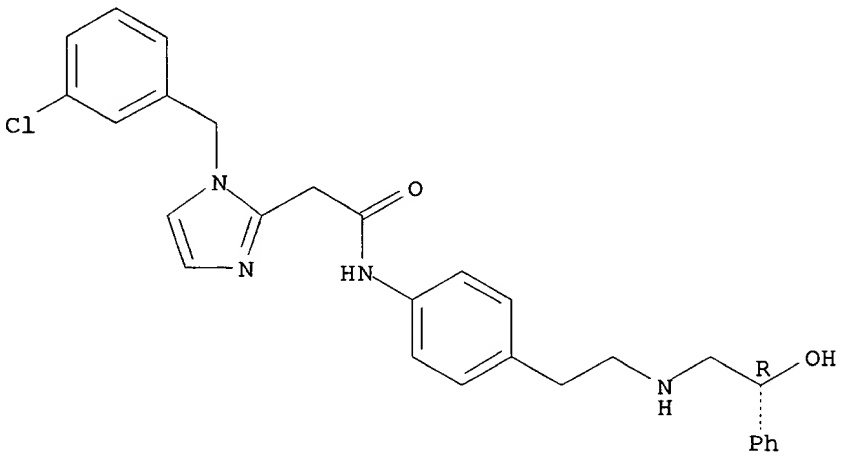
Absolute stereochemistry.




●2 HCl

RN 223672-73-9 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(3-chlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

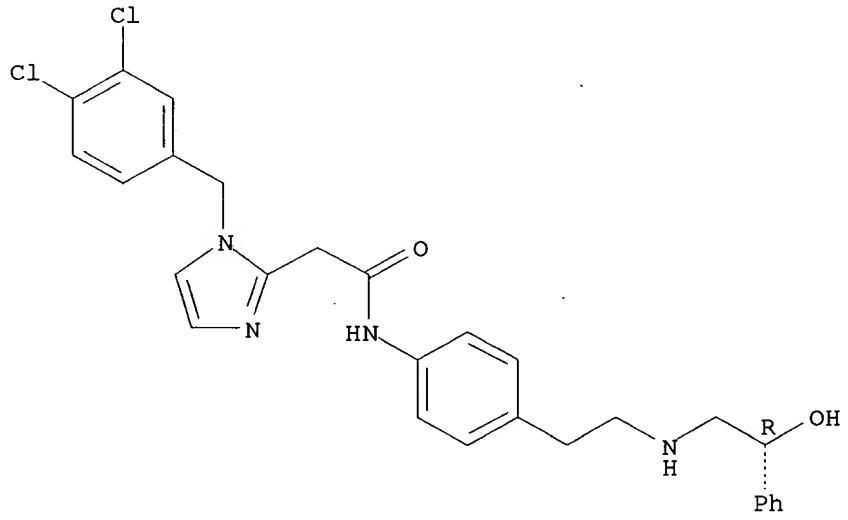



●2 HCl

RN 223672-74-0 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(3,4-dichlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

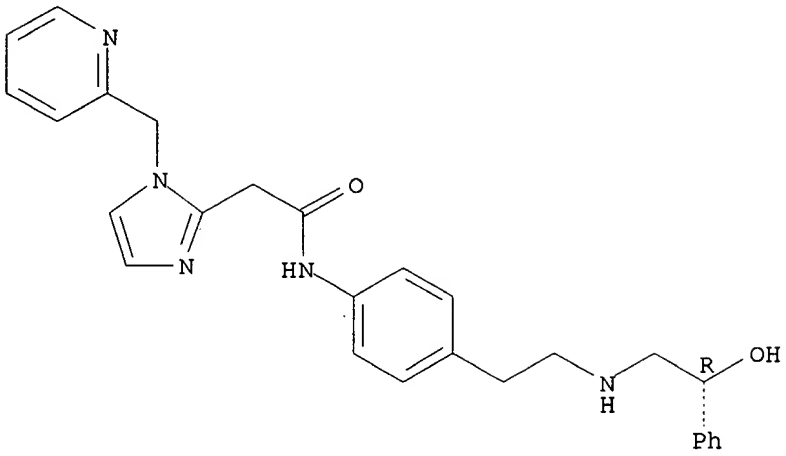



PAGE 2-A

●2 HCl

RN 223672-75-1 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-(2-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

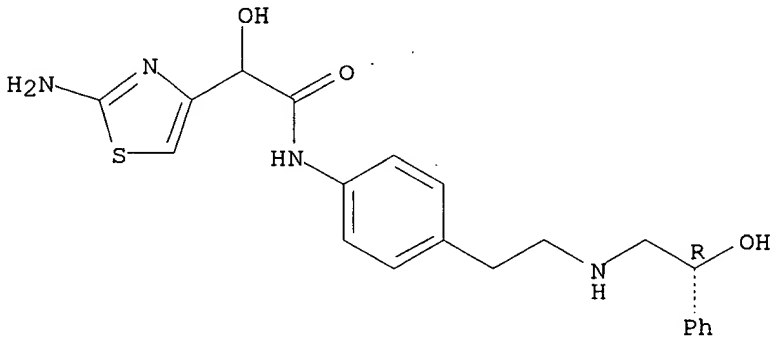
Absolute stereochemistry.



● 2 HCl

RN 223672-76-2 CAPLUS
CN 4-Thiazoleacetamide, 2-amino-.alpha.-hydroxy-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

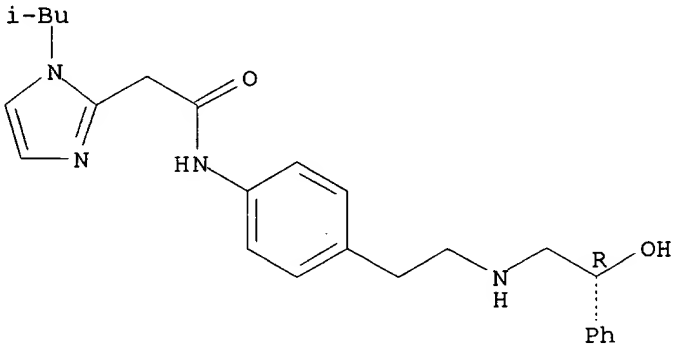
Absolute stereochemistry.



● HCl

RN 223672-77-3 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-(2-methylpropyl)-, dihydrochloride (9CI) (CA INDEX NAME)

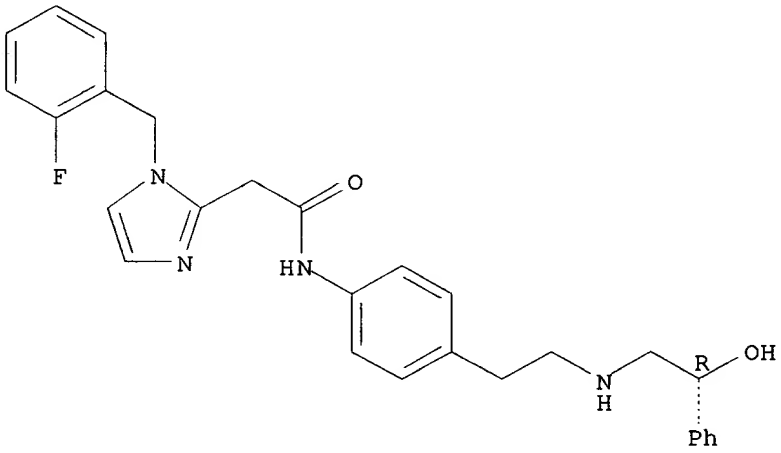
Absolute stereochemistry.




●2 HCl

RN 223672-78-4 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(2-fluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

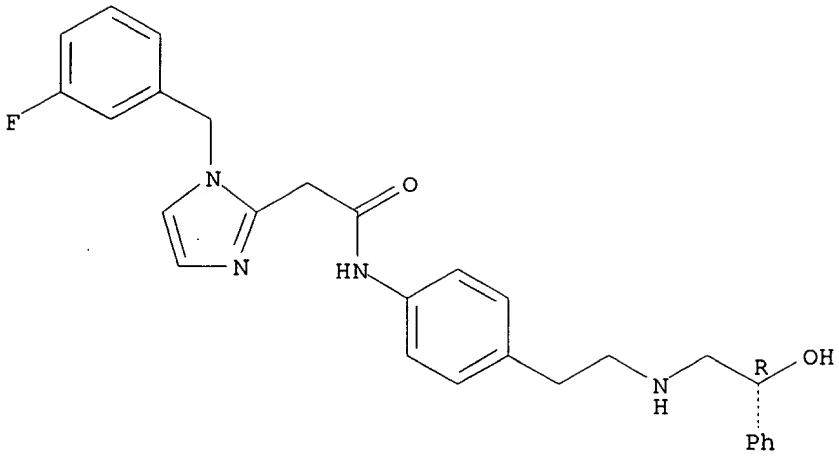
Absolute stereochemistry.




●2 HCl

RN 223672-79-5 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(3-fluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

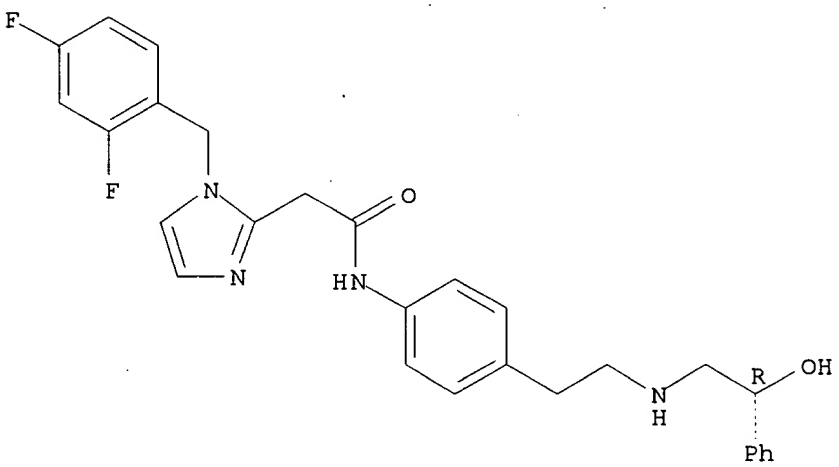
Absolute stereochemistry.



●2 HCl

RN 223672-80-8 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(2,4-difluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

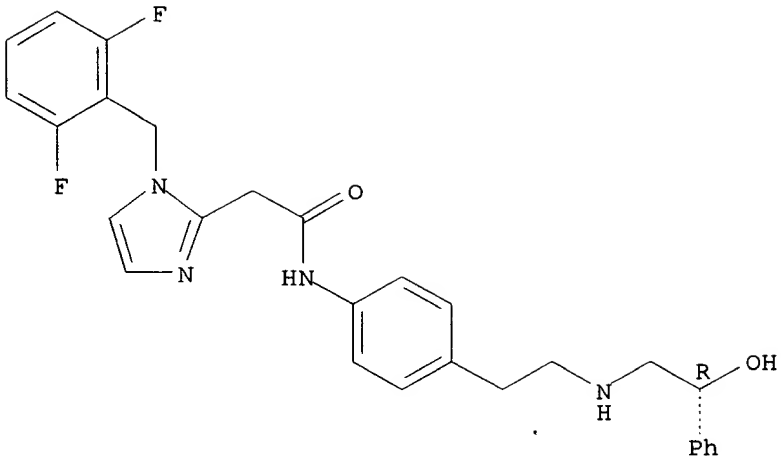
Absolute stereochemistry.



●2 HCl

RN 223672-81-9 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(2,6-difluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

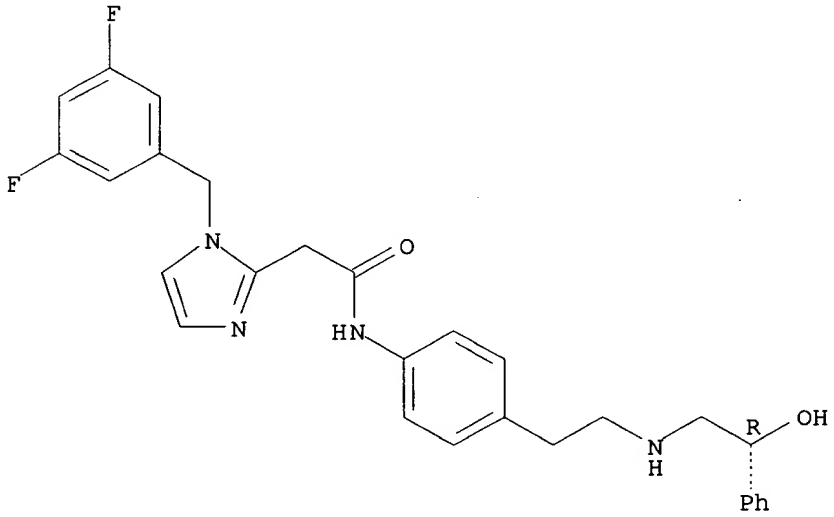


●2 HCl

RN 223672-82-0 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(3,5-difluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

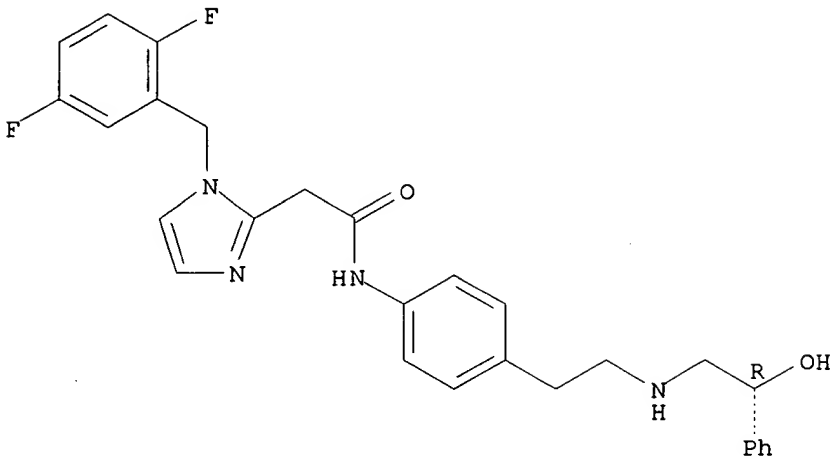


PAGE 2-A

●2 HCl

RN 223672-83-1 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(2,5-difluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

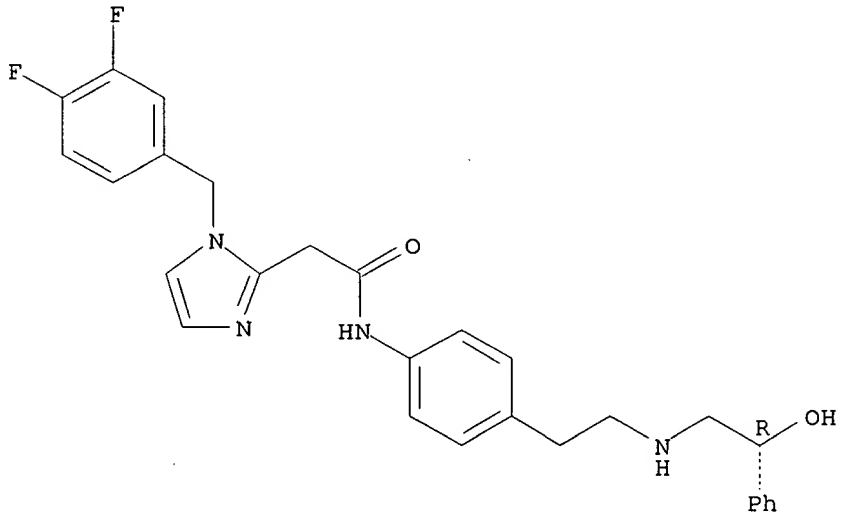



●2 HCl

RN 223672-84-2 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(3,4-difluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



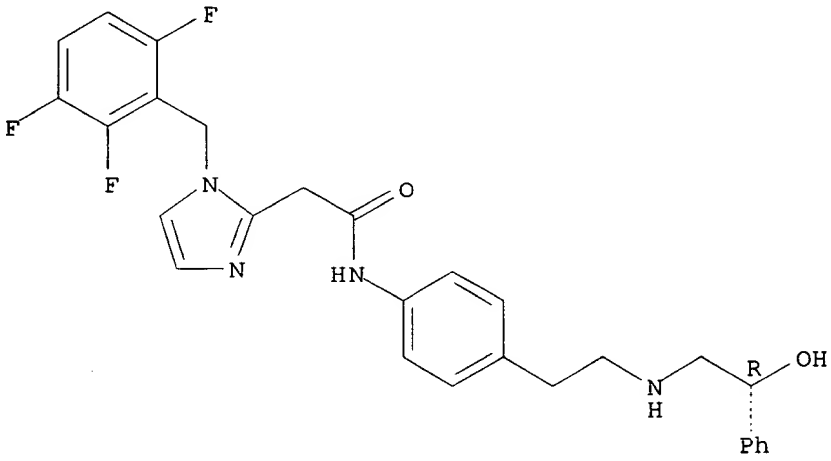

PAGE 2-A

●2 HCl

RN 223672-85-3 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-

phenylethyl]amino]ethyl]phenyl]-1-[(2,3,6-trifluorophenyl)methyl]-,
dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

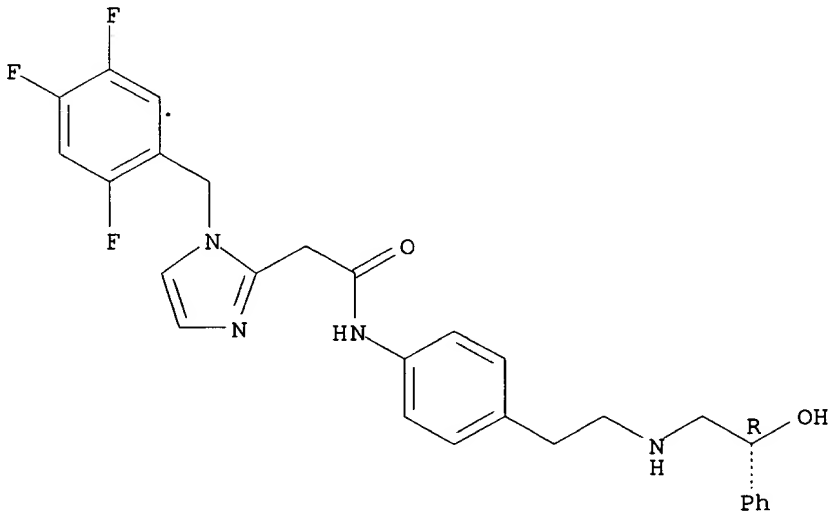



●2 HCl

RN 223672-86-4 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-
phenylethyl]amino]ethyl]phenyl]-1-[(2,4,5-trifluorophenyl)methyl]-,
dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A




PAGE 2-A

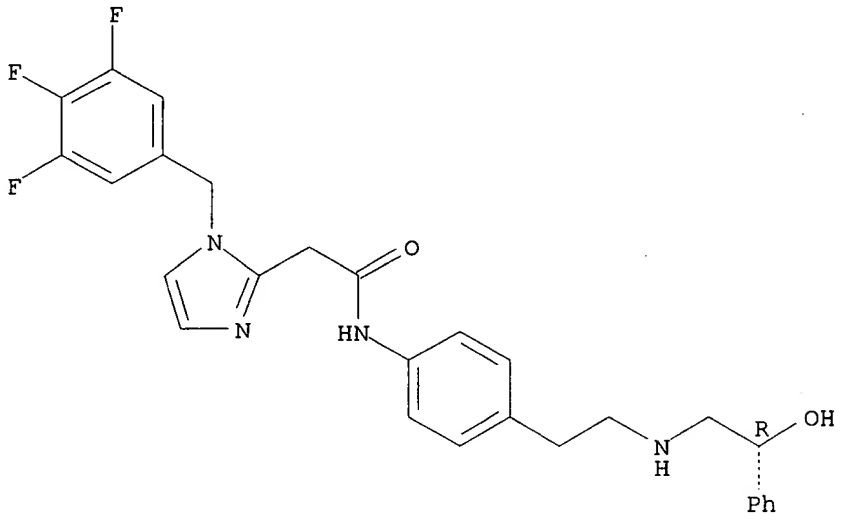
●2 HCl

Searched by Barb O'Bryen, STIC 308-4291

RN 223672-87-5 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-[(3,4,5-trifluorophenyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



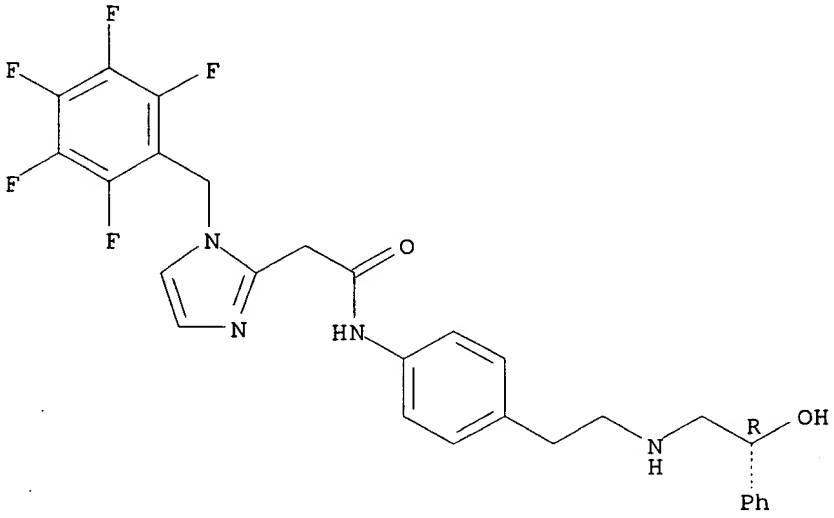

PAGE 2-A

●2 HCl

RN 223672-88-6 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-[(pentafluorophenyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

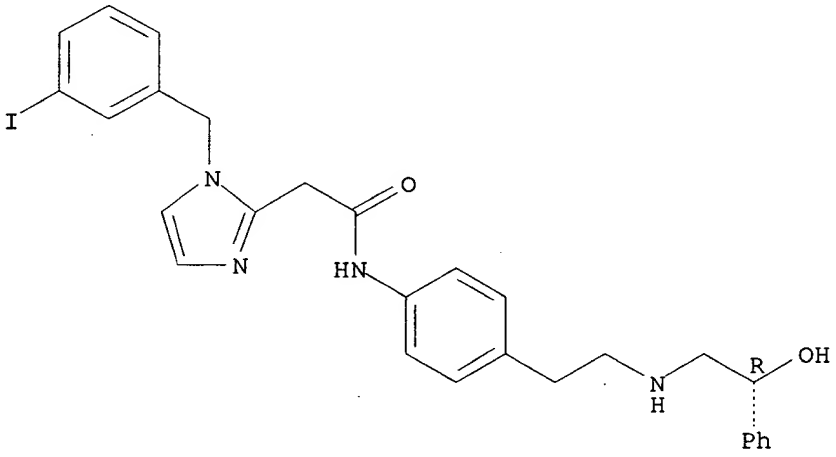


PAGE 2-A

●2 HCl

RN 223672-89-7 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-[(3-iodophenyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

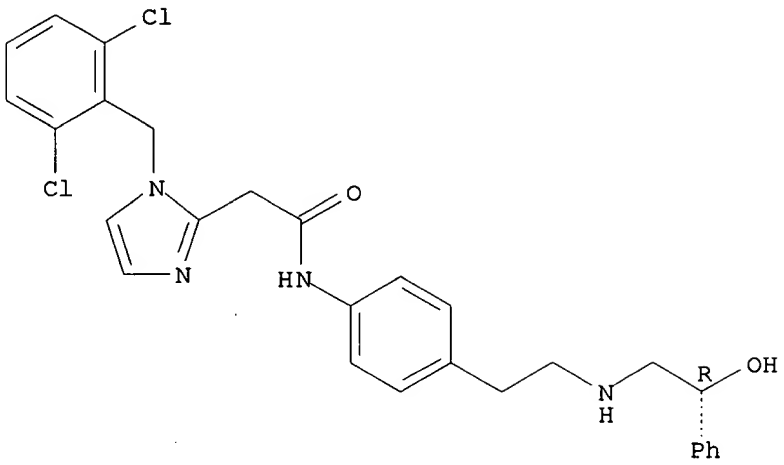
Absolute stereochemistry.



●2 HCl

RN 223672-90-0 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(2,6-dichlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

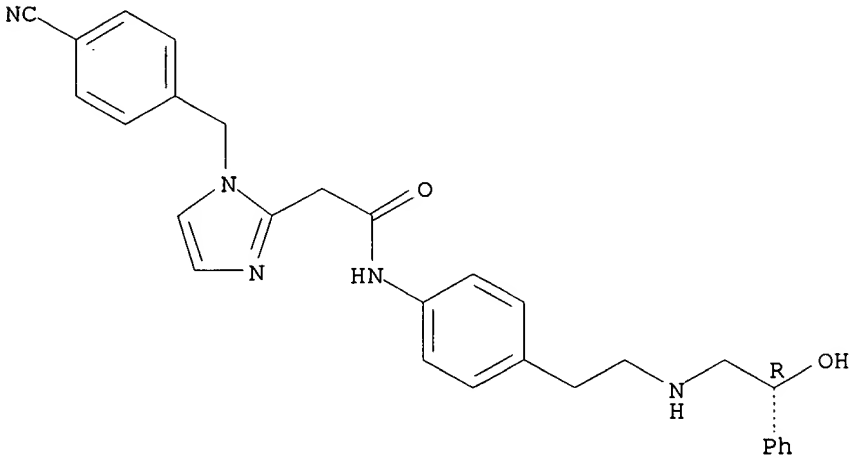
Absolute stereochemistry.




● HCl

RN 223672-91-1 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(4-cyanophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

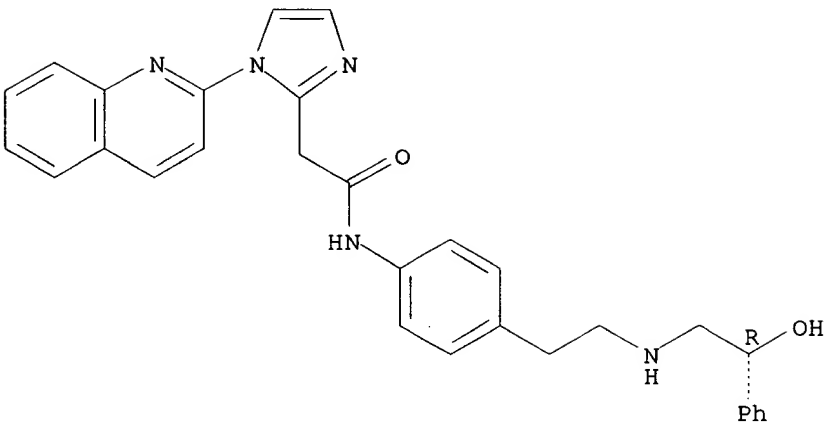
Absolute stereochemistry.




●2 HCl

RN 223672-92-2 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-(2-quinolinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

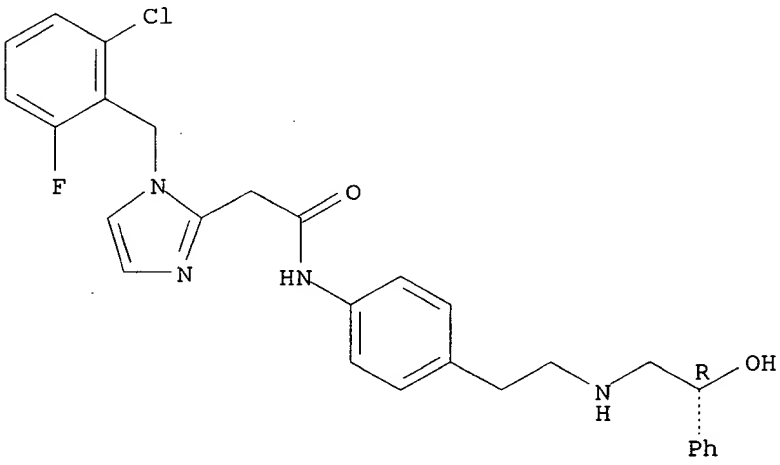
Absolute stereochemistry.



●3 HCl

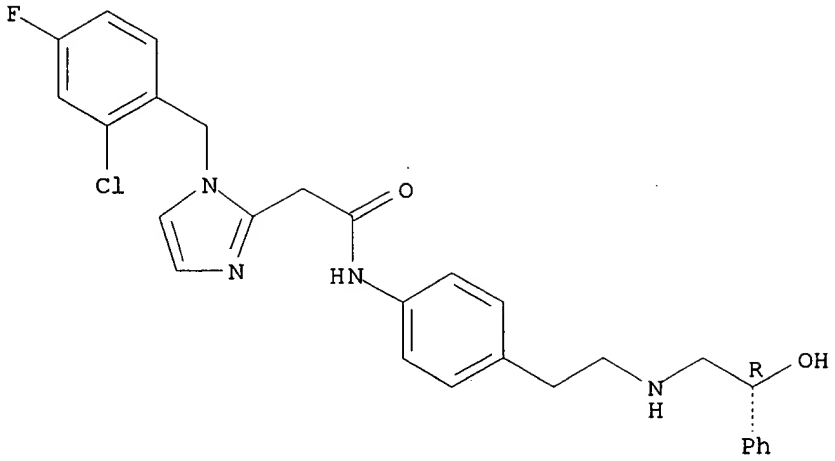
RN 223672-93-3 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(2-chloro-6-fluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



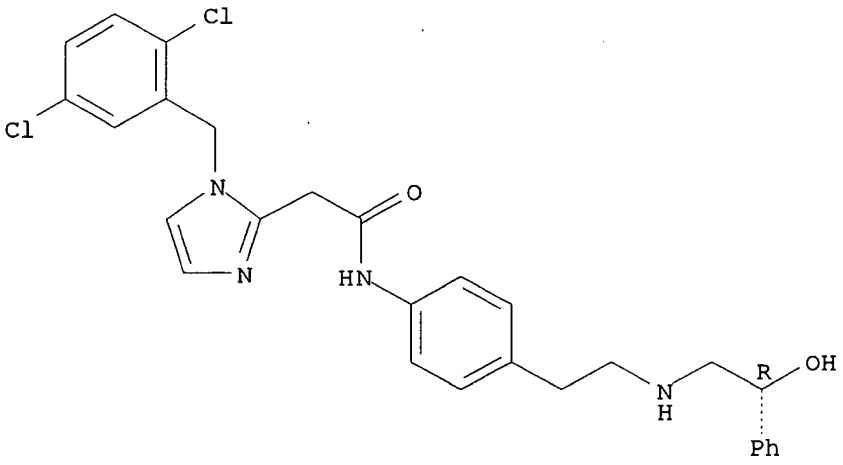
RN 223672-94-4 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(2-chloro-4-fluorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223672-95-5 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(2,5-dichlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

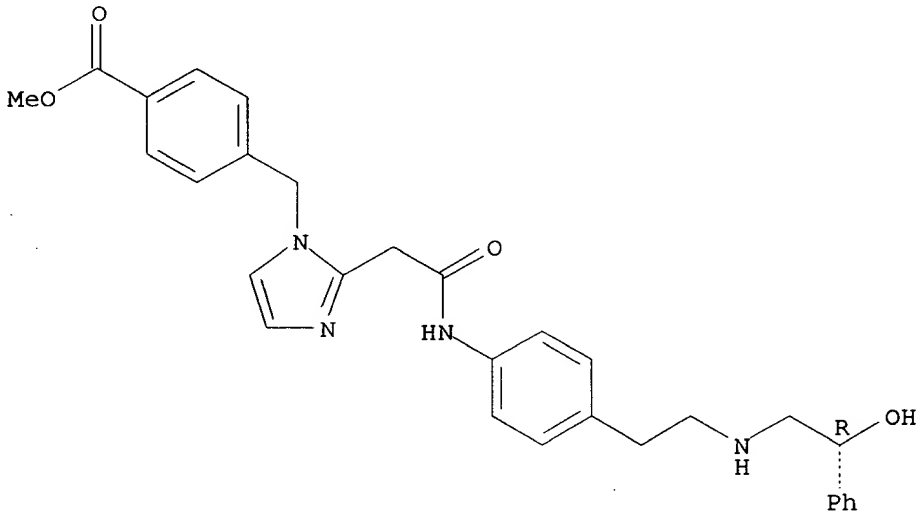


●2 HCl

RN 223672-96-6 CAPLUS
CN Benzoic acid, 4-[[2-[2-[[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]amino]-2-oxoethyl]-1H-imidazol-1-yl]methyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

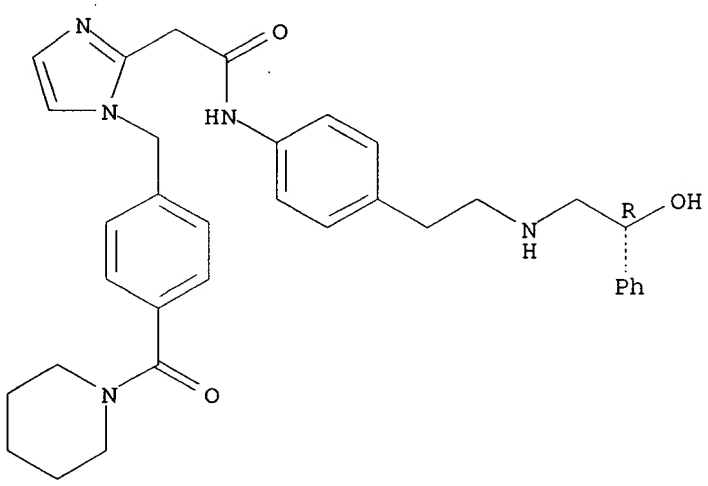


PAGE 2-A

●2 HCl

RN 223672-97-7 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-[[4-(1-piperidinylcarbonyl)phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

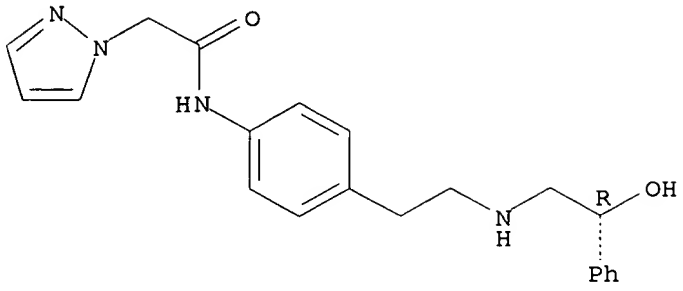
Absolute stereochemistry.



●2 HCl

RN 223672-98-8 CAPLUS
CN 1H-Pyrazole-1-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

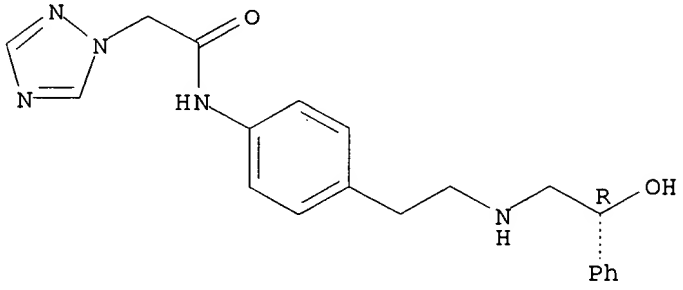
Absolute stereochemistry.



● HCl

RN 223672-99-9 CAPLUS
CN 1H-1,2,4-Triazole-1-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

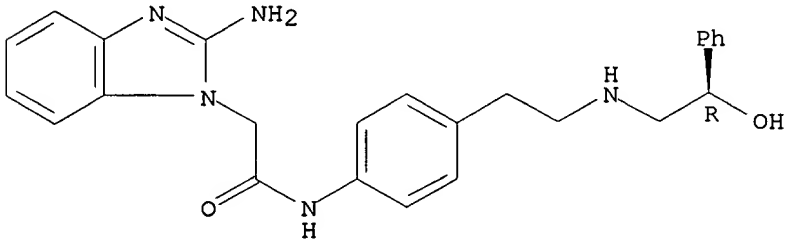
Absolute stereochemistry.



●2 HCl

RN 223673-00-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-amino-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

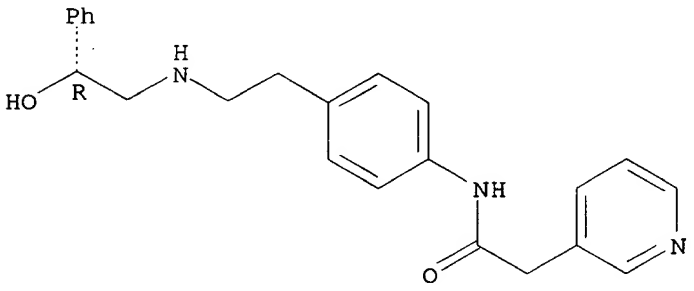


●2 HCl

Searched by Barb O'Bryen, STIC 308-4291

RN 223673-01-6 CAPLUS
CN 3-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

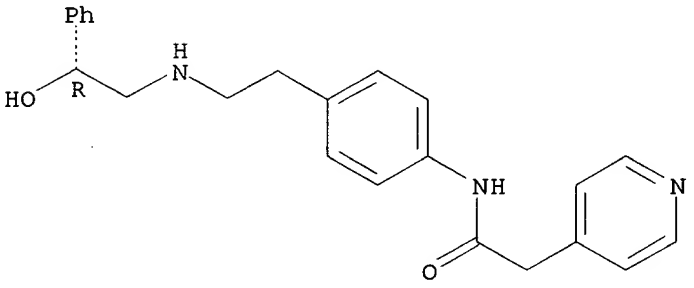
Absolute stereochemistry.




● HCl

RN 223673-02-7 CAPLUS
CN 4-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

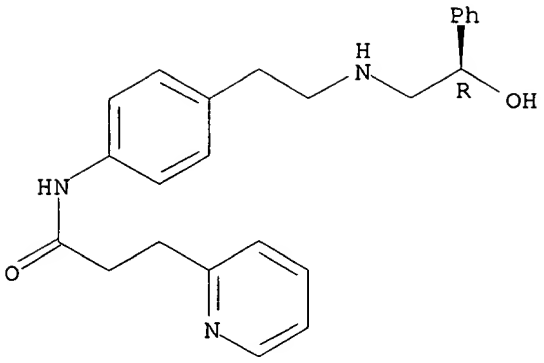
Absolute stereochemistry.




● HCl

RN 223673-03-8 CAPLUS
CN 2-Pyridinepropanamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

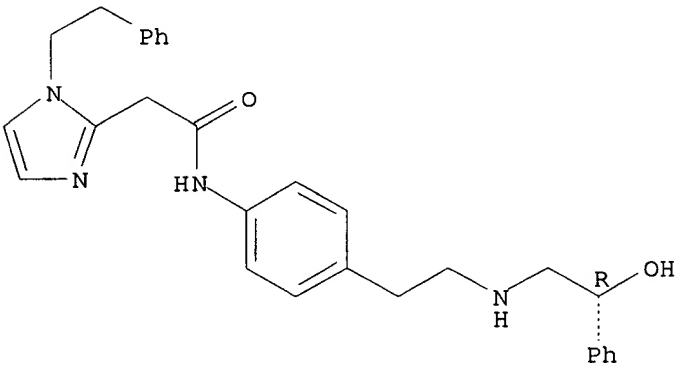
Absolute stereochemistry.




● HCl

RN 223673-04-9 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-(2-phenylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

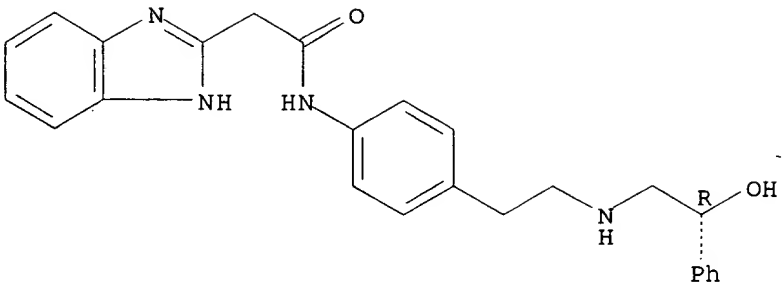
Absolute stereochemistry.




●2 HCl

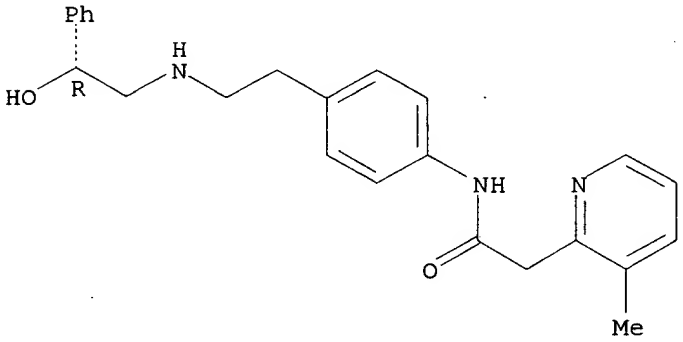
RN 223673-05-0 CAPLUS
CN 1H-Benzimidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223673-06-1 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

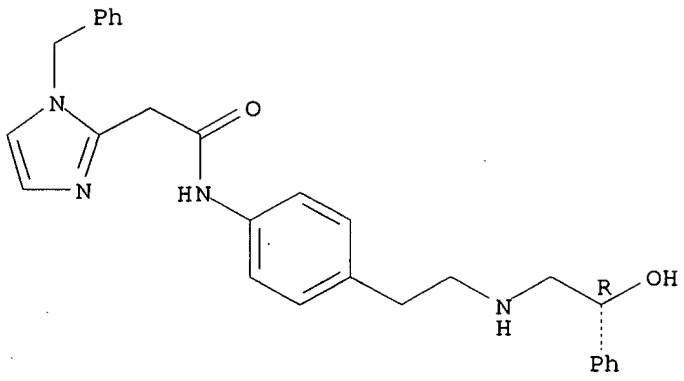
Absolute stereochemistry.



● HCl

RN 223673-07-2 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

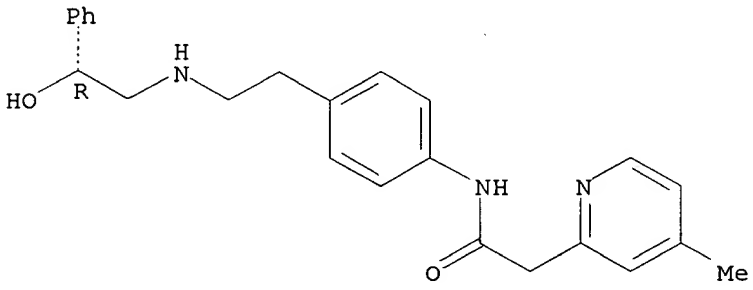
Absolute stereochemistry.



●2 HCl

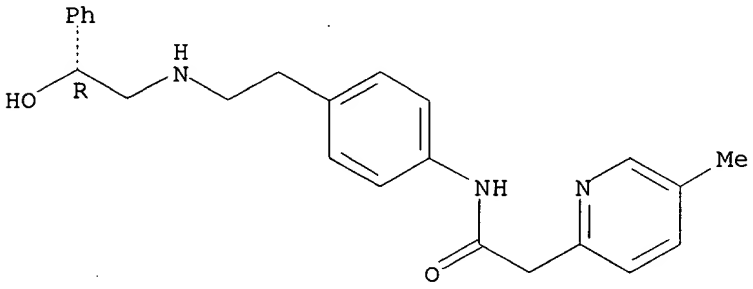
RN 223673-08-3 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



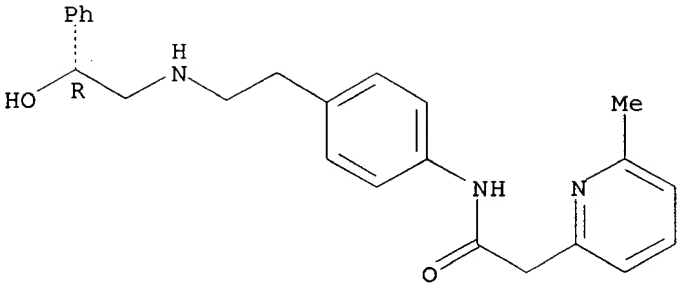
RN 223673-09-4 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



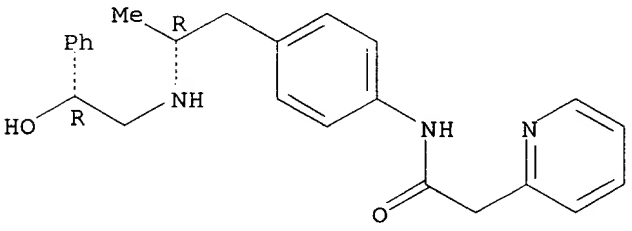
RN 223673-10-7 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223673-11-8 CAPLUS
CN 2-Pyridineacetamide, N-[4-[(2R)-2-[[(2R)-2-hydroxy-2-phenylethyl]amino]propyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

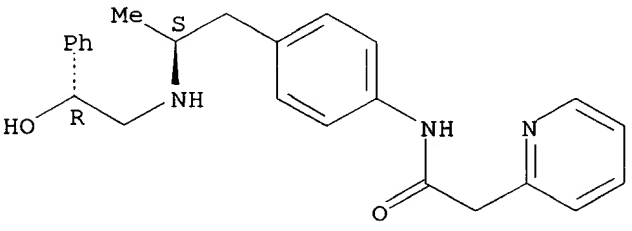
Absolute stereochemistry.



● HCl

RN 223673-12-9 CAPLUS
CN 2-Pyridineacetamide, N-[4-[(2S)-2-[[(2R)-2-hydroxy-2-phenylethyl]amino]propyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

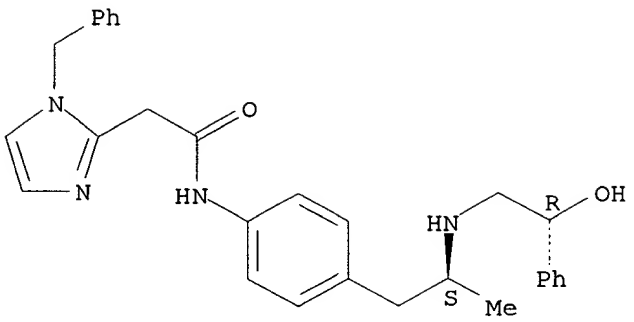
Absolute stereochemistry.



● HCl

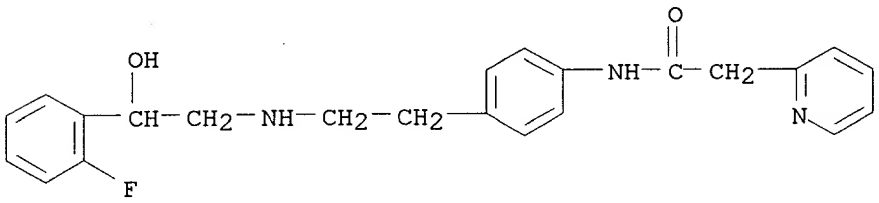
RN 223673-13-0 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[(2S)-2-[[(2R)-2-hydroxy-2-phenylethyl]amino]propyl]phenyl]-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



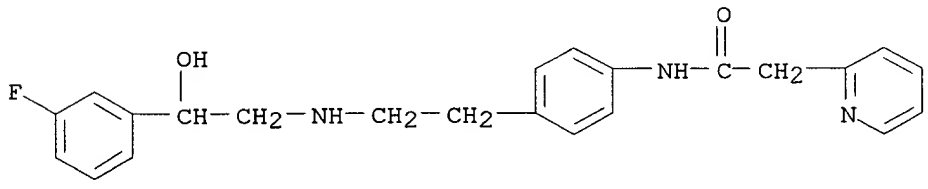
● HCl

RN 223673-14-1 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-(2-fluorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



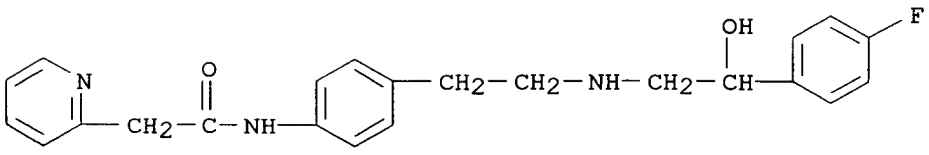
● HCl

RN 223673-15-2 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-(3-fluorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

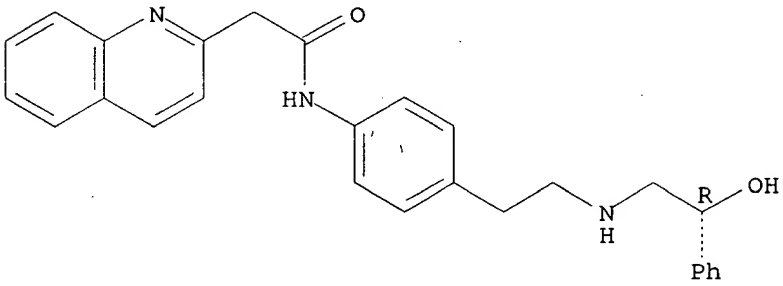
RN 223673-16-3 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-(4-fluorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 223673-17-4 CAPLUS
CN 2-Quinolineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

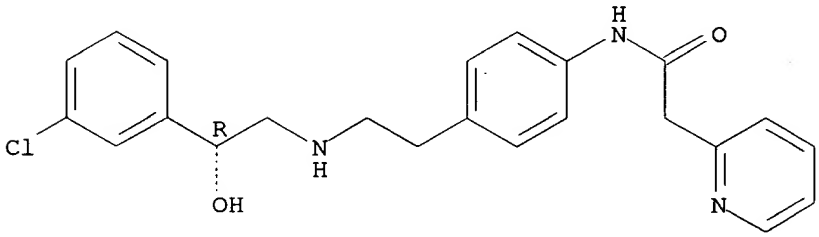
Absolute stereochemistry.



● HCl

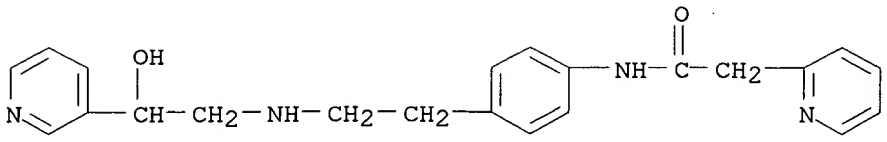
RN 223673-18-5 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

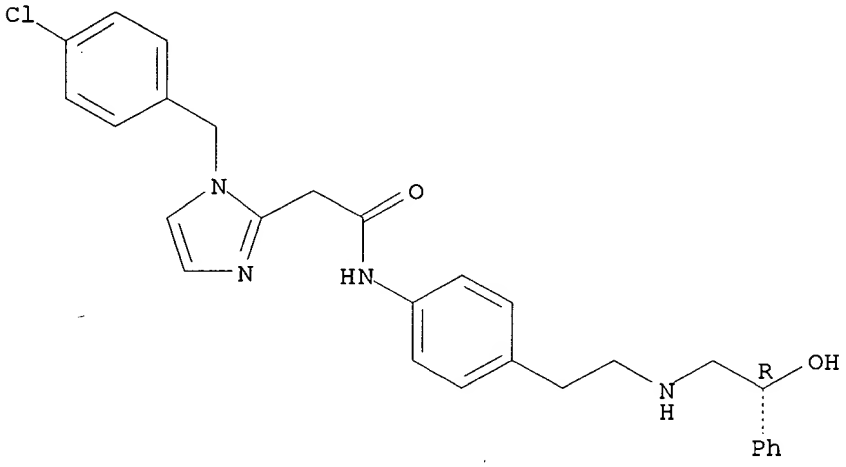
RN 223673-19-6 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(3-pyridinyl)ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 223673-20-9 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(4-chlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

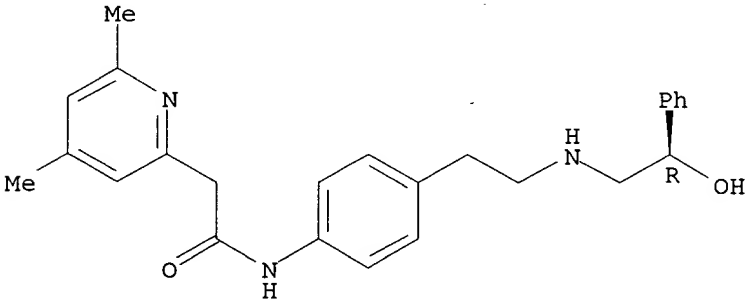
Absolute stereochemistry.



●2 HCl

RN 223673-21-0 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)

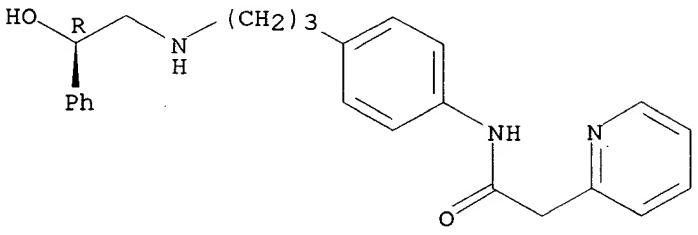
Absolute stereochemistry.



RN 223673-22-1 CAPLUS
CN 2-Pyridineacetamide, N-[4-[3-[[(2R)-2-hydroxy-2-phenylethyl]amino]propyl]phenyl]-, monohydrochloride (9CI) (CA INDEX

NAME)

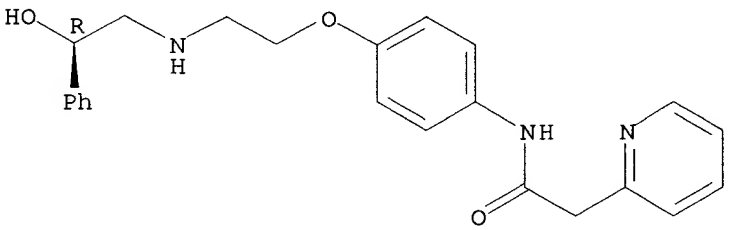
Absolute stereochemistry.



● HCl

RN 223673-23-2 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethoxy]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

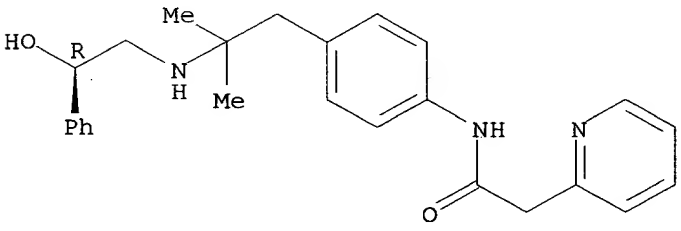
Absolute stereochemistry.



● HCl

RN 223673-25-4 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]-2-methylpropyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

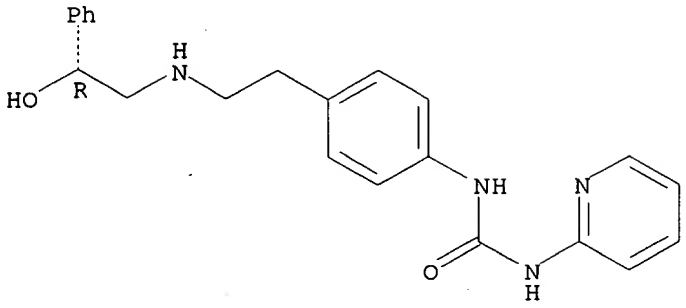
Absolute stereochemistry.



● HCl

RN 223673-26-5 CAPLUS
CN Urea, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-N'-2-pyridinyl-, dihydrochloride (9CI) (CA INDEX NAME)

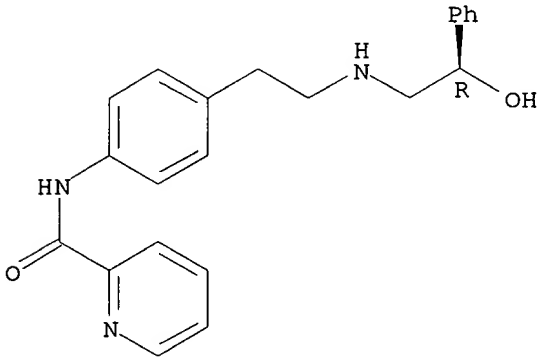
Absolute stereochemistry.



● 2 HCl

RN 223673-27-6 CAPLUS
CN 2-Pyridinecarboxamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

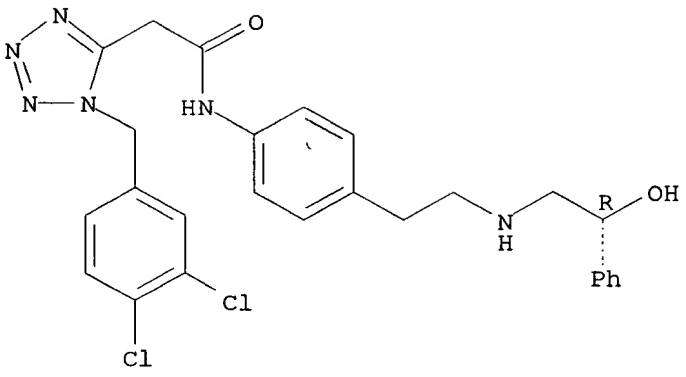
Absolute stereochemistry.



● 2 HCl

RN 223673-28-7 CAPLUS
CN 1H-Tetrazole-5-acetamide, 1-[(3,4-dichlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

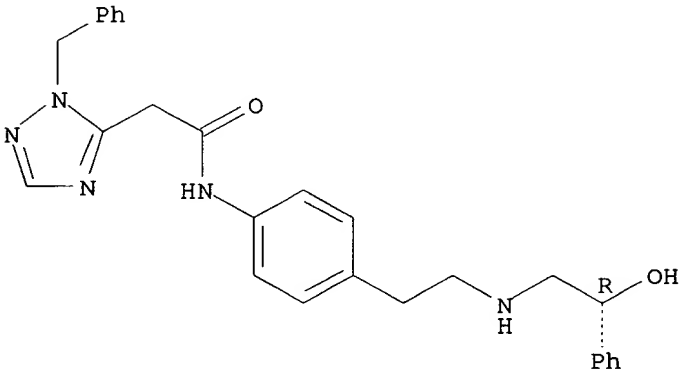
Absolute stereochemistry.



●2 HCl

RN 223673-29-8 CAPLUS
CN 1H-1,2,4-Triazole-5-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

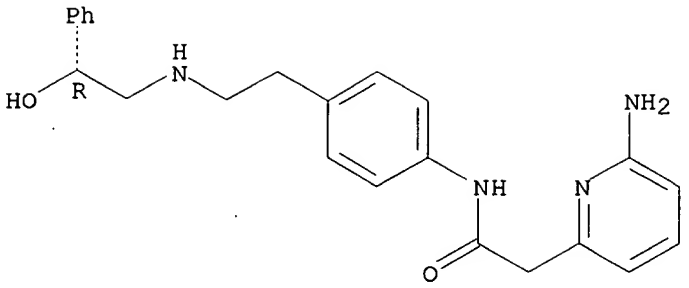
Absolute stereochemistry.



●2 HCl

RN 223673-30-1 CAPLUS
CN 2-Pyridineacetamide, 6-amino-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

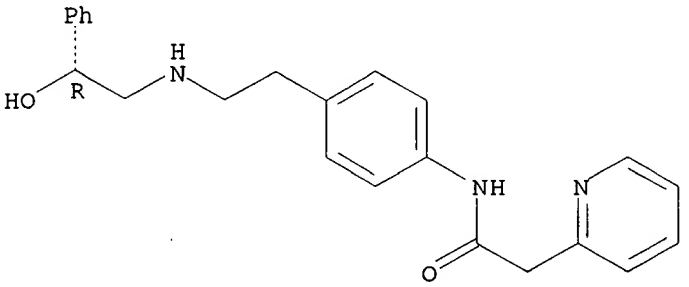
Absolute stereochemistry.



●2 HCl

RN 223673-31-2 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

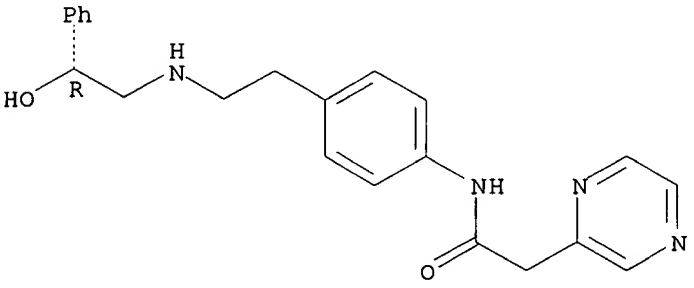
Absolute stereochemistry.



●2 HCl

RN 223673-32-3 CAPLUS
CN Pyrazineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

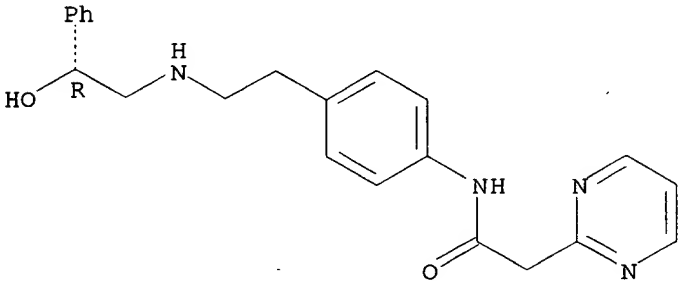


●2 HCl

RN 223673-33-4 CAPLUS

CN 2-Pyrimidineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

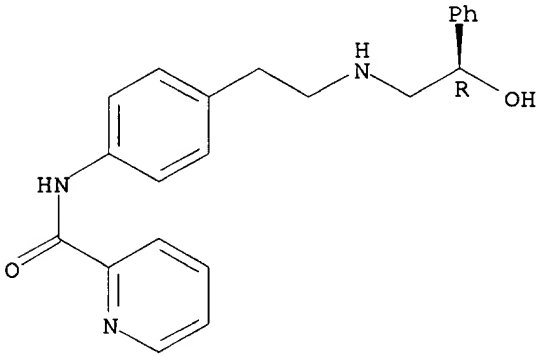
Absolute stereochemistry.



●2 HCl

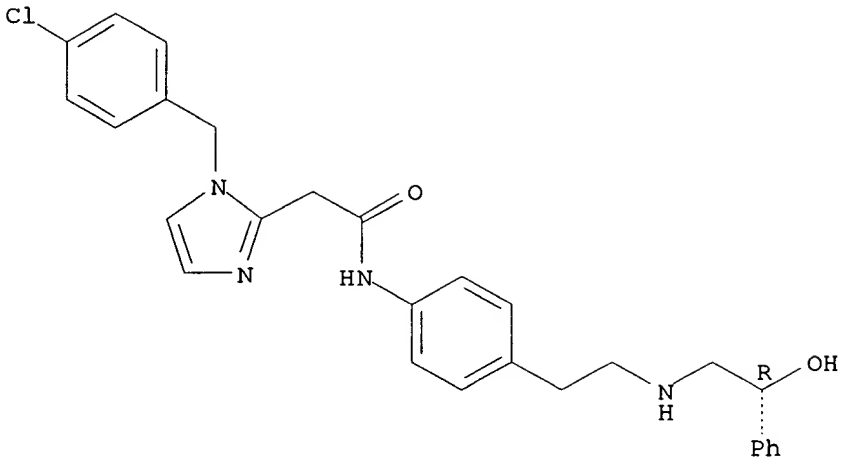
RN 223673-58-3 CAPLUS
CN 2-Pyridinecarboxamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



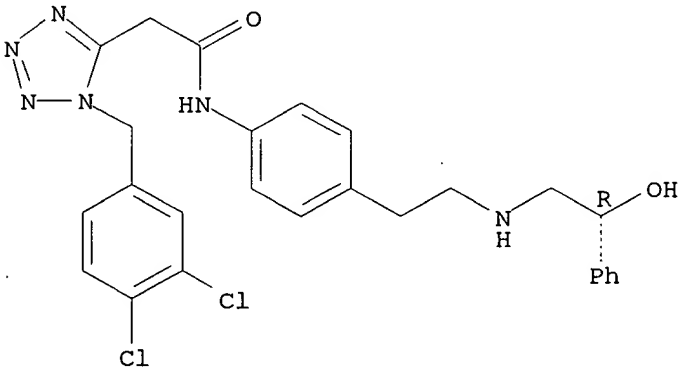
RN 223673-59-4 CAPLUS
CN 1H-Imidazole-2-acetamide, 1-[(4-chlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



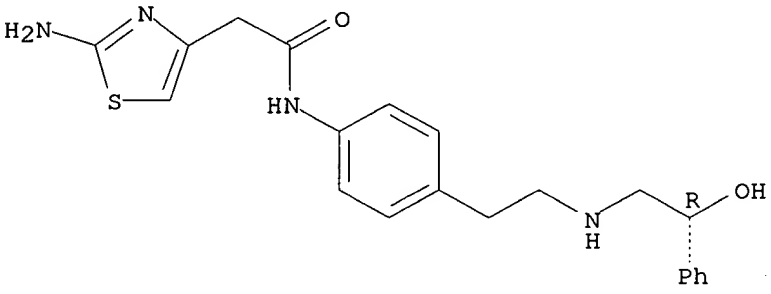
RN 223673-60-7 CAPLUS
CN 1H-Tetrazole-5-acetamide, 1-[(3,4-dichlorophenyl)methyl]-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



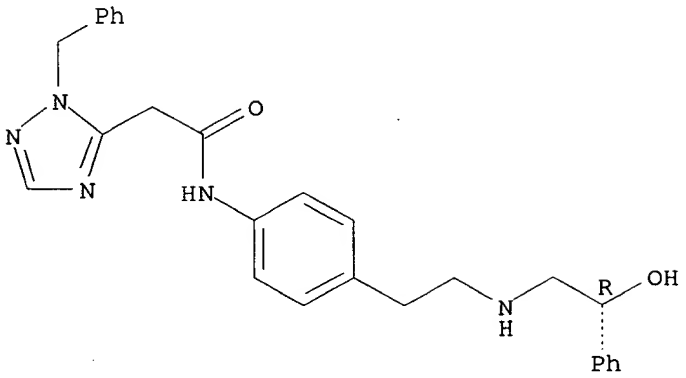
RN 223673-61-8 CAPLUS
CN 4-Thiazoleacetamide, 2-amino-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



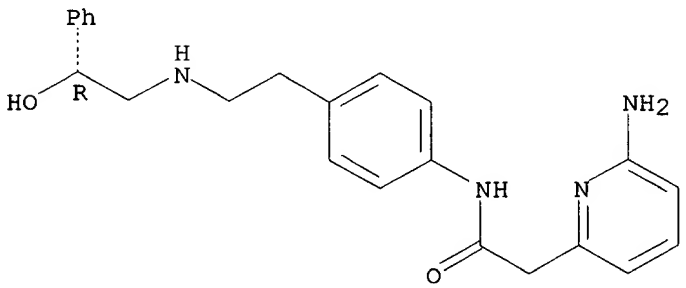
RN 223673-62-9 CAPLUS
CN 1H-1,2,4-Triazole-5-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



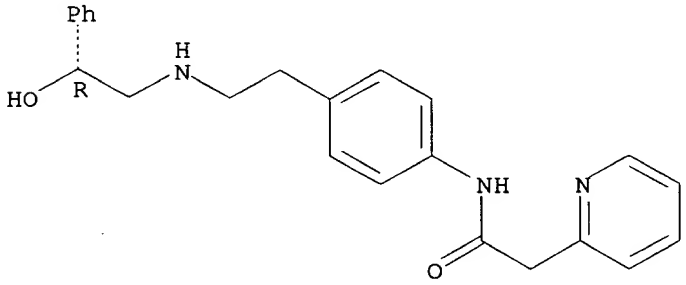
RN 223673-63-0 CAPLUS
CN 2-Pyridineacetamide, 6-amino-N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



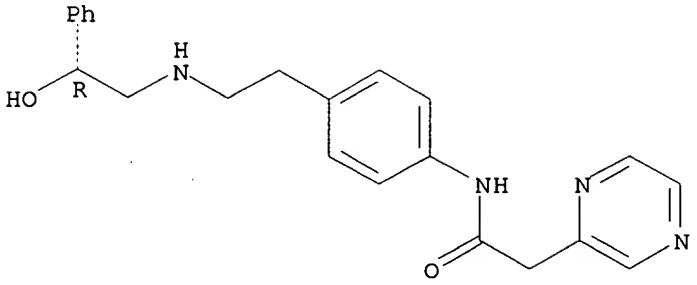
RN 223673-64-1 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



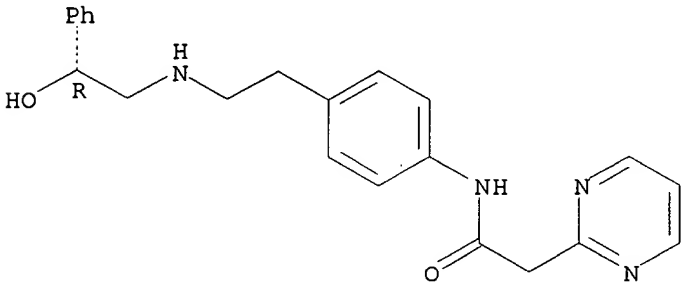
RN 223673-65-2 CAPLUS
CN Pyrazineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223673-66-3 CAPLUS
CN 2-Pyrimidineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

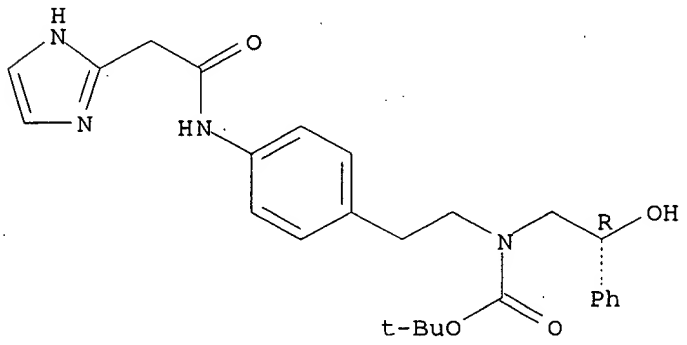
Absolute stereochemistry.



IT **223673-45-8 223673-47-0 223673-48-1**
**223673-49-2 223673-50-5 223673-51-6**
**223673-52-7 223673-53-8 223673-56-1**
**223673-57-2**
RL: RCT (Reactant)
(prepn. of amides as antidiabetics)

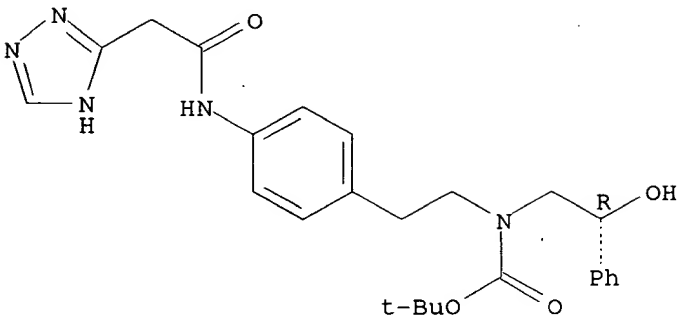
RN 223673-45-8 CAPLUS
CN Carbamic acid, [(2R)-2-hydroxy-2-phenylethyl][2-[4-[(1H-imidazol-2-ylacetyl)amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



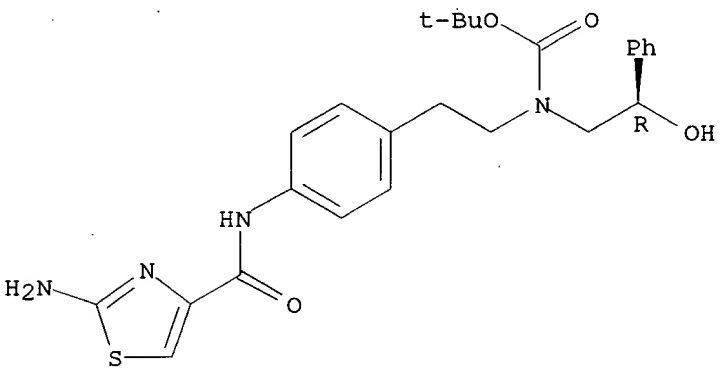
RN 223673-47-0 CAPLUS
CN Carbamic acid, [(2R)-2-hydroxy-2-phenylethyl][2-[4-[(1H-1,2,4-triazol-3-ylacetyl)amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



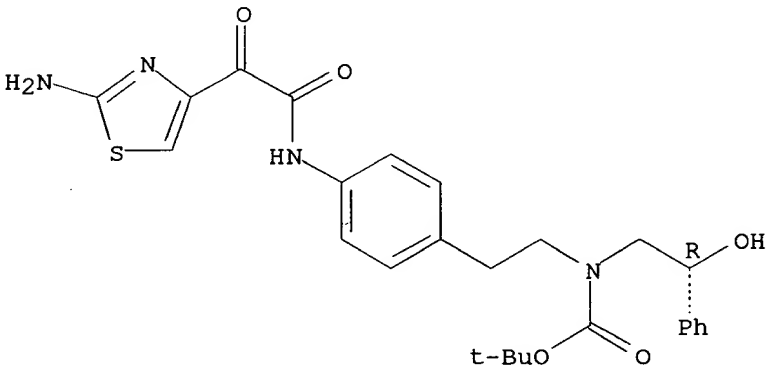
RN 223673-48-1 CAPLUS
CN Carbamic acid, [2-[4-[[(2-amino-4-thiazolyl)carbonyl]amino]phenyl]ethyl][(2R)-2-hydroxy-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223673-49-2 CAPLUS
CN Carbamic acid, [2-[4-[[(2-amino-4-thiazolyl)oxoacetyl]amino]phenyl]ethyl][(2R)-2-hydroxy-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

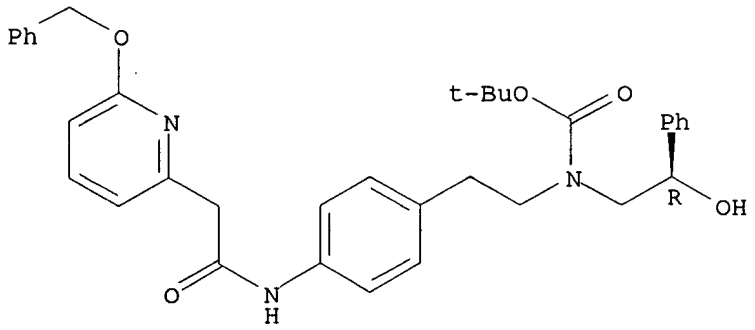
Absolute stereochemistry.



RN 223673-50-5 CAPLUS
CN Carbamic acid, [(2R)-2-hydroxy-2-phenylethyl][2-[4-[[[6-(phenylmethoxy)-2-

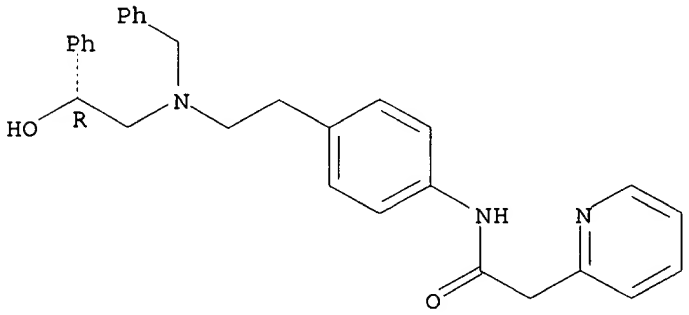
pyridinyl]acetyl]amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



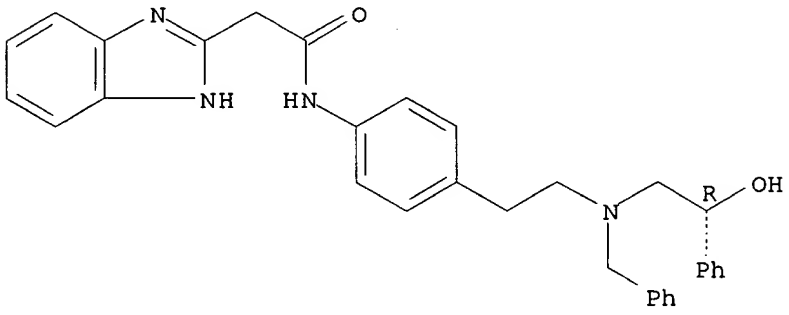
RN 223673-51-6 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



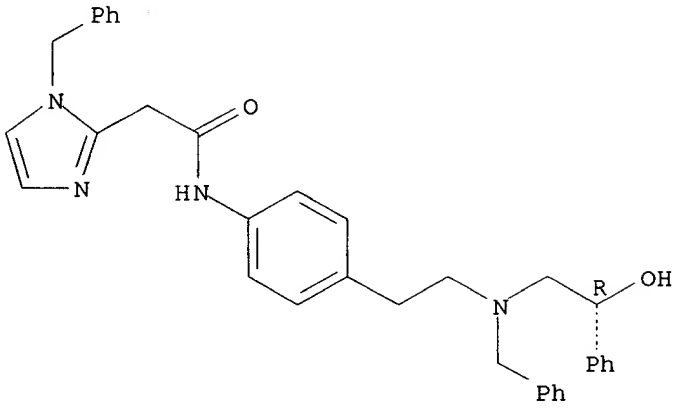
RN 223673-52-7 CAPLUS
CN 1H-Benzimidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



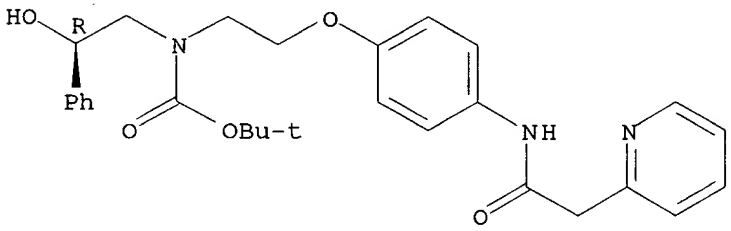
RN 223673-53-8 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl](phenylmethyl)amino]ethyl]phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



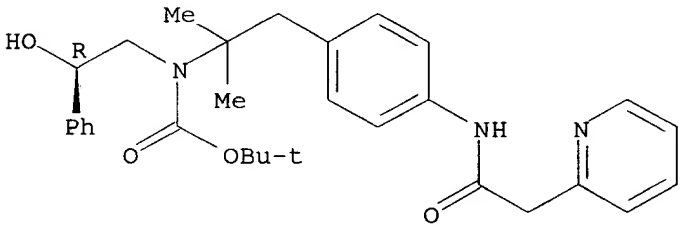
RN 223673-56-1 CAPLUS
CN Carbamic acid, [(2R)-2-hydroxy-2-phenylethyl][2-[4-[(2-pyridinylacetyl)amino]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223673-57-2 CAPLUS
CN Carbamic acid, [1,1-dimethyl-2-[4-[(2-pyridinylacetyl)amino]phenyl]ethyl][(2R)-2-hydroxy-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

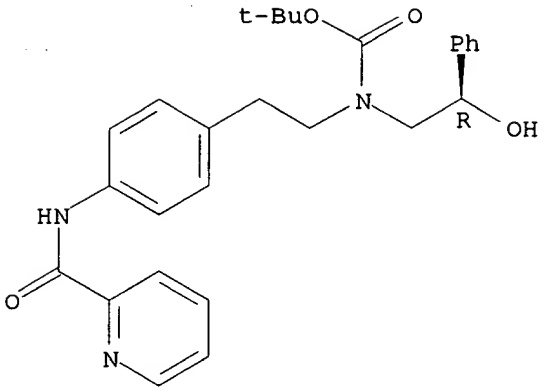
Absolute stereochemistry.



IT **223673-37-8P 223673-38-9P 223673-39-0P**
**223673-41-4P 223673-44-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of amides as antidiabetics)
RN 223673-37-8 CAPLUS
CN Carbamic acid, [(2R)-2-hydroxy-2-phenylethyl][2-[4-[(2-pyridinylcarbonyl)amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

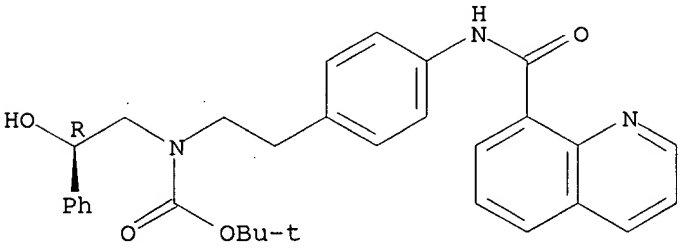
Absolute stereochemistry.

Searched by Barb O'Bryen, STIC 308-4291



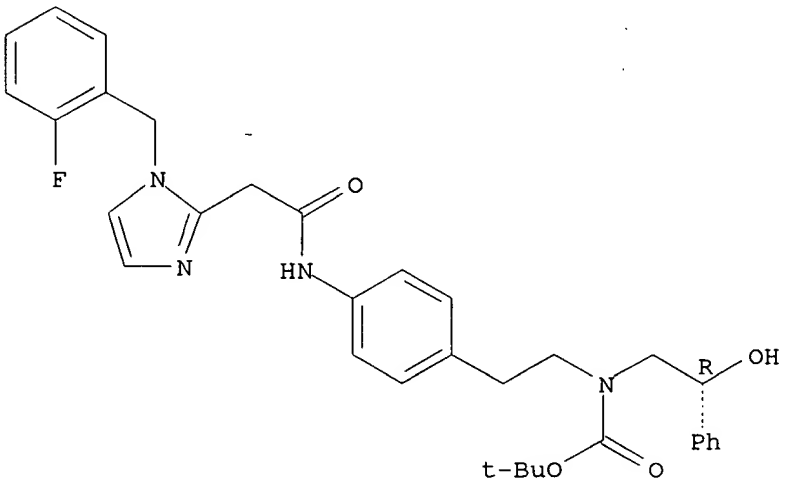
RN 223673-38-9 CAPLUS
CN Carbamic acid, [(2R)-2-hydroxy-2-phenylethyl][2-[4-[(8-quinolinylcarbonyl)amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223673-39-0 CAPLUS
CN Carbamic acid, [2-[4-[[[1-[(2-fluorophenyl)methyl]-1H-imidazol-2-yl]acetyl]amino]phenyl]ethyl][(2R)-2-hydroxy-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

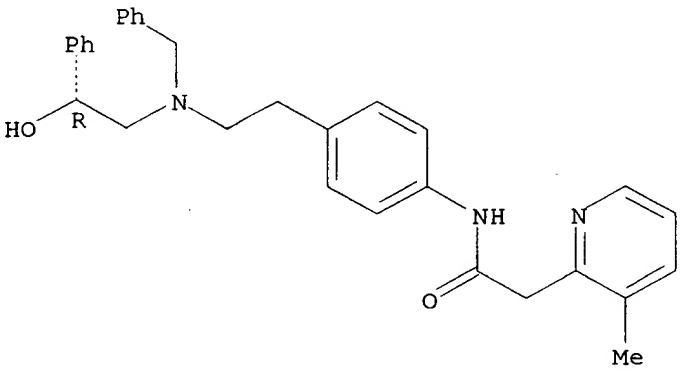


RN 223673-41-4 CAPLUS

Searched by Barb O'Bryen, STIC 308-4291

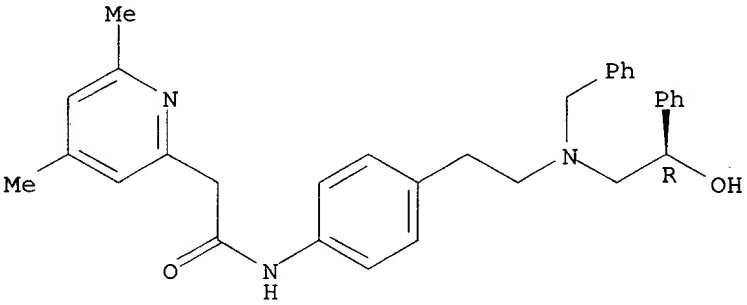
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl](phenylmethyl)amino]ethyl]phenyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 223673-44-7 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[(2R)-2-hydroxy-2-phenylethyl](phenylmethyl)amino]ethyl]phenyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 10
REFERENCE(S): (1) Merck & Co Inc; JP 07-10827 A 1995
(2) Merck & Co Inc; US 5553475 A 1995
(5) Merck & Co Inc; US 5541197 A 1997 CAPLUS
(7) Merck & Co Inc; WO 95/29159 A1 1997 CAPLUS
(10) Takeda Chem Ind Ltd; EP 643050 A1 1996 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1998:535771 CAPLUS
DOCUMENT NUMBER: 129:198012
TITLE: Preparation of phenethanol derivatives and their use as antidiabetic agents
INVENTOR(S): Maruyama, Tatsuya; Onta, Kenichi; Hayakawa, Akihiko; Matsui, Tetsuo
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 10218861 | A2 | 19980818 | JP 1997-21870 | 19970204 |

OTHER SOURCE(S): MARPAT 129:198012

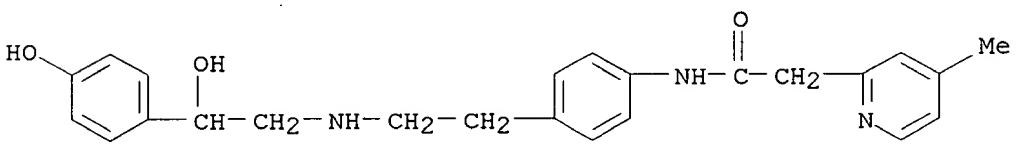
GI For diagram(s), see printed CA Issue.

AB The derivs. I [ring B = II, III, IV; X, Y = O, S, NR6; R1 = H, lower alkyl; R2 = H, lower alkyl, NHSO2Me, NHCOR3; R3 = H, lower alkyl, mono- or di(lower alkylamino), aryl, aralkyl; R4, R5 = H, lower alkyl, amino; R6 = H, lower alkyl, aralkyl] or their salts as .beta.3-adrenoceptor agonists are prepd. Antidiabetic agents contg. I or thir salts as active ingredients are also claimed. I decreased blood glucose of obese and hyperglycemic kk mice with insulin resistance upon both oral and percutaneous administrations. I also increased insulin secretion in normal rats. Prepn. of some of I was given.

IT **211636-04-3P 211636-05-4P 211636-06-5P**
**211636-07-6P 211636-08-7P 211636-09-8P**
**211636-10-1P 211636-11-2P 211636-13-4P**
**211636-15-6P 211636-17-8P 211636-18-9P**
**211636-19-0P 211636-20-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antidiabetic phenethanol derivs. as .beta.3-adrenoceptor agonists)

RN 211636-04-3 CAPLUS

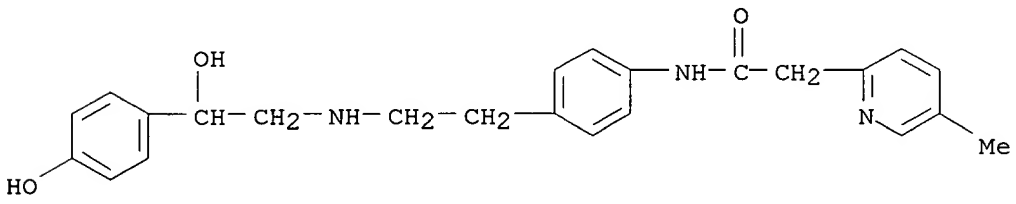
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)




● HCl

RN 211636-05-4 CAPLUS

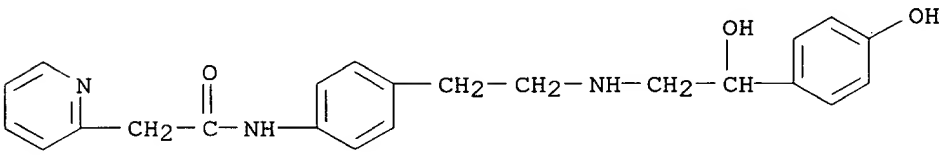
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-5-methyl-, monohydrochloride (9CI) (CA INDEX NAME)




● HCl

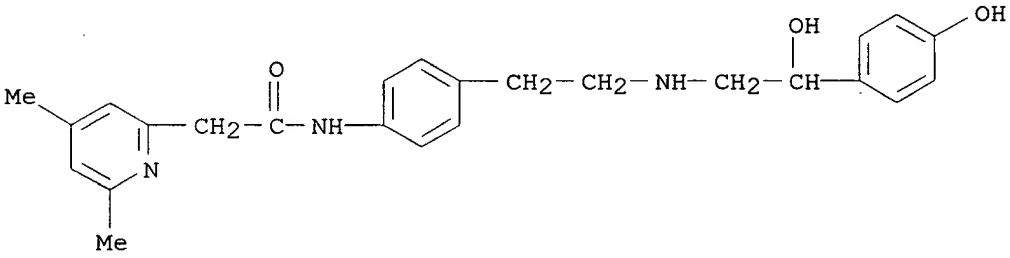
Searched by Barb O'Bryen, STIC 308-4291

RN 211636-06-5 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



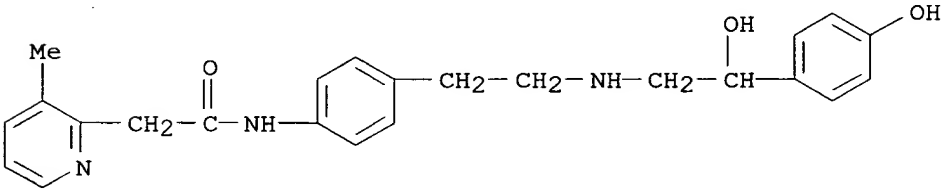
● HCl

RN 211636-07-6 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-4,6-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

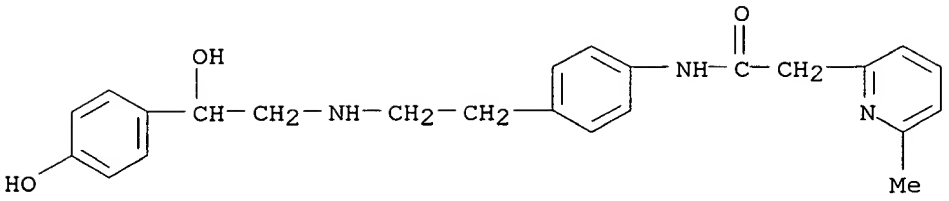
RN 211636-08-7 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

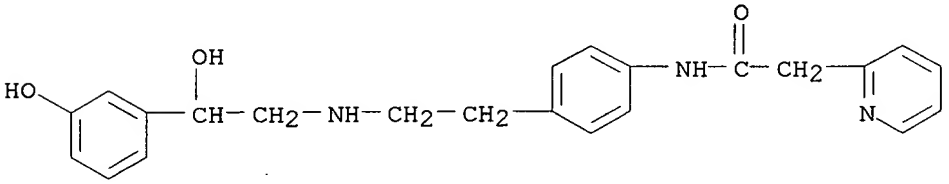
RN 211636-09-8 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-6-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Searched by Barb O'Bryen, STIC 308-4291



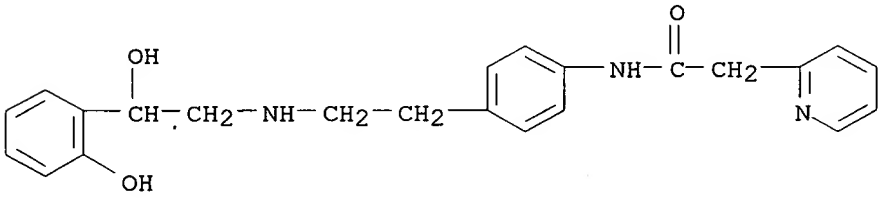
● HCl

RN 211636-10-1 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(3-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 211636-11-2 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(2-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

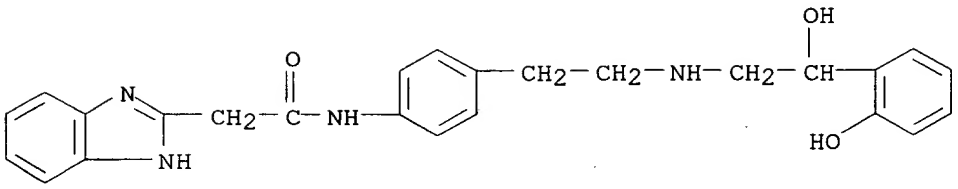


● HCl

RN 211636-13-4 CAPLUS
CN 1H-Benzimidazole-2-acetamide, N-[4-[2-[[2-hydroxy-2-(2-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

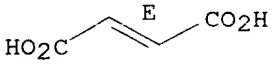
CRN 211636-12-3
CMF C25 H26 N4 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

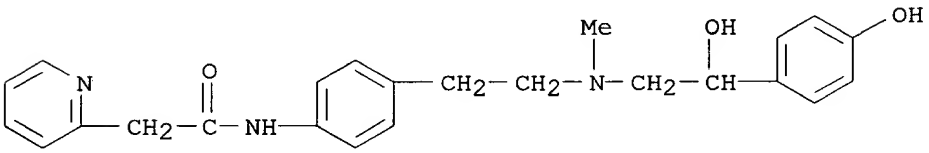
Double bond geometry as shown.



RN 211636-15-6 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]methylamino]ethyl]phenyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

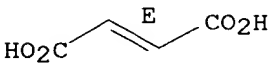
CRN 211636-14-5
CMF C24 H27 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

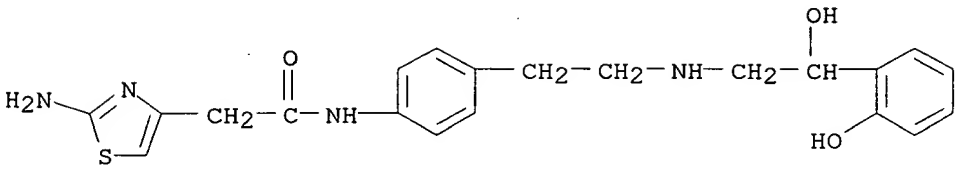
Double bond geometry as shown.



RN 211636-17-8 CAPLUS
CN 4-Thiazoleacetamide, 2-amino-N-[4-[2-[[2-hydroxy-2-(2-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-, hydrochloride trifluoroacetate (2:1:3) (salt) (9CI) (CA INDEX NAME)

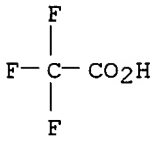
CM 1

CRN 211636-16-7
CMF C21 H24 N4 O3 S

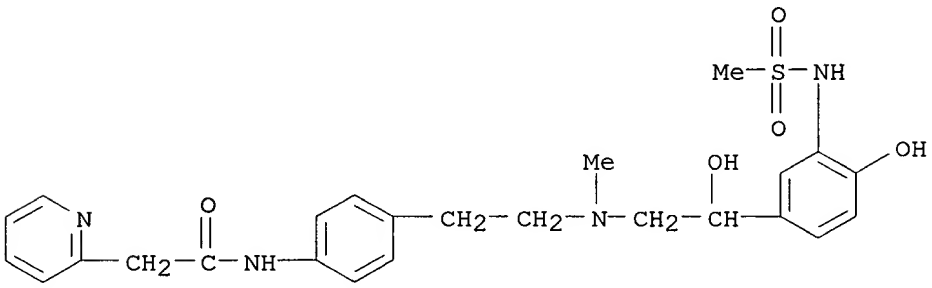


CM 2

CRN 76-05-1
CMF C2 H F3 O2

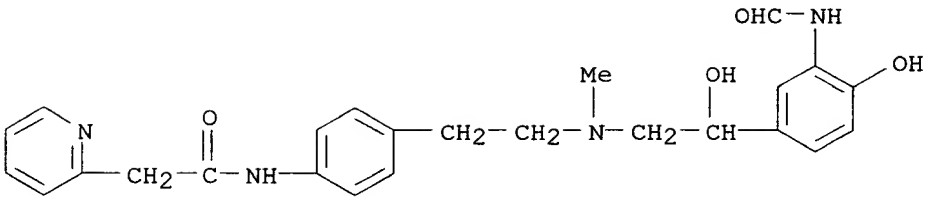


RN 211636-18-9 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]methylamino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



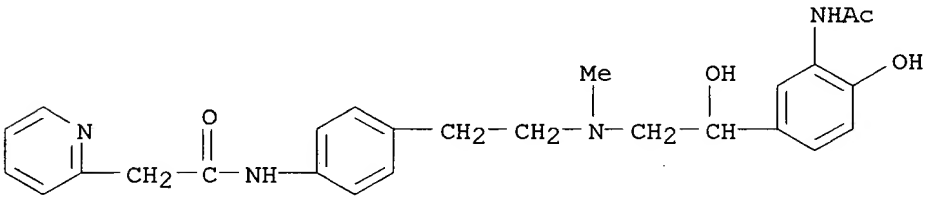
● HCl

RN 211636-19-0 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-[3-(formylamino)-4-hydroxyphenyl]-2-hydroxyethyl]methylamino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

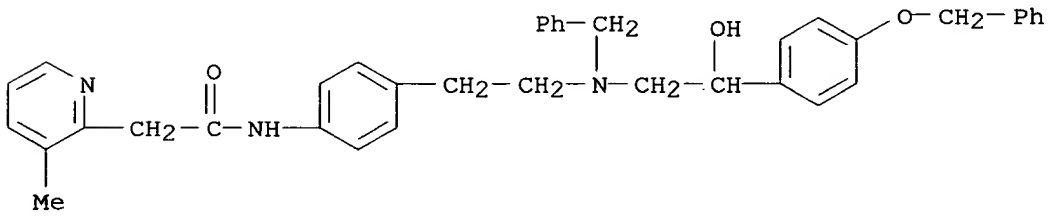
RN 211636-20-3 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-[3-(acetylamino)-4-hydroxyphenyl]-2-hydroxyethyl]methylamino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **211635-78-8P 211635-79-9P 211635-80-2P**
**211635-81-3P 211635-86-8P 211635-87-9P**
**211635-88-0P 211635-89-1P 211635-92-6P**
**211635-93-7P 211635-94-8P 211635-95-9P**
**211635-96-0P 211635-97-1P 211636-00-9P**
**211636-03-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of antidiabetic phenethanol derivs. as .beta.3-adrenoceptor agonists)

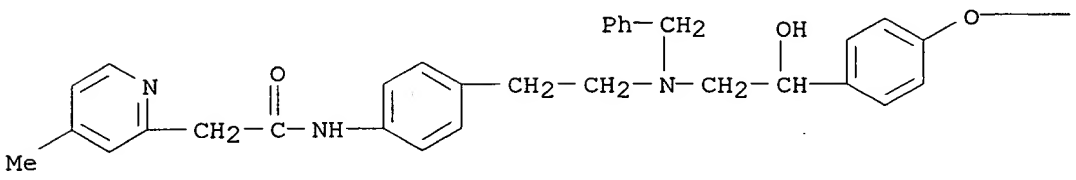
RN 211635-78-8 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 211635-79-9 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-

(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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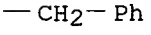
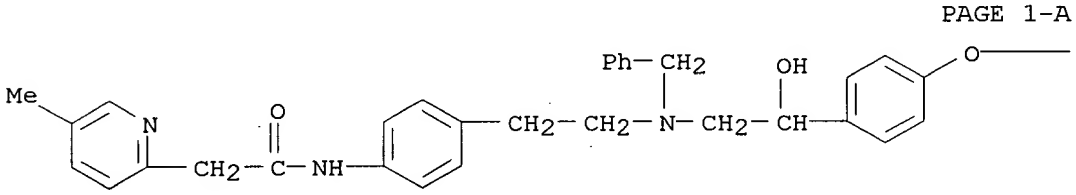
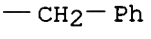


RN 211635-80-2 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-5-methyl- (9CI) (CA INDEX NAME)

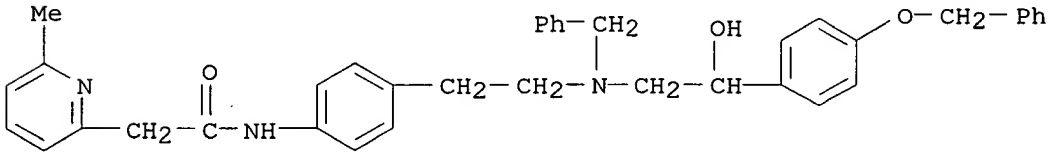
PAGE 1-A



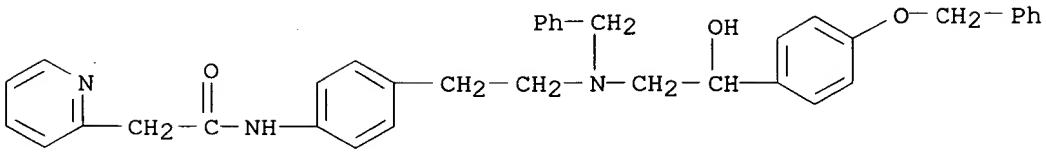
PAGE 1-B



RN 211635-81-3 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-6-methyl- (9CI) (CA INDEX NAME)

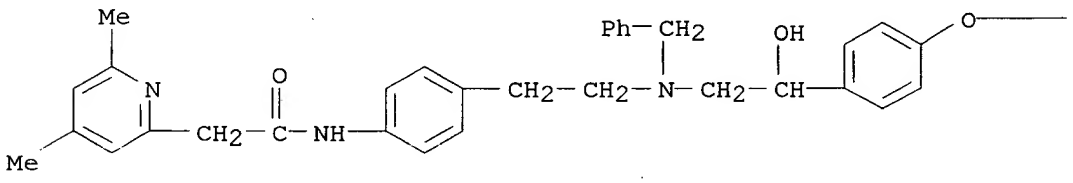


RN 211635-86-8 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 211635-87-9 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)

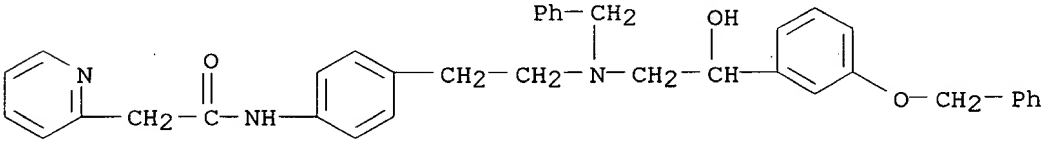
PAGE 1-A



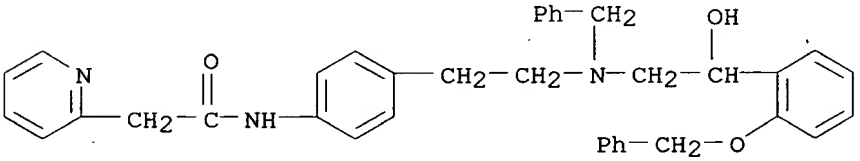
PAGE 1-B

— $CH_2$ — Ph

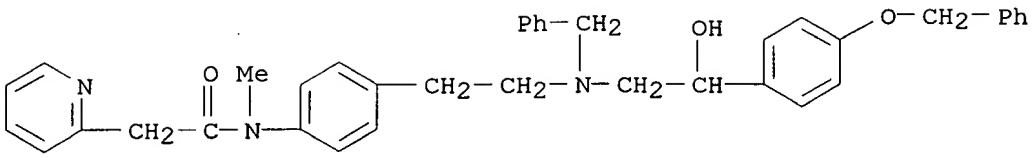
RN 211635-88-0 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[3-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



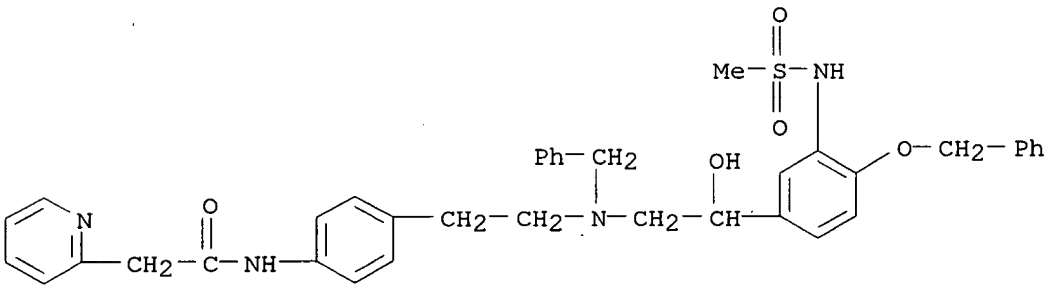
RN 211635-89-1 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[2-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



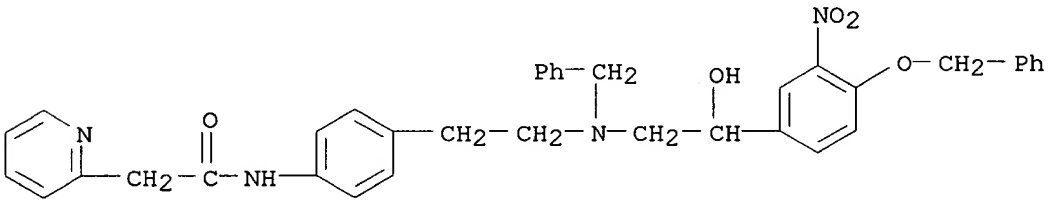
RN 211635-92-6 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



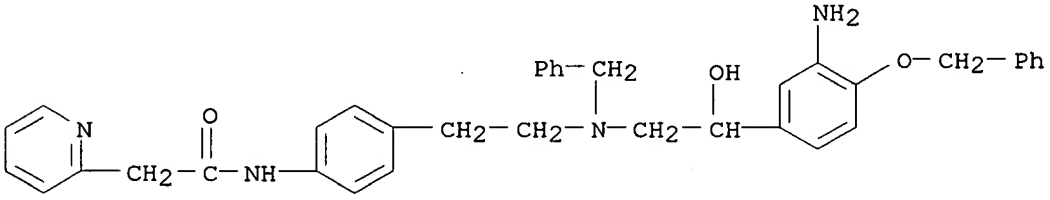
RN 211635-93-7 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[3-[(methylsulfonyl)amino]-4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



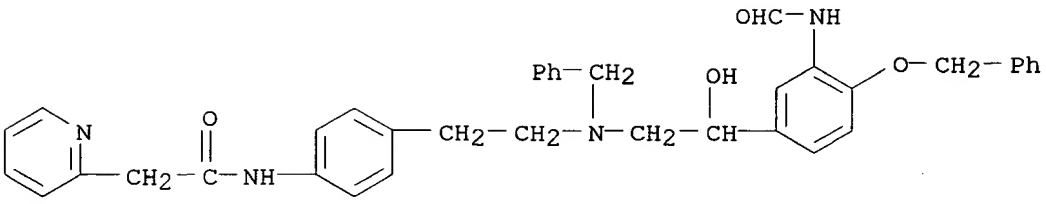
RN 211635-94-8 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[3-nitro-4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



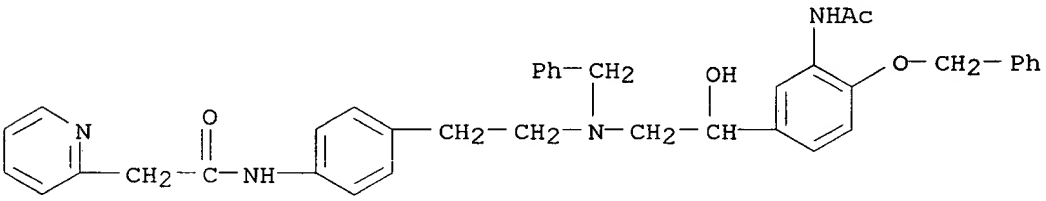
RN 211635-95-9 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-[3-amino-4-(phenylmethoxy)phenyl]-2-hydroxyethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



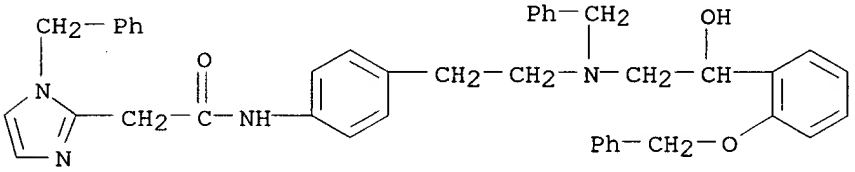
RN 211635-96-0 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-[3-(formylamino)-4-(phenylmethoxy)phenyl]-2-hydroxyethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



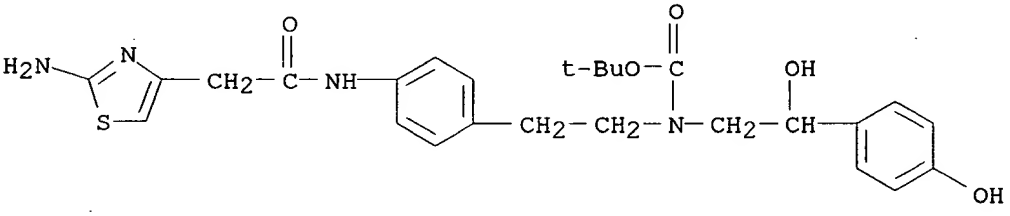
RN 211635-97-1 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-[3-(acetylamino)-4-(phenylmethoxy)phenyl]-2-hydroxyethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 211636-00-9 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[2-hydroxy-2-[2-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

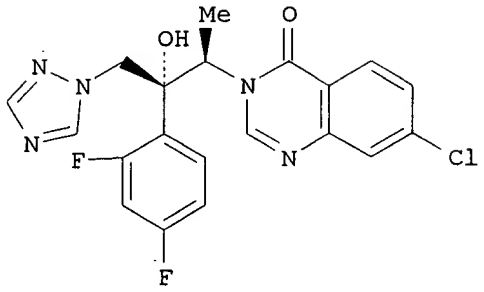


RN 211636-03-2 CAPLUS
CN Carbamic acid, [2-[4-[[(2-amino-4-thiazolyl)acetyl]amino]phenyl]ethyl][2-hydroxy-2-(4-hydroxyphenyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1998:269995 CAPLUS
DOCUMENT NUMBER: 128:303693
TITLE: New Azole Antifungals. 3. Synthesis and Antifungal Activity of 3-Substituted-4(3H)-quinazolinones
AUTHOR(S): Bartroli, Javier; Turmo, Enric; Alguero, Monica; Boncompte, Eulalia; Vericat, Maria L.; Conte, Lourdes; Ramis, Joaquim; Merlos, Manuel; Garcia-Rafanell, Searched by Barb O'Bryen, STIC 308-4291

Julian; Forn, Javier
CORPORATE SOURCE: Research Center, J. Uriach Cia. S.A., Barcelona, 08026, Spain
SOURCE: J. Med. Chem. (1998), 41(11), 1869-1882
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

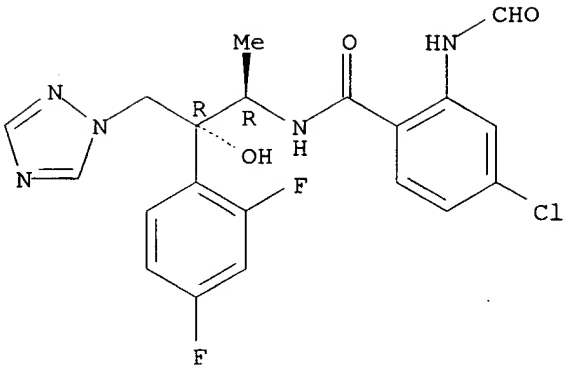
AB A series of azole antifungal agents featuring a quinazolinone nucleus have been subjected to studies of structure-activity relationships. In general, these compds. displayed higher in vitro activities against filamentous fungi and shorter half-lives than the structures described in our preceding paper. The most potent products in vitro carried a halogen (or an isostere) at the 7-position of the quinazolinone ring. Using a murine model of systemic candidosis, oral activity was found to be dependent on hydrophobicity, which, in turn, modulated the compd.'s half-life. The 7-Cl deriv., (1R,2R)-7-chloro-3-[2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]quinazolin-4(3H)-one [I, UR-9825], was selected for further testing due to its high in vitro activity, low toxicity, good pharmacokinetic profile, and ease of obtention. Compd. I is the (1R,2R) isomer of four possible stereoisomers. The other three isomers were also prepd. and tested. The enantiomer (1S,2S) and the (1R,2S) epimer were inactive, whereas the (1S,2R) epimer retained some activity. In vitro, I was superior to fluconazole, itraconazole, SCH-42427, and TAK-187 and roughly similar to voriconazole and ER-30346. In vivo, I was only moderately active in a mouse model of systemic candidosis when administration was limited to the first day. This was attributed to its short half-life in that species (t1/2 = 1 h po). Protection levels comparable to or higher than those of fluconazole, however, were obsd. in systemic candidosis models in rat and rabbit, where the half-life of the compd. was found to be 6 and 9 h, resp. Finally, I showed excellent protection levels in an immunocompromised rat model of disseminated aspergillosis. The compd. showed low toxicity signs when administered to rats at 250 mg/kg qd or at 100 mg/kg bid during 28 days.

IT **206350-06-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antifungal activity of 3-substituted-4(3H)-quinazolinones)

RN 206350-06-3 CAPLUS

CN Benzamide, 4-chloro-N-[(1R,2R)-2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]-2-(formylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1992:426440 CAPLUS
DOCUMENT NUMBER: 117:26440
TITLE: Triazole antifungals. IV. Synthesis and antifungal activities of 3-acylamino-2-aryl-2-butanol derivatives
AUTHOR(S): Konosu, Toshiyuki; Tajima, Yawara; Takeda, Noriko; Miyaoka, Takeo; Kasahara, Mayumi; Yasuda, Hiroshi; Oida, Sadao
CORPORATE SOURCE: Med. Chem. Res. Lab., Sankyo Co., Ltd., Tokyo, 140, Japan
SOURCE: Chem. Pharm. Bull. (1991), 39(10), 2581-9
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
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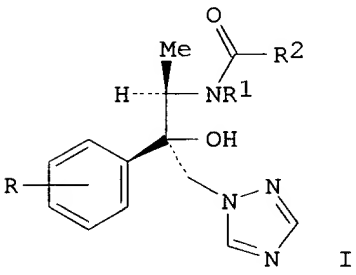



I

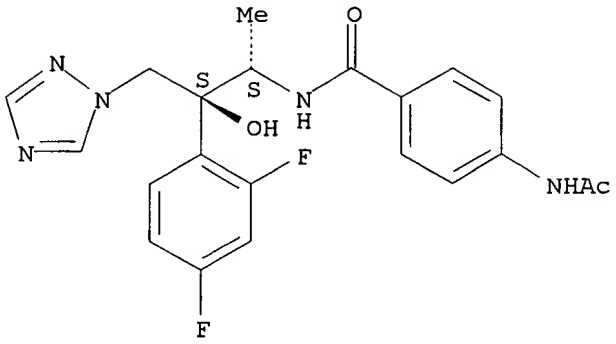
AB New triazoles, e.g., I (R = 4-Cl, 2,4-Cl2, 2,4-F2; R1 = H, Me; R2 = H, CMe3, Ph, substituted Ph, 2-furyl, 2-thienyl) were designed and synthesized as potential inhibitors of the fungal cytochrome P 450 14.alpha.-demethylase. In testing for antifungal activity against a mouse systemic Candida albicans infection, (2R,3R)-3-acylamino-2-aryl-2-butanol derivs. exhibited remarkably high efficacy after oral or parenteral administration. The structure-activity relationships of these amido alcs. were evaluated.

IT **126916-61-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antifungal activity of)

RN 126916-61-8 CAPLUS

CN Benzamide, 4-(acetylamino)-N-[2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

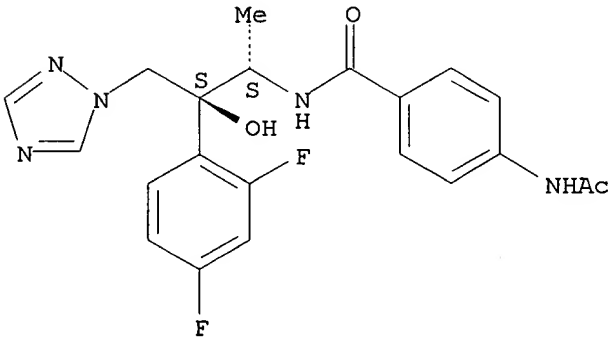



IT **138990-07-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 138990-07-5 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]-, (R*,R*)-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

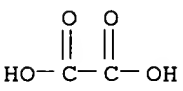
CRN 126916-61-8
CMF C21 H21 F2 N5 O3

Relative stereochemistry.




CM 2

CRN 144-62-7
CMF C2 H2 O4




L9 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1990:631379 CAPLUS
DOCUMENT NUMBER: 113:231379
Searched by Barb O'Bryen, STIC 308-4291

TITLE: Preparation and formulation of (halophenyl)-1H-1,2,4-triazol-1-ylalkanols as medical and agrochemical fungicides

INVENTOR(S): Oida, Sadao; Tajima, Yawara; Konosu, Toshiyuki; Iwata, Masayuki; Takeda, Noriko; Miyaoka, Takeo; Takeshiba, Hideo; Nakanishi, Toshiro

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 160 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 332387 | A1 | 19890913 | EP 1989-302244 | 19890306 |
| EP 332387 | B1 | 19931124 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| DK 8901051 | A | 19890905 | DK 1989-1051 | 19890303 |
| FI 8901021 | A | 19890905 | FI 1989-1021 | 19890303 |
| FI 97382 | B | 19960830 | | |
| FI 97382 | C | 19961210 | | |
| NO 8900926 | A | 19890905 | NO 1989-926 | 19890303 |
| NO 171272 | B | 19921109 | | |
| NO 171272 | C | 19930217 | | |
| JP 02191262 | A2 | 19900727 | JP 1989-51336 | 19890303 |
| HU 53889 | A2 | 19901228 | HU 1989-1034 | 19890303 |
| CN 1036759 | A | 19891101 | CN 1989-101072 | 19890304 |
| CN 1029962 | B | 19951011 | | |
| AU 8931051 | A1 | 19890907 | AU 1989-31051 | 19890306 |
| AU 622639 | B2 | 19920416 | | |
| ZA 8901678 | A | 19901128 | ZA 1989-1678 | 19890306 |
| AT 97662 | E | 19931215 | AT 1989-302244 | 19890306 |
| ES 2061975 | T3 | 19941216 | ES 1989-302244 | 19890306 |

PRIORITY APPLN. INFO.: JP 1988-51312 19880304
JP 1988-68681 19880323
JP 1988-250158 19881004
JP 1988-261211 19881017
EP 1989-302244 19890306

OTHER SOURCE(S): MARPAT 113:231379

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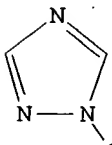


$CH_2C(OR^3)ArCHR^1X_mY_nR^2$ I

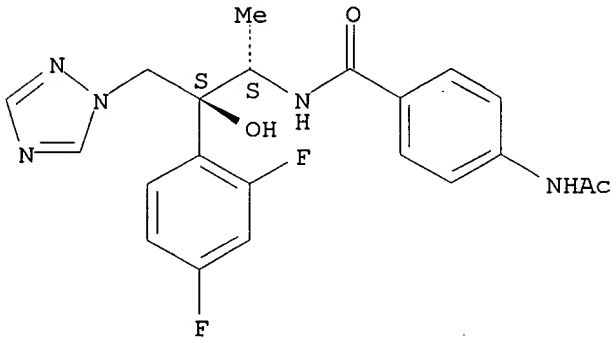
AB Title compds. I (Ar = (un)substituted Ph; R1 = H, C1-6 alkyl, etc.; R2 = C1-6 alkyl, halo-C1-6-alkyl, (un)substituted Ph, naphthyl, (un)substituted 5-6-membered heterocyclyl; R3 = H, substituted amino; X = C1-6 alkylene, C2-6 aliph. having 1 or 2 C-C double bonds, C2-6 aliph. having 1 or 2 C-C triple bonds, C3-6 cycloalkylene, etc.; Y = NR5CO, NR5COCH:CH, O2C, O2CCH:CH, SCO, SCOCH:CH, R5 = H, C1-4 alkyl; m, n = 0, 1; YR2 = N3, (un)substituted phthalimido, (un)substituted 1-oxo-2,3-dihydro-2-indolyl)

and acid addn. salts thereof, are prepd. I are useful as medical and agrochem. fungicides. When used as agrochem. fungicides, I may be blended with other fungicides and insecticides for a broader fungicidal spectrum and synergistic effect. 4-ClC6H4COCl was added to (2R,3R)-3-amino-2-(2,4-dichlorophenyl)-1-(1H-1,2,4-triazol-1-yl)-2-butanol (prepn. given) to give (2R,3R)-I (Ar = 2,4-Cl2C6H3; R1 = Me; R3 = H; Xm = O; YR2 = 4-ClC6H4CONH) and converted to the oxalate salt (II). In rice seedlings inoculated with Rhizoctonia solani, II at 100 ppm (30 mL/3 pots), gave complete control. Mice inoculated with Candida albicans and administered orally II 20 mg/kg, showed 100% survival rate.

IT **126916-61-8P 126918-10-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as fungicide)

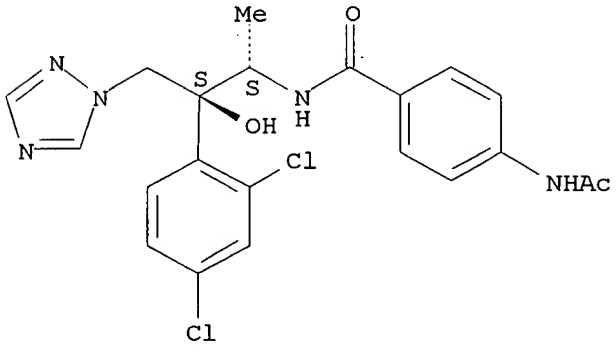
RN 126916-61-8 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.




RN 126918-10-3 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[2-(2,4-dichlorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.




L9 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1990:98544 CAPLUS
DOCUMENT NUMBER: 112:98544
TITLE: Preparation and formulation of 5-hydroxy-8-[1-hydroxy-2-(2-methyl-2-propylamine)ethyl]-2H-1,4-benzoxazin-3-(4H)-ones and analogs containing a quaternary ammonium group as broncholytics
Searched by Barb O'Bryen, STIC 308-4291

INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Muacevic, Gojko; Traunecker, Werner
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 3743265 | A1 | 19890629 | DE 1987-3743265 | 19871219 |
| EP 321864 | A2 | 19890628 | EP 1988-121011 | 19881215 |
| EP 321864 | A3 | 19901227 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| ZA 8809387 | A | 19900829 | ZA 1988-9387 | 19881215 |
| DK 8807007 | A | 19890620 | DK 1988-7007 | 19881216 |
| FI 8805811 | A | 19890620 | FI 1988-5811 | 19881216 |
| NO 8805598 | A | 19890620 | NO 1988-5598 | 19881216 |
| AU 8827022 | A1 | 19890622 | AU 1988-27022 | 19881216 |
| AU 618302 | B2 | 19911219 | | |
| DD 280099 | A5 | 19900627 | DD 1988-323312 | 19881216 |
| SU 1628854 | A3 | 19910215 | SU 1988-4613149 | 19881216 |
| JP 02000239 | A2 | 19900105 | JP 1988-320315 | 19881219 |
| HU 53866 | A2 | 19901228 | HU 1988-6491 | 19881219 |
| HU 207283 | B | 19930329 | | |
| PL 160685 | B1 | 19930430 | PL 1988-276549 | 19881219 |
| US 5223614 | A | 19930629 | US 1990-603585 | 19901025 |
| PRIORITY APPLN. INFO.: | | | DE 1987-3743265 | 19871219 |
| | | | US 1988-286442 | 19881219 |

OTHER SOURCE(S): MARPAT 112:98544
GI

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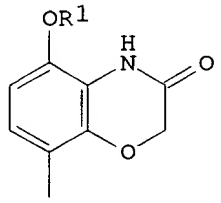



AB QCH(OH)CHR4NHCR5R6(CH2)nR (Q = Ph group of a broncholytically effective compd., e.g., Q1(R1 = H); R = quaternary ammonium group contg.-alkoxy, -heterocyclyl, -arylalkoxy, etc.; R4 = H, Me, Et; R5,R6 = H, Me; n = 1-5) were prepd. Thus, Q1COCH(OH)OEt (R1 = Bz) was condensed with 4-(Me2N)C6H4CH2CMe2NH2 and the product treated with NaBH4 to give Q1CH(OH)CH2NHCMe2CH21C6H4NMe2-4 (R1 = Bz) which was condensed with BrCH2CO2Et to give, after hydrolysi and hydrogenolysis, Q1CH(OH)CH2NHCMe2CH2C6H4R2-4 (I) (R1 = H; R2 = N+Me2CH2CO2-)(II). I [R1 = H; R2 = OCH2CH2N+Me2(CH2)3SO2-) gave 50% protection against acetylcholine-induced spasm in guinea pigs after inhalation of a 0.004% aq. soln. An aerosol was prepd. contg. II 0.1, sorbitan trioleate 0.5, and CFCl3 and CF2Cl2 (2:3) 99.4 wt. %.

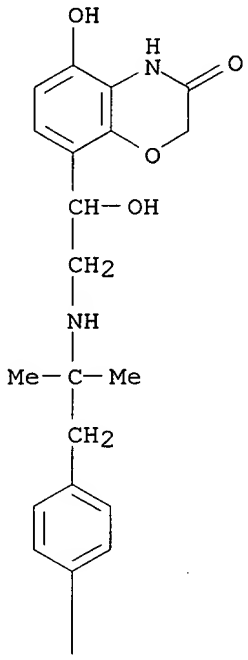
IT **124955-21-1P 124955-32-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as broncholytic)

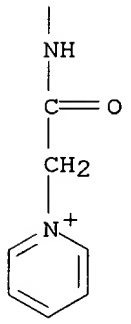
RN 124955-21-1 CAPLUS

CN Pyridinium, 1-[2-[[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenyl]amino]-2-oxoethyl]-, chloride, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A




PAGE 2-A

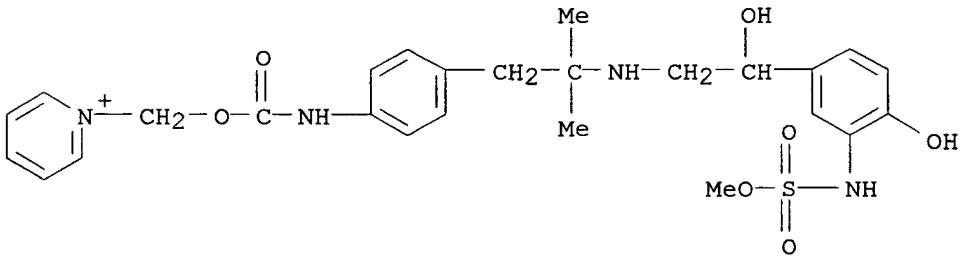



● Cl⁻

● HCl

RN 124955-32-4 CAPLUS

CN Pyridinium, 1-[[[[[4-[2-[[2-hydroxy-2-[4-hydroxy-3-[(methoxysulfonyl)amino]phenyl]ethyl]amino]-2-methylpropyl]phenyl]amino]carbonyl]oxy]methyl]-, chloride, monohydrochloride (9CI) (CA INDEX NAME)



● Cl⁻

● HCl

FILE 'CAOLD' ENTERED AT 16:00:52 ON 21 AUG 2000
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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FILE 'BEILSTEIN' ENTERED AT 16:01:20 ON 21 AUG 2000
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licensed to Beilstein Chemiedaten & Software GmbH and MDL Information Systems GmbH

FILE LAST UPDATED: 6 MAR 2000

FILE COVERS 1779 TO 2000.
*** CAS REGISTRY NUMBERS FOR 4,356,237 SUBSTANCES AVAILABLE ***
*** FILE CONTAINS 7,688,486 SUBSTANCES ***

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* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.                *
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* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE          *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                        *
* FOR PRICE INFORMATION SEE HELP COST                                *
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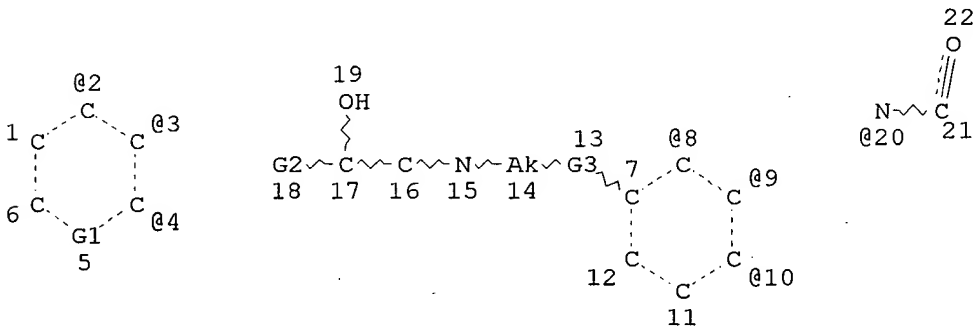


Hy 23

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VAR G2=2/3/4
REP G3=(0-1) O
VPA 20-8/9/10 U
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MLEVEL  IS CLASS  AT  14 23
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  23

STEREO ATTRIBUTES: NONE
L15           2 SEA FILE=BEILSTEIN SSS FUL L6

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SEARCH TIME: 00.00.34
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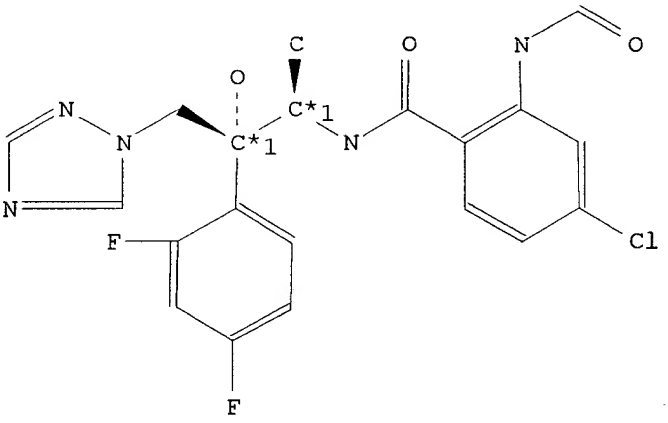
L15 ANSWER 1 OF 2 COPYRIGHT 2000 BEILSTEIN CDS MDL

Beilstein Reg. No. (BRN): 7956379 Beilstein
Molecular Formula (MF): C20 H18 Cl F2 N5 O3
Autonom Name (AUN): 4-chloro-N-<2-(2,4-difluoro-phenyl)-2-hydroxy-1-methyl-3-<1,2,4>triazol-1-yl-propyl>-2-formylamino-benzamide
Beilstein Reference (SO): 6-26
General Comments (NTE): Stereo compound
Formula Weight (FW): 449.84
Lawson Number (LN): 29971; 16524; 16039; 1145




Atom/Bond Notes:
1. CIP Descriptor: R

Preparation:
PRE
Start: BRN=7983052 (1R,2R)-7-chloro-3-<2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl>quinazolin-4(3H)-one
Reag: 0.1N aq. NaOH
Time: 3 hour(s)
Yield: 25.00 %
Solv: tetrahydrofuran
Ambient Temperature
Reference(s):
1. Bartroli, Javier; Turmo, Enric; Alugero, Monica; Boncompte, Eulalia; Vericat, Maria L.; et al., J.Med.Chem., 41 <1998> 11, 1869-1882, LA: EN, CODEN: JMCMAR

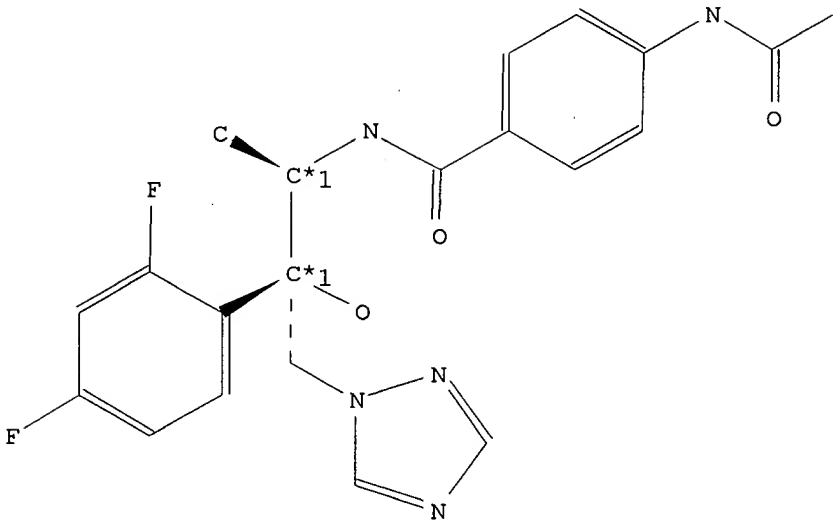
Same as CAPLUS answer #3

L15 ANSWER 2 OF 2 COPYRIGHT 2000 BEILSTEIN CDS MDL

Beilstein Reg. No. (BRN): 4892790 Beilstein
Molecular Formula (MF): C21 H21 F2 N5 O3
Autonom Name (AUN): 4-acetylamino-N-<2-(2,4-difluoro-phenyl)-2-hydroxy-1-methyl-3-<1,2,4>triazol-1-yl-propyl>-benzamide
Beilstein Reference (SO): 6-26
General Comments (NTE): Stereo compound; racemate

Searched by Barb O'Bryen, STIC 308-4291

CAS Reg. No. (RN): 126916-61-8
Beilstein Pref. RN (BPR): 126916-61-8
Formula Weight (FW): 429.43
Lawson Number (LN): 29971; 16524; 16038; 1155




Atom/Bond Notes:
1. CIP Descriptor: R
Fragment Notes:
Additionally represents mirror image

Preparation:
PRE
Start: BRN=4297530 (2R*,3R*)-3-Amino-2-(2,4-difluoropropyl)-1-(1H-1,2,4-triazol-1-yl)-2-butanol, BRN=513757 p-acetylaminobenzoyl chloride
Reag: pyridine
Yield: 51.00 %
Temp: 0.0 Cel
Reference(s):
1. Konosu, Toshiyuki; Tajima, Yawara; Takeda, Noriko; Miyaoka, Takeo; Kasahara, Mayumi; et al., Chem.Pharm.Bull., 39 <1991> 10, 2581-2589, LA: EN, CODEN: CPBTAL

same as CAPLUS answer #4

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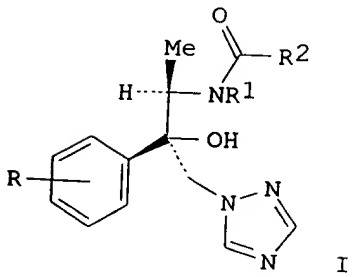
L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1992:426440 CAPLUS
DOCUMENT NUMBER: 117:26440
TITLE: Triazole antifungals. IV. Synthesis and antifungal activities of 3-acylamino-2-aryl-2-butanol derivatives
AUTHOR(S): Konosu, Toshiyuki; Tajima, Yawara; Takeda, Noriko; Miyaoka, Takeo; Kasahara, Mayumi; Yasuda, Hiroshi; Oida, Sadao
CORPORATE SOURCE: Med. Chem. Res. Lab., Sankyo Co., Ltd., Tokyo, 140, Japan
SOURCE: Chem. Pharm. Bull. (1991), 39(10), 2581-9
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



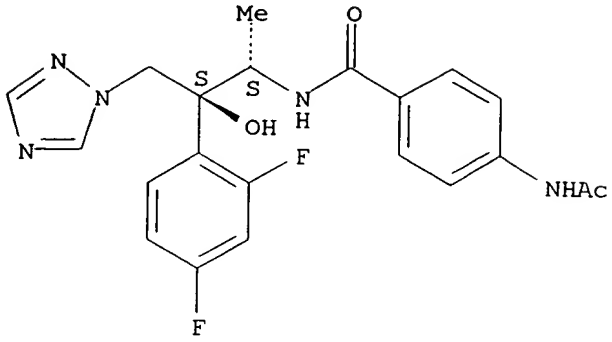

I

AB New triazoles, e.g., I (R = 4-Cl, 2,4-Cl2, 2,4-F2; R1 = H, Me; R2 = H, CMe3, Ph, substituted Ph, 2-furyl, 2-thienyl) were designed and synthesized as potential inhibitors of the fungal cytochrome P 450 14.alpha.-demethylase. In testing for antifungal activity against a mouse systemic Candida albicans infection, (2R,3R)-3-acylamino-2-aryl-2-butanol derivs. exhibited remarkably high efficacy after oral or parenteral administration. The structure-activity relationships of these amido alcs. were evaluated.

IT **126916-61-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antifungal activity of)

RN 126916-61-8 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

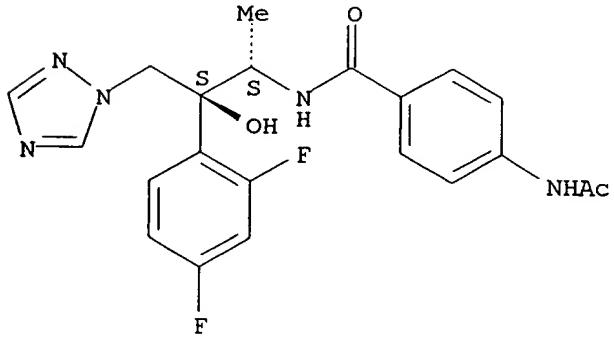


IT **138990-07-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 138990-07-5 CAPLUS
CN Benzamide, 4-(acetylamino)-N-[2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]-, (R*,R*)-, ethanedioate (1:1) (salt) (9CI)
(CA INDEX NAME)

CM 1

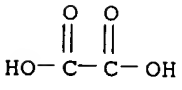
CRN 126916-61-8
CMF C21 H21 F2 N5 O3

Relative stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4



L9 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1990:98544 CAPLUS
DOCUMENT NUMBER: 112:98544
TITLE: Preparation and formulation of 5-hydroxy-8-[1-hydroxy-2-(2-methyl-2-propylamine)ethyl]-2H-1,4-benzoxazin-3-(4H)-ones and analogs containing a quaternary ammonium group as broncholytics

INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Muacevic, Gojko; Traunecker, Werner
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 3743265 | A1 | 19890629 | DE 1987-3743265 | 19871219 |
| EP 321864 | A2 | 19890628 | EP 1988-121011 | 19881215 |
| EP 321864 | A3 | 19901227 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| ZA 8809387 | A | 19900829 | ZA 1988-9387 | 19881215 |
| DK 8807007 | A | 19890620 | DK 1988-7007 | 19881216 |
| FI 8805811 | A | 19890620 | FI 1988-5811 | 19881216 |
| NO 8805598 | A | 19890620 | NO 1988-5598 | 19881216 |
| AU 8827022 | A1 | 19890622 | AU 1988-27022 | 19881216 |
| AU 618302 | B2 | 19911219 | | |
| DD 280099 | A5 | 19900627 | DD 1988-323312 | 19881216 |
| SU 1628854 | A3 | 19910215 | SU 1988-4613149 | 19881216 |
| JP 02000239 | A2 | 19900105 | JP 1988-320315 | 19881219 |
| HU 53866 | A2 | 19901228 | HU 1988-6491 | 19881219 |
| HU 207283 | B | 19930329 | | |
| PL 160685 | B1 | 19930430 | PL 1988-276549 | 19881219 |
| US 5223614 | A | 19930629 | US 1990-603585 | 19901025 |
| PRIORITY APPLN. INFO.: | | | DE 1987-3743265 | 19871219 |
| | | | US 1988-286442 | 19881219 |

OTHER SOURCE(S): MARPAT 112:98544
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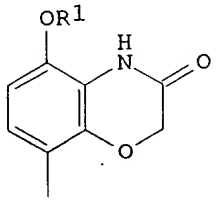


AB QCH(OH)CHR4NHCR5R6(CH2)nR (Q = Ph group of a broncholytically effective compd., e.g., Q1(R1 = H); R = quaternary ammonium group contg.-alkoxy, -heterocyclyl, -arylalkoxy, etc.; R4 = H, Me, Et; R5,R6 = H, Me; n = 1-5) were prepd. Thus, Q1COCH(OH)OEt (R1 = Bz) was condensed with 4-(Me2N)C6H4CH2CMe2NH2 and the product treated with NaBH4 to give Q1CH(OH)CH2NHCMe2CH21C6H4NMe2-4 (R1 = Bz) which was condensed with BrCH2CO2Et to give, after hydrolysi and hydrogenolysis, Q1CH(OH)CH2NHCMe2CH2C6H4R2-4 (I) (R1 = H; R2 = N+Me2CH2CO2-)(II). I [R1 = H; R2 = OCH2CH2N+Me2(CH2)3SO2-) gave 50% protection against acetylcholine-induced spasm in guinea pigs after inhalation of a 0.004% aq. soln. An aerosol was prepd. contg. II 0.1, sorbitan trioleate 0.5, and CFCl3 and CF2Cl2 (2:3) 99.4 wt. %.

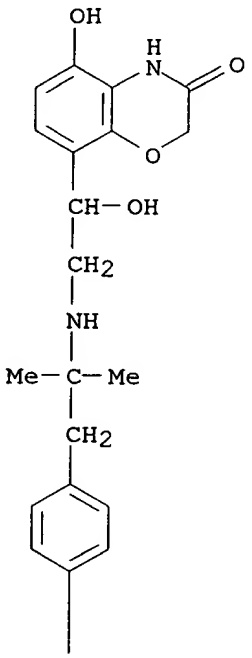
IT **124955-21-1P 124955-32-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as broncholytic)

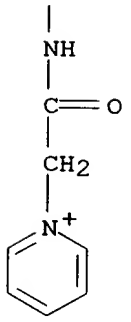
RN 124955-21-1 CAPLUS

CN Pyridinium, 1-[2-[[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenyl]amino]-2-oxoethyl]-, chloride, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

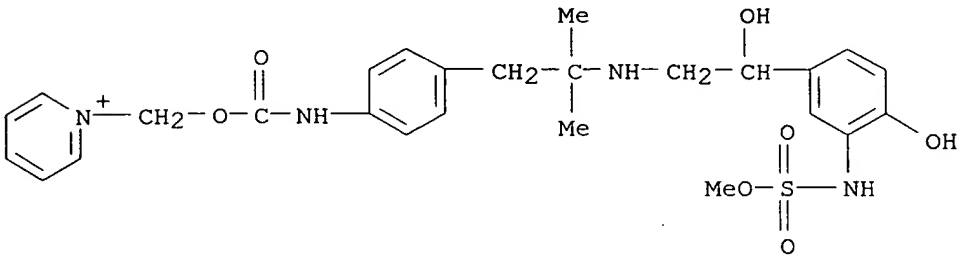


● Cl⁻

● HCl

RN 124955-32-4 CAPLUS

CN Pyridinium, 1-[[[[[4-[2-[[2-hydroxy-2-[4-hydroxy-3-[(methoxysulfonyl)amino]phenyl]ethyl]amino]-2-methylpropyl]phenyl]amino]carbonyl]oxy]methyl]-, chloride, monohydrochloride (9CI) (CA INDEX NAME)



● $Cl^-$

● HCl

L9 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2000 ACS

| | |
|---|---|
| ACCESSION NUMBER: | 1998:535771 CAPLUS |
| DOCUMENT NUMBER: | 129:198012 |
| TITLE: | Preparation of phenethanol derivatives and their use as antidiabetic agents |
| INVENTOR(S): | Maruyama, Tatsuya; Onta, Kenichi; Hayakawa, Akihiko; Matsui, Tetsuo |
| PATENT ASSIGNEE(S): | Yamanouchi Pharmaceutical Co., Ltd., Japan |
| SOURCE: | Jpn. Kokai Tokkyo Koho, 20 pp.<br>CODEN: JKXXAF |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | Japanese |
| FAMILY ACC. NUM. COUNT: | 1 |

Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 10218861 | A2 | 19980818 | JP 1997-21870 | 19970204 |

OTHER SOURCE(S): MARPAT 129:198012

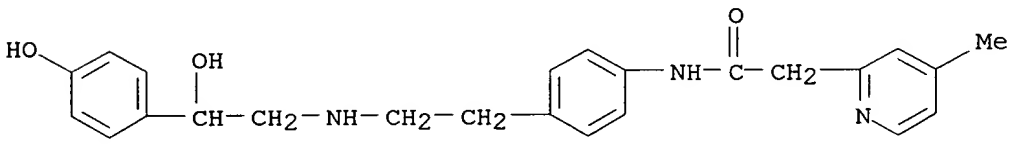
GI For diagram(s), see printed CA Issue.

AB The derivs. I [ring B = II, III, IV; X, Y = O, S, NR6; R1 = H, lower alkyl; R2 = H, lower alkyl, NHSO2Me, NHCOR3; R3 = H, lower alkyl, mono- or di(lower alkylamino), aryl, aralkyl; R4, R5 = H, lower alkyl, amino; R6 = H, lower alkyl, aralkyl] or their salts as .beta.3-adrenoceptor agonists are prepd. Antidiabetic agents contg. I or thir salts as active ingredients are also claimed. I decreased blood glucose of obese and hyperglycemic kk mice with insulin resistance upon both oral and percutaneous administrations. I also increased insulin secretion in normal rats. Prepn. of some of I was given.

IT **211636-04-3P 211636-05-4P 211636-06-5P**
**211636-07-6P 211636-08-7P 211636-09-8P**
**211636-10-1P 211636-11-2P 211636-13-4P**
**211636-15-6P 211636-17-8P 211636-18-9P**
**211636-19-0P 211636-20-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antidiabetic phenethanol derivs. as .beta.3-adrenoceptor agonists)

RN 211636-04-3 CAPLUS

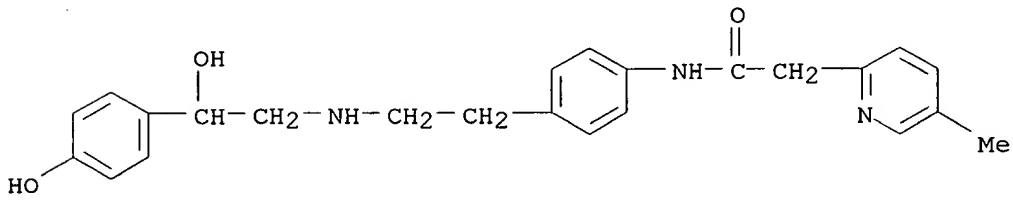
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)




● HCl

RN 211636-05-4 CAPLUS

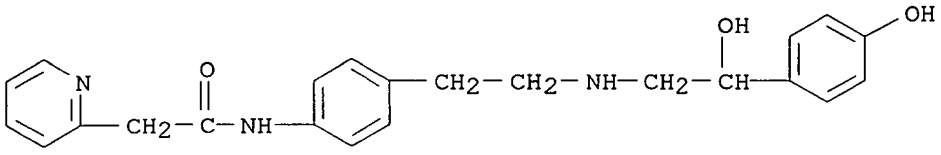
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-5-methyl-, monohydrochloride (9CI) (CA INDEX NAME)




● HCl

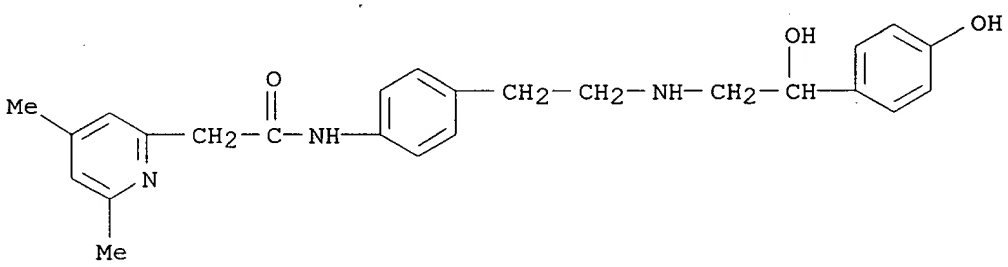
Searched by Barb O'Bryen, STIC 308-4291

RN 211636-06-5 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



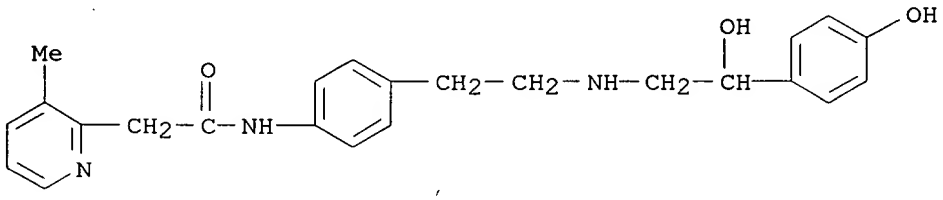
● HCl

RN 211636-07-6 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-4,6-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



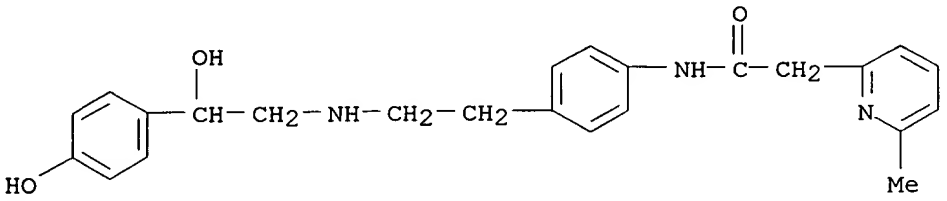
● HCl

RN 211636-08-7 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



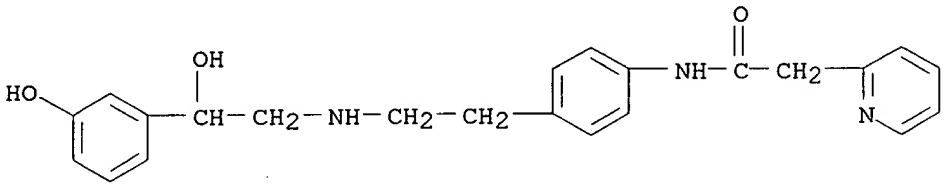
● HCl

RN 211636-09-8 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-6-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



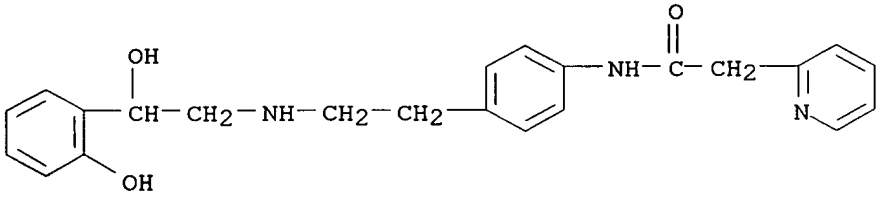
● HCl

RN 211636-10-1 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(3-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 211636-11-2 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(2-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

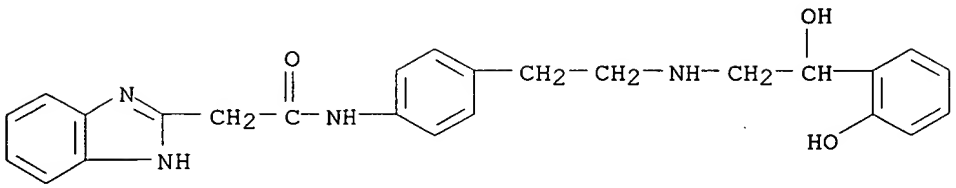


● HCl

RN 211636-13-4 CAPLUS
CN 1H-Benzimidazole-2-acetamide, N-[4-[2-[[2-hydroxy-2-(2-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

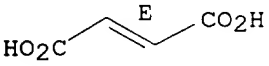
CRN 211636-12-3
CMF C25 H26 N4 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

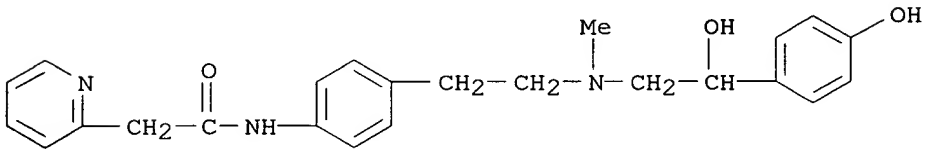
Double bond geometry as shown.



RN 211636-15-6 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-(4-hydroxyphenyl)ethyl]methylamino]ethyl]phenyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

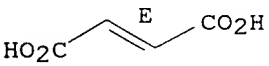
CRN 211636-14-5
CMF C24 H27 N3 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

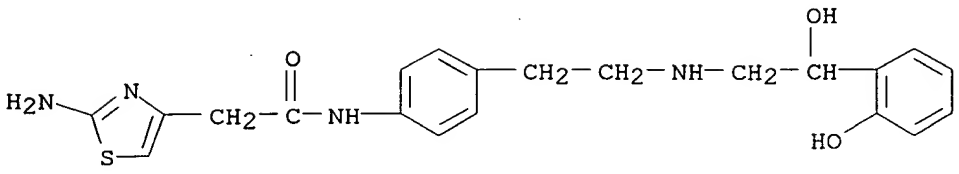
Double bond geometry as shown.



RN 211636-17-8 CAPLUS
CN 4-Thiazoleacetamide, 2-amino-N-[4-[2-[[2-hydroxy-2-(2-hydroxyphenyl)ethyl]amino]ethyl]phenyl]-, hydrochloride trifluoroacetate (2:1:3) (salt) (9CI) (CA INDEX NAME)

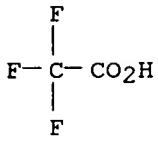
CM 1

CRN 211636-16-7
CMF C21 H24 N4 O3 S

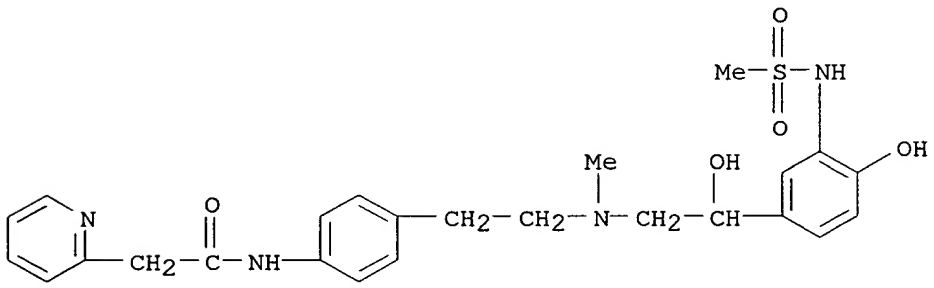


CM 2

CRN 76-05-1
CMF C2 H F3 O2

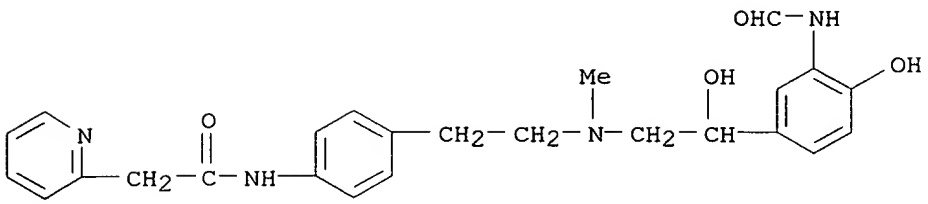


RN 211636-18-9 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]methylamino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



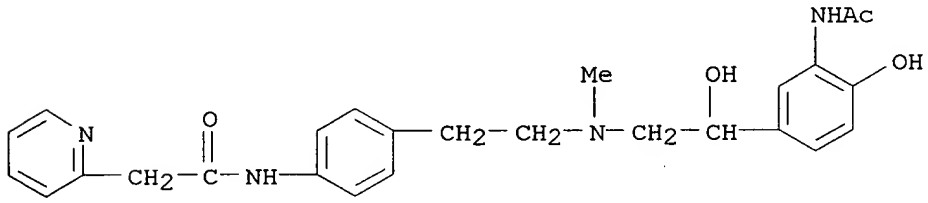
● HCl

RN 211636-19-0 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-[3-(formylamino)-4-hydroxyphenyl]-2-hydroxyethyl]methylamino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

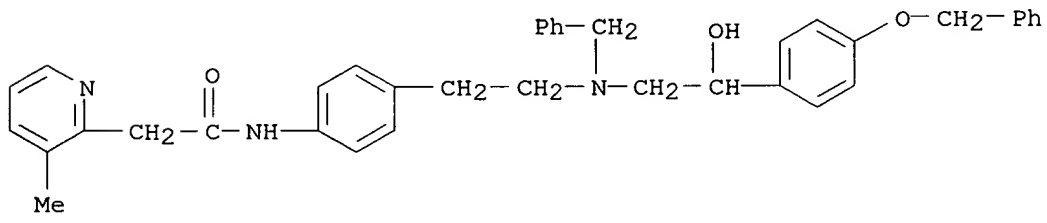
RN 211636-20-3 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-[3-(acetylamino)-4-hydroxyphenyl]-2-hydroxyethyl]methylamino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **211635-78-8P 211635-79-9P 211635-80-2P**
**211635-81-3P 211635-86-8P 211635-87-9P**
**211635-88-0P 211635-89-1P 211635-92-6P**
**211635-93-7P 211635-94-8P 211635-95-9P**
**211635-96-0P 211635-97-1P 211636-00-9P**
**211636-03-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of antidiabetic phenethanol derivs. as .beta.3-adrenoceptor agonists)

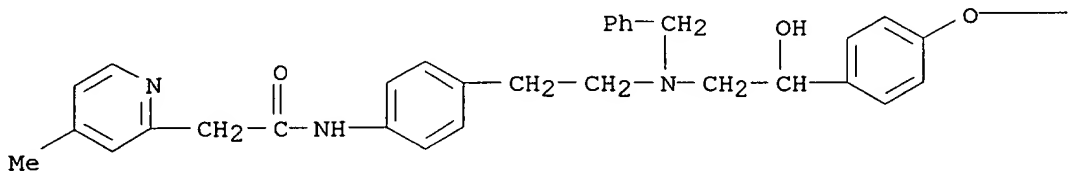
RN 211635-78-8 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 211635-79-9 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-

(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A

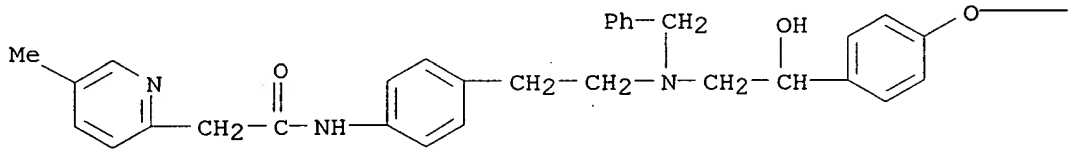


PAGE 1-B

—$CH_2$—Ph

RN 211635-80-2 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-5-methyl- (9CI) (CA INDEX NAME)

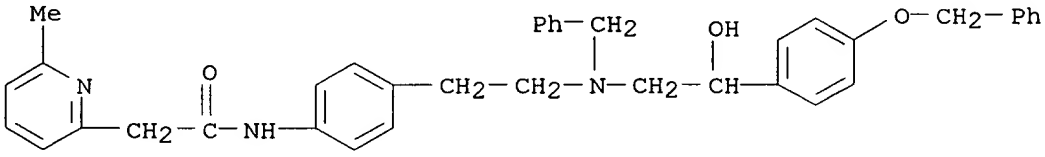
PAGE 1-A



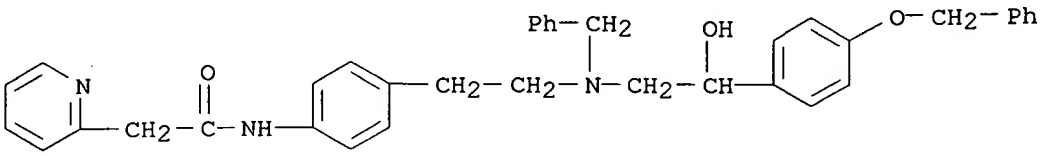
PAGE 1-B

—$CH_2$—Ph

RN 211635-81-3 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-6-methyl- (9CI) (CA INDEX NAME)

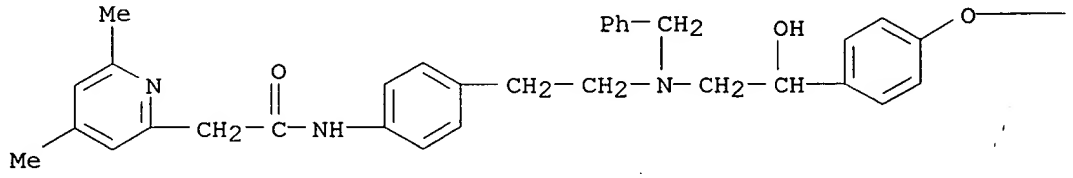


RN 211635-86-8 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 211635-87-9 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)

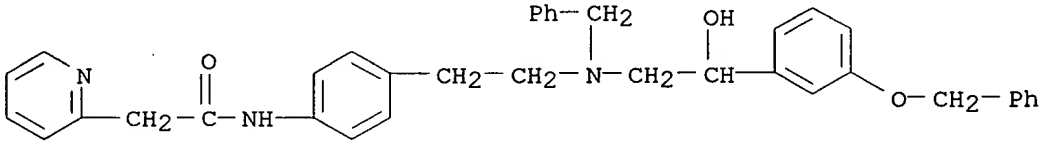
PAGE 1-A



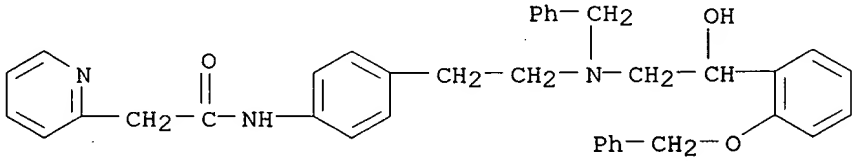
PAGE 1-B

— $CH_2$ — Ph

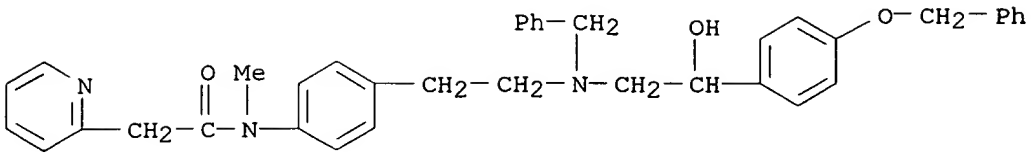
RN 211635-88-0 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[3-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



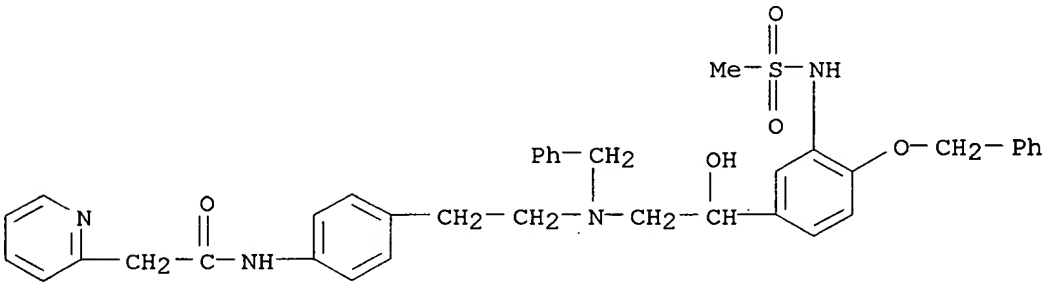
RN 211635-89-1 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[2-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



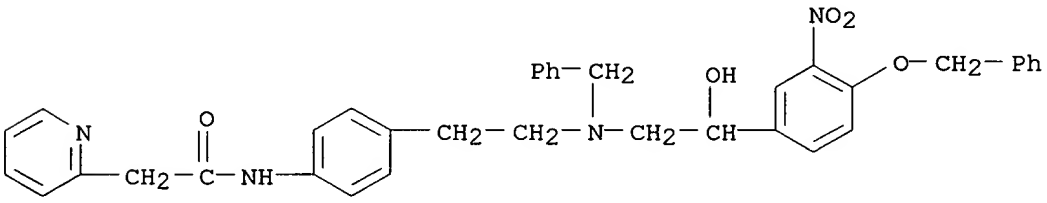
RN 211635-92-6 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



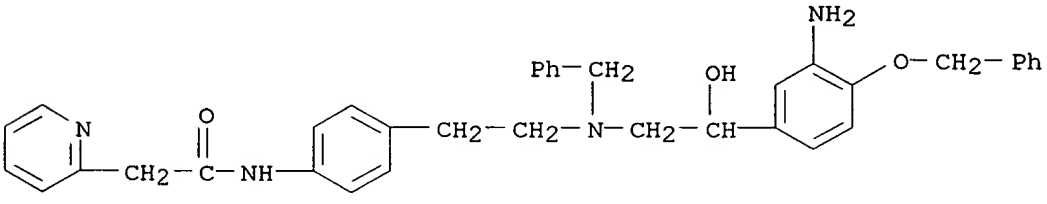
RN 211635-93-7 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[3-[(methylsulfonyl)amino]-4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



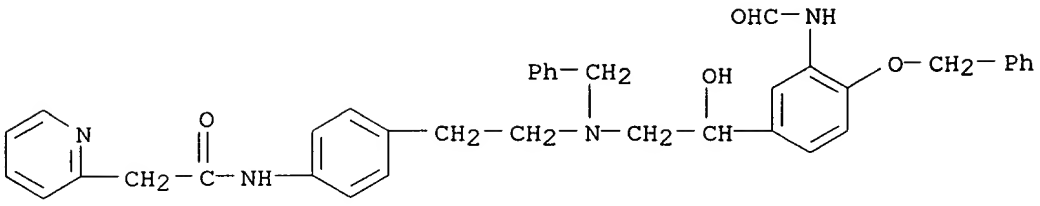
RN 211635-94-8 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-hydroxy-2-[3-nitro-4-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



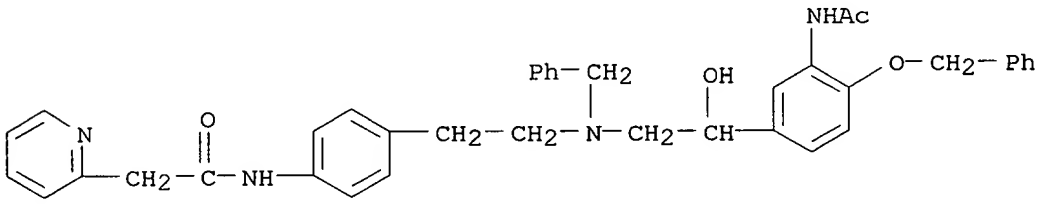
RN 211635-95-9 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-[3-amino-4-(phenylmethoxy)phenyl]-2-hydroxyethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



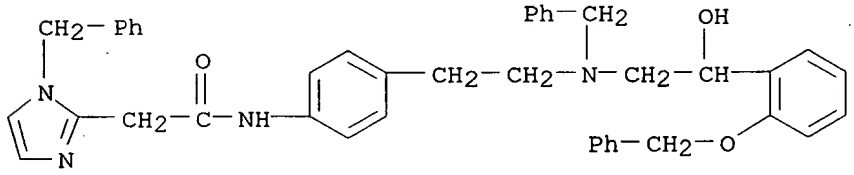
RN 211635-96-0 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-[3-(formylamino)-4-(phenylmethoxy)phenyl]-2-hydroxyethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



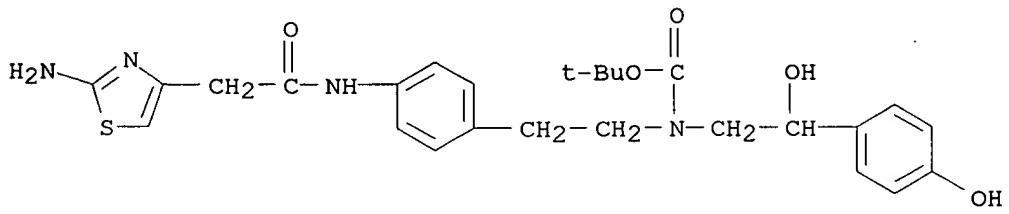
RN 211635-97-1 CAPLUS
CN 2-Pyridineacetamide, N-[4-[2-[[2-[3-(acetylamino)-4-(phenylmethoxy)phenyl]-2-hydroxyethyl](phenylmethyl)amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 211636-00-9 CAPLUS
CN 1H-Imidazole-2-acetamide, N-[4-[2-[[2-hydroxy-2-[2-(phenylmethoxy)phenyl]ethyl](phenylmethyl)amino]ethyl]phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 211636-03-2 CAPLUS
CN Carbamic acid, [2-[4-[[(2-amino-4-thiazolyl)acetyl]amino]phenyl]ethyl][2-hydroxy-2-(4-hydroxyphenyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1998:269995 CAPLUS
DOCUMENT NUMBER: 128:303693
TITLE: New Azole Antifungals. 3. Synthesis and Antifungal Activity of 3-Substituted-4(3H)-quinazolinones
AUTHOR(S): Bartroli, Javier; Turmo, Enric; Alguero, Monica; Boncompte, Eulalia; Vericat, Maria L.; Conte, Lourdes; Ramis, Joaquim; Merlos, Manuel; Garcia-Rafanell, Searched by Barb O'Bryen, STIC 308-4291

UNITED STATES DEPARTMENT OF COMMERCE
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| APPLICATION NO. | FILING DATE | FIRST NAMED INVENTOR | ATTORNEY DOCKET NO. |
|---|---|---|---|
| 09/529,096 | 04/07/00 | MARUYAMA T | 07385.0007 |

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WASHINGTON DC 20005-3315

| EXAMINER | |
|---|---|
| PATEL, S | |
| **ART UNIT** | **PAPER NUMBER** |
| 1624 | 4 |

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**Please find below and/or attached an Office communication concerning this application or proceeding.**

**Commissioner of Patents and Trademarks**

# Office Action Summary

| Application No. | Applicant |
|---|---|
| 09/529,096 | Tatsuya Maruyama et al. |
| **Examiner** | **Group Art Unit** |
| Sudhaker Patel | 1624 |

☐ Responsive to communication(s) filed on _____

☐ This action is **FINAL.**

☐ Since this application is in condition for allowance except for formal matters, **prosecution as to the merits is closed** in accordance with the practice under *Ex parte Quayle*, 1935 C.D. 11; 453 O.G. 213.

A shortened statutory period for response to this action is set to expire 1 month(s), or thirty days, whichever is longer, from the mailing date of this communication. Failure to respond within the period for response will cause the application to become abandoned. (35 U.S.C. § 133). Extensions of time may be obtained under the provisions of 37 CFR 1.136(a).

**Disposition of Claim**

☒ Claim(s) 1-8 is/are pending in the applicat

Of the above, claim(s) _____ is/are withdrawn from consideration

☐ Claim(s) _____ is/are allowed.

☐ Claim(s) _____ is/are rejected.

☐ Claim(s) _____ is/are objected to.

☒ Claims 1-8 are subject to restriction or election requirement.

**Application Papers**

☐ See the attached Notice of Draftsperson's Patent Drawing Review, PTO-948.

☐ The drawing(s) filed on _____ is/are objected to by the Examiner.

☐ The proposed drawing correction, filed on _____ is ☐ approved ☐ disapproved.

☐ The specification is objected to by the Examiner.

☐ The oath or declaration is objected to by the Examiner.

**Priority under 35 U.S.C. § 119**

☐ Acknowledgement is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d).

☐ All ☐ Some* ☒ None of the CERTIFIED copies of the priority documents have been

☐ received.

☐ received in Application No. (Series Code/Serial Number) _____ .

☐ received in this national stage application from the International Bureau (PCT Rule 17.2(a)).

*Certified copies not received: _____

☐ Acknowledgement is made of a claim for domestic priority under 35 U.S.C. § 119(e).

**Attachment(s)**

☐ Notice of References Cited, PTO-892

☐ Information Disclosure Statement(s), PTO-1449, Paper No(s). _____

☐ Interview Summary, PTO-413

☐ Notice of Draftsperson's Patent Drawing Review, PTO-948

☐ Notice of Informal Patent Application, PTO-152

*— SEE OFFICE ACTION ON THE FOLLOWING PAGES —*

## DETAILED ACTION

### *Election/Restrictions*

1. Restriction is required under 35 U.S.C. 121 and 372.

This application contains the following inventions or groups of inventions which are not so linked as to form a single general inventive concept under PCT Rule 13.1.

In accordance with 37 CFR 1.499, applicant is required, in response to this action, to elect a single invention to which the claims must be restricted.

**Group I**, claim(s) 1-3,6-8, drawn to compounds, composition, and method of use for **Formula I** where in Z = N; B = 6-membered rings containing at least 1 N and one other heteroatom (0,N,S) e.g. 1,4-, 1,3- diazine, piperazine, morpholine, thiomorpholine etc.

**Group II**, claim(s) 1-3,6-8, drawn to compounds, composition, and method of use for **Formula I** where in Z = N; B= 6-membered rings containing 1 N & 5 carbons, e.g. pyridine, piperidine, quinoline etc..

**Group III**, claim(s)1-3,6-8, drawn to compounds, composition, and method of use for **Formula I** where in Z = N; B = 5-membered rings containing 1N and +0 to 3 heteroatoms (0,S,N) e.g. diazoles, triazoles, tetrazoles, Thiadiazoles, Thiazole etc..

**Group IV**, claim(s)1-1-4,5,6,7-8, drawn to compounds, composition, and method of use for Formula (I) wherein Z = CH If this group is elected, further restriction(s) will be required..

**Group V**, claim(s)1-8, drawn to compounds not included in above Groups I-IV.

In addition to election of one of the above groups, applicants are also required to elect a single species for the group.

2. The inventions listed as **Groups I-V** do not relate to a single general inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons: They have different structures.

3. A telephone call was made to Mr. Hill on 10/24/00 to request an oral election to the above restriction requirement, but did not result in an election being made.

Applicants are advised that the reply to this requirement to be complete must include an election of the invention to be examined even though the requirement be traversed (37 CFR 1.143).

4. Applicants are reminded that upon the cancellation of claims to a non-elected invention, the inventor ship must be amended in compliance with 37 CFR 1.48(b) if one or more of the currently named inventors is no longer an inventor of at least one claim remaining in the application. Any amendment of inventor ship must be accompanied by a petition under 37 CFR 1.48(b) and by the fee required under 37 CFR 1.17(I).

5.Any inquiry concerning this communication or earlier communications from the examiner should be directed to Sudhaker Patel whose telephone number is (703) 308 4709. The examiner can normally be reached on Monday thru' Friday from 8:30 AM to 5:00 PM. If attempts to reach

the examiner by the phone are unsuccessful, the examiner's supervisor, Mukund Shah can be reached at (703) 308 4716.

A facsimile center has been established for Group 1600. The hours of operation are Monday through Friday, 8:45 AM to 4:45 PM. The telecopier numbers for accessing the facsimile machine are (703) 308-4556 or (703) 305-3592.

Any inquiry of general nature or relating to the status of this application or proceeding should be directed to the Group receptionist whose telephone number is (703) 308 1235.

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**October 25, 2000**

Mukund J. Shah

**Mukund J. Shah**

**Supervisory Patent Examiner**

**Art Unit 1624**